File COPY

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* * * * * * * * * *   Welcome to STN International   * * * * * * * * * *
* * * * * * * * * * * * * * STN  Columbus  * * * * * * * * * * * * * * *

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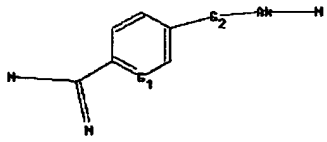

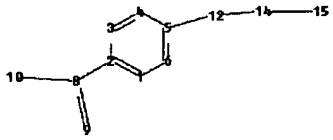

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chain nodes :
8  9  10  12  14
ring nodes :
1  2  3  4  5  6  15
chain bonds :
2-8  5-12  8-9  8-10  12-14  14-15
ring bonds :
1-2  1-6  2-3  3-4  4-5  5-6
exact/norm bonds :
1-2  1-6  2-3  2-8  3-4  4-5  5-6  5-12  8-9  8-10  12-14  14-15
isolated ring systems :
containing 1 :


G1:C,N

G2:O,S,N

Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  8:CLASS  9:CLASS  10:CLASS
12:CLASS  14:CLASS  15:CLASS



L6      STRUCTURE UPLOADED

=> dis l6
L6 HAS NO ANSWERS
L6              STR
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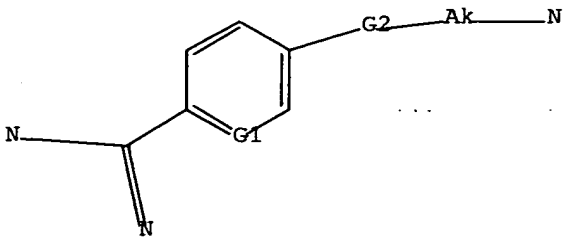

G1 C,N
G2 O,S,N

Structure attributes must be viewed using STN Express query preparation.

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=> s l6 sam
L7            24 SEA SSS SAM L6

=> s l6 full
L8           528 SEA SSS FUL L6

=> file caplus
=> s l8
L9            49 L8

=> s l9 and pd< mar 2003
     23623764 PD< MAR 2003
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L10           34 L9 AND PD< MAR 2003

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L10  ANSWER 1 OF 34  CAPLUS  COPYRIGHT 2007 ACS on STN
AN   2003:154251  CAPLUS Full-text
DN   138:205069
TI   Preparation of 2H-phthalazin-1-ones as poly(ADP-ribose)polymerase
     inhibitors for treatment of cancer
IN   Beaton, Graham; Moree, Wilna J.; Rueter, Jaimie K.; Dahl, Russell S.;
     McElligott, David L.; Goldman, Phyllis; Demaggio, Anthony J.; Christenson,
     Erik; Herendeen, Dan; Fowler, Kerry W.; Huang, Danwen; Bertino, Jaimie A.;
     Bourdon, Lisa H.; Fairfax, David J.; Jiang, Qin; Reisch, Helge A.; Song,
     Ren Hua; Zhichkin, Pavel E.
PA   Icos Corporation, USA
SO   PCT Int. Appl., 229 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.          KIND   DATE        APPLICATION NO.        DATE
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PI   WO 2003015785        A1    20030227    WO 2002-US26271        20020815 <--
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             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
             PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
             UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

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CA 2456985		A1	20030227	CA 2002-2456985		20020815 <--
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				WO 2002-US26271	W	20020815
US 2004087588		A1	20040506	US 2002-222749		20020815
US 6924284		B2	20050802			
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EP 1423120		A1	20040602	EP 2002-768596		20020815
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK						
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				WO 2002-US26271	W	20020815
CN 1568187		A	20050119	CN 2002-820219		20020815
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JP 2005501848		T	20050120	JP 2003-520744		20020815
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				WO 2002-US26271	W	20020815
NZ 531245		A	20050930	NZ 2002-531245		20020815
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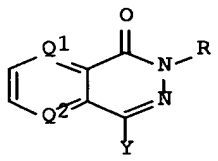
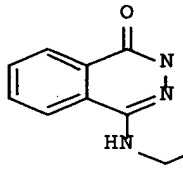
OS MARPAT 138:205069

GI



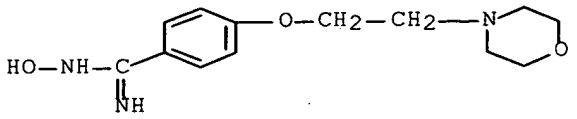
I



II

AB Title compds. and derivs. thereof I [wherein Q1 and Q2 = independently N or CRa; Ra = H, halo, NO2, or alkyl; R = H, alkyl, or N-protecting group; Y = NR1R2, R3C(=X1)Y1, (alkylene)x-NR11R12NR13[C(=X3)]c(NR14)d(R15)e[C(=X4)]fR16, or NR11R12N=CR20R21; R1, R14, and R20 = independently H or alkyl; R2 = arylcarbonyl, heteroalkyl, cyclo(alkyl), alkenyl, alkynyl, etc.; R3 = alkylene; X1, X3, and X4 = independently O or S; Y1 = NR4R5; R4 = H, (hetero)alkyl, or aralkyl; R5 = (un)substituted aralkyl, heteroalkyl, heterocyclyl, heteroaryl(alkyl), arylsulfonylamino, etc.; x = 0-1; R11 = H, alkyl, or (un)substituted heteroaralkyl; R12 = (cyclo)alkylene, heteroalkylene, aralkylene, or arylene; or NR11R12 = (un)substituted heterocyclyl; c = 0-2; d-f = independently 0-1; R13 = H, alkyl, arylcarbamoylalkylene, etc.; R15 = (hetero)alkylene or alkenylene; R16 = H, (un)substituted (hetero)aryl, (hetero)alkyl, cycloalkyl, aralkoxy, amino, arylsulfonylamino, etc.; R21 = alkyl, or substituted heteroaryl; and pharmaceutically acceptable salts, hydrates, solvates, or prodrugs thereof] were prepared as poly(ADP-ribose)polymerase (PARP) inhibitors (no data). For example, condensation of 1,3-propanediamine with phthalic anhydride in EtOH gave 3,4-dihydropyrimido[1,2-a]indol-10(2H)-one, which was dissolved in ethylene glycol and reacted with NH2NH2•H2O to afford II (51%). I are useful for radiosensitizing and chemosensitizing tumor cells for the treatment of cancer (no data).

IT 49773-15-1P, 4-[2-(4-Morpholinyl)ethoxy]-N-hydroxybenzamidine
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of phthalazinone PARP inhibitors for treatment of
cancer)
RN 49773-15-1 CAPLUS
CN Benzenecarboximidamide, N-hydroxy-4-[2-(4-morpholinyl)ethoxy]- (9CI) (CA INDEX NAME)

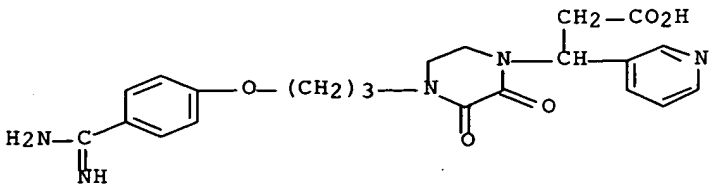


RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

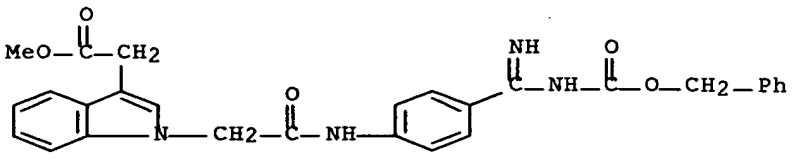
L10 ANSWER 2 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2002:235878 CAPLUS Full-text
DN 136:268143
TI Controlled-release tablets of 2,3-diketopiperazine derivatives
IN Shimada, Yoko
PA Toyama Chemical Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 8 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 2002087960	A	20020327	JP 2001-212945	20010713 <--
			JP 2000-213554 A	20000714

OS MARPAT 136:268143
AB The title tablets are prepd. by blending (1) 2,3-diketopiperazine derivs. as active ingredients, preferably 3-[4-[3-(4-amidinophenoxy)propyl]-2,3-dioxopiperazine-1-yl]-3-(pyridine-3-yl)propionic acid (I), (2) organic acids, (2) waxes, and (3) water-soluble polymers, tableting the mixture, and heating the tablets to a temperature higher than m.p. of the waxes. The tablets continuously release the drug, regardless of pH or movement of the digestive tract. I 90, L-tartaric acid 60, glycerin monostearate 15, and Et cellulose 135 g were mixed and melt granulated. The granules were mixed with 3g Mg stearate and compressed to give tablets (202 mg/each), which were heated at 80° for 30 min to give sustained-release tablets.
IT 225367-83-9
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(controlled-release tablets of 2,3-diketopiperazine derivs.)
RN 225367-83-9 CAPLUS
CN 1-Piperazinepropanoic acid, 4-[3-[4-(aminoiminomethyl)phenoxy]propyl]-2,3-dioxo-β-3-pyridinyl- (9CI) (CA INDEX NAME)

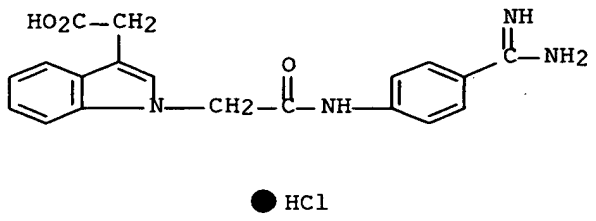


L10 ANSWER 3 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2002:117105 CAPLUS Full-text
DN 137:241661
TI Design and synthesis of a series of indole glycoprotein IIb/IIIa inhibitors
AU Grumel, Valerie; Merour, Jean-Yves; Lesur, Brigitte; Giboulot, Thierry; Frydman, Armand; Guillaumet, Gerald
CS Institut de Chimie Organique et Analytique, UMR CNRS 6005, Universite d'Orleans, Orleans, F-45067, Fr.
SO European Journal of Medicinal Chemistry (2002), 37(1), 45-62
CODEN: EJMCA5; ISSN: 0223-5234
PB Editions Scientifiques et Medicales Elsevier
DT Journal
LA English
OS CASREACT 137:241661
AB Synthesis of 1,3-disubstituted indoles derivs. as potential glycoprotein (GP) IIb/IIIa antagonists was reported. Substitution of the indolic nitrogen atom by piperidino or benzamidino moieties was used as mimics of an arginine residue. The acid carboxylic group was linked to the indole scaffold in position-3 via a methylene unit. Introduction of a β-alanine chain was carried out on the acids (17-22) which after deprotection and basic hydrolysis afforded the final compds. The distance between the indole scaffold and the amide bond was modulated from some compound to other compds. The presence of a tosylamino group on the β-alanine chain on one compound slightly increased the inhibiting action on platelet aggregation initiated by collagen.
IT 461052-18-6P
RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(design and synthesis and structure activity relationship of a series of indole glycoprotein IIb/IIIa inhibitors)
RN 461052-18-6 CAPLUS
CN 1H-Indole-3-acetic acid, 1-[2-[[4-[imino[[(phenylmethoxy)carbonyl]amino]methyl]phenyl]amino]-2-oxoethyl]-, methyl ester (9CI) (CA INDEX NAME)

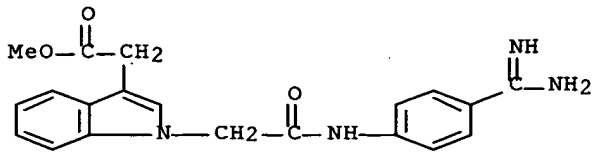


IT 461052-19-7P
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(design and synthesis and structure activity relationship of a series of indole glycoprotein IIb/IIIa inhibitors)
RN 461052-19-7 CAPLUS
CN 1H-Indole-3-acetic acid, 1-[2-[[4-(aminoiminomethyl)phenyl]amino]-2-

oxoethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



IT 729581-67-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(design and synthesis and structure activity relationship of a series of indole glycoprotein IIb/IIIa inhibitors)
RN 729581-67-3 CAPLUS
CN 1H-Indole-3-acetic acid, 1-[2-[[4-(aminoiminomethyl)phenyl]amino]-2-oxoethyl]-, methyl ester (9CI) (CA INDEX NAME)



RE.CNT 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 4 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2001:886830 CAPLUS Full-text
DN 136:20093
TI Synthesis of cyclic and bicyclic diamino histamine-3 receptor antagonists
IN Bennani, Youssef L.; Black, Lawrence A.; Dwight, Wesley J.; Faghih, Ramin; Gentles, Robert G.; Liu, Huaqing; Phelan, Kathleen M.; Vasudevan, Anil; Zhang, Henry Q.
PA Abbott Laboratories, USA
SO U.S. Pat. Appl. Publ., 82 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
PI	US 2001049367	A1	20011206	US 2001-799450		20010305 <--
	US 6559140	B2	20030506			
				US 2000-187933P	P	20000309

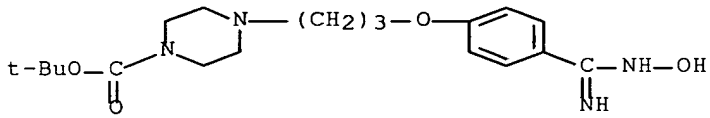
OS MARPAT 136:20093
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I - IV [G1 = R5Q1; G3 = R5Q1; L1 = absent, cycloalkyl, cycloalkylalkylene; L2 = absent, alkylene, with the proviso that at least one of L1 or L2 is not absent; n = 1 - 2; Q1 = absent, C(O), C(S), CH2, SO2, C(NR9); Q2 = O, SO0-2, acetylene; R1-3 = H, alkyl; R4 = alkoxy, alkyl, amino, aryl, aryloxy, cycloalkyl, cycloalkoxy, heteroaryl, heterocycloalkyl, etc.; R5 = H, R4; with the proviso that Q1 is not absent in compds. of formula I and when Q1 = CO in I, R4 is not alkyl; and with the proviso that when R5 = H, Q1 = absent or C(O); R6-7 H, alkyl, alkenyl, alkynyl, alkoxy, amino, azido, carboxaldehyde, carboxy, cyano, halo, hydroxy, etc.; R8 = alkyl, alkanoyl, alkoxy, alkoxycarbonyl, amino, aryl, arylalkyl, aryloyl, arylsulfonyl, carboxamido, cyano, cyanoalkyl, cycloalkyl, etc.; R9 = H, alkyl, alkoxy, aryl, etc.] were prepared Examples include over 180 synthetic examples and HT3 receptor binding assay results for over 70 example compds. E.g., cyclopropyl[4-[3-(1- piperazinyl)propoxy]phenyl]methanone (preparation given) was coupled to Boc-L-alanine (CH2Cl2, EDCI, iPr2NEt, DMAP, room temperature, 18 h) to give the corresponding amide. This amide was deprotected (CH2Cl2, TFA, room temperature, 24 h) to give example compound V isolated as the salt of L-tartaric acid. V had Ki = 19.6 nM for the H3 receptor. Compds. I - IV are useful in the treatment of diseases which are alleviated by H3 receptor activity.

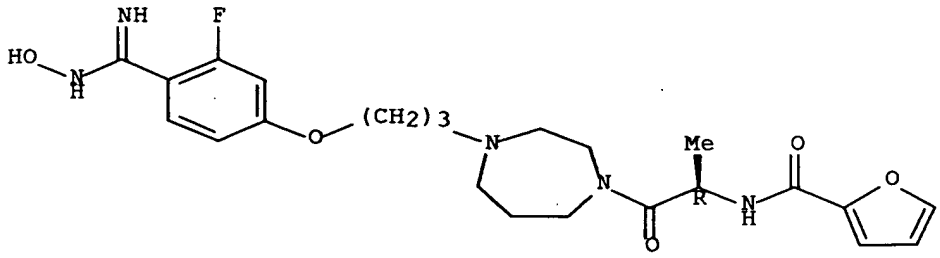
IT 360553-49-7P 360553-60-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; cyclic and bicyclic diamino histamine-3 receptor antagonists)

RN 360553-49-7 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[3-[4-[(hydroxyamino)iminomethyl]phenoxy]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 360553-60-2 CAPLUS
CN 2-Furancarboxamide, N-[(1R)-2-[4-[3-[3-fluoro-4-[(hydroxyamino)iminomethyl]phenoxy]propyl]hexahydro-1H-1,4-diazepin-1-yl]-1-methyl-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L10 ANSWER 5 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2001:851131 CAPLUS Full-text
DN 136:6006

TI Preparation of arylpyrazinones as coagulation cascade serine protease inhibitors

IN South, Michael S.; Parlow, John J.; Jones, Darin E.; Case, Brenda; Dice, Tom; Lindmark, Richard; Hayes, Michael J.; Rueppel, Melvin L.; Fenton, Rick; Franklin, Gary W.; Huang, Horng-Chih; Huang, Wei; Kusturin, Carrie; Long, Scott A.; Neumann, William L.; Reitz, David; Trujillo, John I.; Wang, Ching-Cheng; Wood, Rhonda; Zeng, Qingping; Mahoney, Matthew W.

PA Pharmacia Corporation, USA

SO PCT Int. Appl., 578 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

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	US 6908919	B2	20050621				
				US 1999-134958P	P	19990519	
				US 2000-574752	A2	20000518	
				US 2000-716961	A3	20001120	
	US 7119094	B1	20061010	US 2003-276174		20030717	
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	US 2005043313	A1	20050224	US 2004-940693		20040914	
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				US 2000-716961	A3	20001120	
				US 2002-195573	A1	20020715	

PATENT FAMILY INFORMATION:

FAN 2000:824233

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000069834	A1	20001123	WO 2000-US8225	20000518
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ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

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CA 2372617	A1	20001123	CA 2000-2372617		20000518
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			WO 2000-US8225	W	20000518
EP 1202975	A1	20020508	EP 2000-931916		20000518
EP 1202975	B1	20050406			

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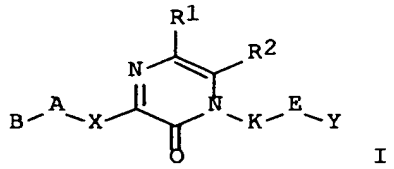
			WO 2000-US8225	W	20000518
BR 2000011295	A	20020528	BR 2000-11295		20000518
			US 1999-134958P	P	19990519
			WO 2000-US8225	W	20000518
JP 2002544264	T	20021224	JP 2000-618251		20000518
			US 1999-134958P	P	19990519
			WO 2000-US8225	W	20000518
HU 200202191	A2	20021228	HU 2002-2191		20000518
			US 1999-134958P	P	19990519
			WO 2000-US8225	W	20000518
AU 775229	B2	20040722	AU 2000-49723		20000518
			US 1999-134958P	P	19990519
			WO 2000-US8225	W	20000518
NZ 514876	A	20050324	NZ 2000-514876		20000518
			US 1999-134958P	P	19990519
			WO 2000-US8225	W	20000518
AT 292629	T	20050415	AT 2000-931916		20000518
			US 1999-134958P	P	19990519
			WO 2000-US8225	W	20000518
ES 2240100	T3	20051016	ES 2000-931916		20000518
			US 1999-134958P	P	19990519
EP 1586565	A1	20051019	EP 2005-7394		20000518

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL

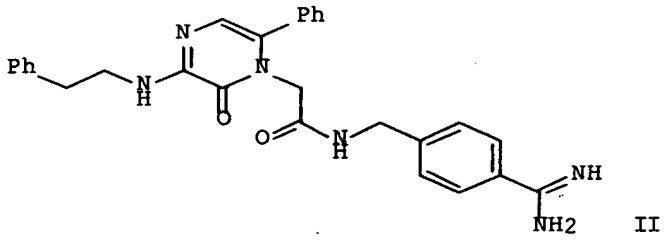
			US 1999-134958P	P	19990519
			EP 2000-931916	A3	20000518
ZA 2001009341	A	20040315	ZA 2001-9341		20011113
			US 1999-134958P	P	19990519
NO 2001005605	A	20020118	NO 2001-5605		20011116
			US 1999-134958P	P	19990519
			WO 2000-US8225	W	20000518
US 2004006230	A1	20040108	US 2002-195573		20020715
US 6908919	B2	20050621			
			US 1999-134958P	P	19990519
			US 2000-574752	A2	20000518
			US 2000-716961	A3	20001120
US 2004102448	A1	20040527	US 2003-691958		20031023
			US 1999-134958P	P	19990519
			US 2000-574752	A1	20000518
ZA 2004000455	A	20040719	ZA 2004-455		20040121
			US 1999-134958P	P	19990519
US 2005043313	A1	20050224	US 2004-940693		20040914
			US 1999-134958P	P	19990519
			US 2000-574752	A2	20000518

US 2000-716961 A3 20001120
US 2002-195573 A1 20020715

OS MARPAT 136:6006
GI



I



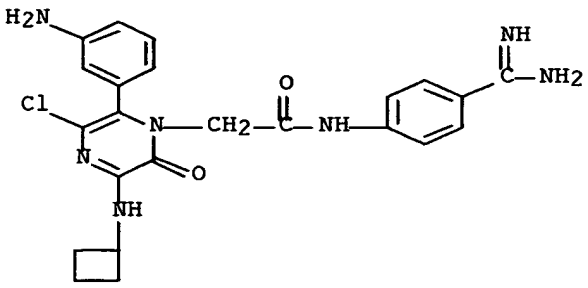
II

AB The title compds. [I; B = (un)substituted Ph, 5-6 membered heteroaryl, etc.; A = a bond, CH2, etc.; X = NH, NOH; R1 = H, alkyl, CN, etc.; R2 = (un)substituted Ph, CH2Ph, etc.; K = CH2, (CH2)2, etc.; E = a bond, CO, CONH, etc.; Y = 4-amidinobenzyl, benzimidazol-5-ylmethyl, etc.], useful for the treatment and prevention of a variety of thrombotic conditions including coronary artery and cerebrovascular diseases, were prepared E.g., a multi-step synthesis of II.3HCl, starting from H2NCH2CO2CH2Ph, was described. Data for inhibitory activity of title compds. I toward TF-VIIa, thrombin II, factor Xa, and trypsin II, were given.

IT 374670-65-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted polycyclic aryl and heteroaryl pyrazinones for selective inhibition of the coagulation cascade)

RN 374670-65-2 CAPLUS

CN 1(2H)-Pyrazineacetamide, N-[4-(aminoiminomethyl)phenyl]-6-(3-aminophenyl)-5-chloro-3-(cyclobutylamino)-2-oxo- (9CI) (CA INDEX NAME)



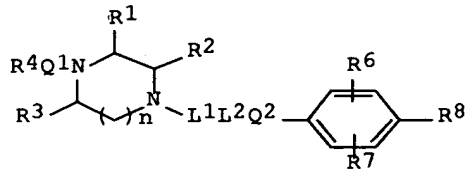
RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 6 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2001:676758 CAPLUS Full-text
DN 135:242249
TI Preparation of piperazines, homopiperazines, and related compounds as histamine H3 receptor antagonists.
IN Bennani, Youssef L.; Black, Lawrence A.; Dwight, Wesley J.; Faghih, Ramin; Gentles, Robert G.; Liu, Huaqing; Phelan, Kathleen M.; Vasudevan, Anil; Zhang, Henry Q.
PA Abbott Laboratories, USA
SO PCT Int. Appl., 211 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001066534	A2	20010913	WO 2001-US6885	20010305 <--
WO 2001066534	A3	20031016		

W: CA, JP, MX
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR
US 2000-521973 A 20000309

OS MARPAT 135:242249
GI

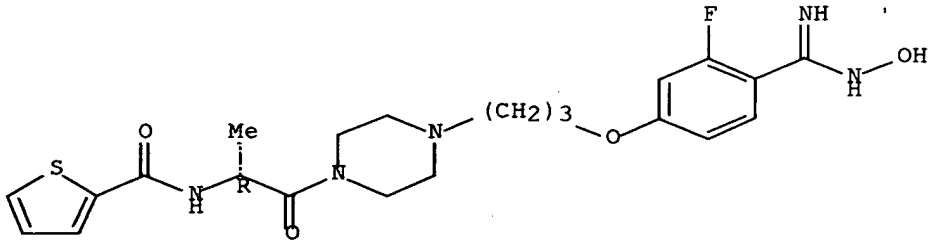


I

AB Title compds. [I; L1 = null, (substituted) cycloalkyl, cycloalkylalkylene; L2 = null, alkylene, arylalkylene; ≥1 of L1, L2 is present; Q1 = CO, CS, CH2, SO2, etc.; Q2 = O, S, SO, SO2, C.tplbond.C; R1-R3 = H, alkyl; R4 = alkoxy, alkyl, amino, (substituted) aryl, aryloxy, cycloalkyl, cycloalkoxy, etc.; R6, R7 = H, alkyl, alkenyl, alkynyl, alkoxy, amino, N3, CHO, etc.; adjoining R6R7 = OCH2CO; R8 = alkyl, alkanoyl, alkoxy, alkoxycarbonyl, amino, (substituted) aryl, aralkyl, aroyl, etc.; n = 1, 2], were prepared Thus, tert-Bu (1S)-2-[4-[3-[4- (cyclopropylcarbonyl)phenoxy]propyl]-1-piperazinyl]-1-methyl-2-oxoethylcarbamate (preparation given) in CH2Cl2 was stirred with CF3CO2H at 0° to room temperature to give 83% to give [4-[3-[4-[(2S)-2- aminopropanoyl]-1-piperazinyl]propoxy]phenyl](cyclopropyl)methanone. This showed IC50 = 19.6 nM in a histamine H3 receptor binding assay.
IT 360554-00-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of piperazines, homopiperazines, and related compds. as histamine H3 receptor antagonists)
RN 360554-00-3 CAPLUS
CN 2-Thiophenecarboxamide, N-[(1R)-2-[4-[3-[3-fluoro-4-[(hydroxyamino)iminomethyl]phenoxy]propyl]-1-piperazinyl]-1-methyl-2-

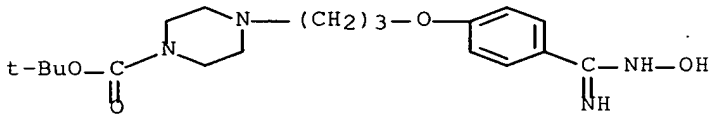
oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

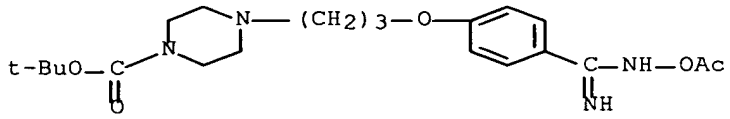


IT 360553-49-7P 360553-50-0P 360553-60-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of piperazines, homopiperazines, and related compds. as histamine H3 receptor antagonists)

RN 360553-49-7 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[3-[4-[(hydroxyamino)iminomethyl]phenoxy]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

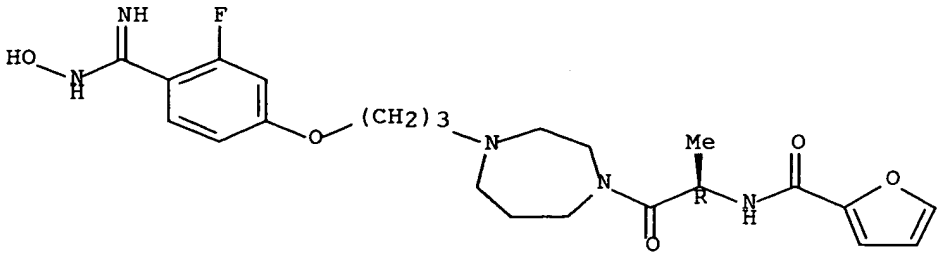


RN 360553-50-0 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[3-[4-[[(acetyloxy)amino]iminomethyl]phenoxy]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 360553-60-2 CAPLUS
CN 2-Furancarboxamide, N-[(1R)-2-[4-[3-[3-fluoro-4-[(hydroxyamino)iminomethyl]phenoxy]propyl]hexahydro-1H-1,4-diazepin-1-yl]-1-methyl-2-oxoethyl]- (9CI) (CA INDEX NAME)

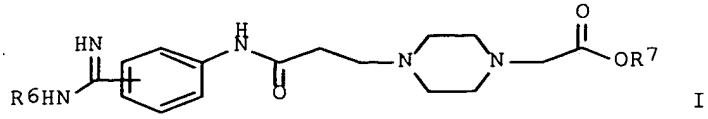
Absolute stereochemistry.



L10 ANSWER 7 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2001:545679 CAPLUS Full-text
DN 135:137523
TI Preparation of piperazinylpropionylaminophenylamidines as inhibitors of cell aggregation and cell-matrix interaction.
IN Himmelsbach, Frank; Guth, Brian
PA Boehringer Ingelheim Pharma K.-G., Germany
SO PCT Int. Appl., 28 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001053280	A1	20010726	WO 2001-EP372	20010113 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
			DE 2000-10002510	A 20000121
DE 10002510	A1	20010726	DE 2000-10002510	20000121 <--
CA 2397533	A1	20010726	CA 2001-2397533	20010113 <--
			DE 2000-10002510	A 20000121
			WO 2001-EP372	W 20010113
EP 1255744	A1	20021113	EP 2001-903646	20010113 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
			DE 2000-10002510	A 20000121
			WO 2001-EP372	W 20010113
JP 2003520791	T	20030708	JP 2001-553755	20010113
			DE 2000-10002510	A 20000121
			WO 2001-EP372	W 20010113
US 2003096824	A1	20030522	US 2002-181576	20021021
US 6838460	B2	20050104		
			DE 2000-10002510	A 20000121
			WO 2001-EP372	W 20010113

OS MARPAT 135:137523
GI



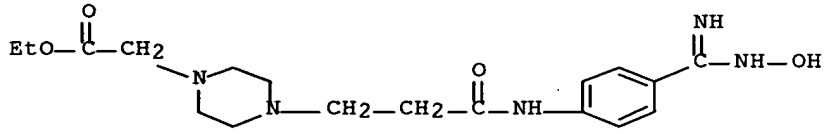
I

AB Title compds. (I; R6 = OH, alkoxycarbonyl, arylcarbonyl, aralkoxycarbonyl; R7 = H, alkyl, cycloalkyl, phenylalkyl, etc.), were prepared Thus, 4-[2-[(4-amidinophenyl)aminocarbonyl]ethyl]-1- (ethoxycarbonyl)methylpiperazine triacetate (preparation given) in acetone/H2O at 0° was treated with K2CO3 and octyl chloroformate followed by stirring overnight at room temperature to give 45% 4-[2-[(4- octyloxycarbonylamidinophenyl)aminocarbonyl]ethyl]-1-(ethoxycarbonyl)methylpiperazine. The corresponding hexyloxy compound at 1 mg/kg orally in monkeys gave after 4 h a plasma concentration of 4-[2-[(4-amidinophenyl)aminocarbonyl]ethyl]-1-carboxymethylpiperazine of 316 nM.

IT 351417-11-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of piperazinylpropionylaminophenylamidines as inhibitors of cell aggregation and cell-matrix interaction)

RN 351417-11-3 CAPLUS

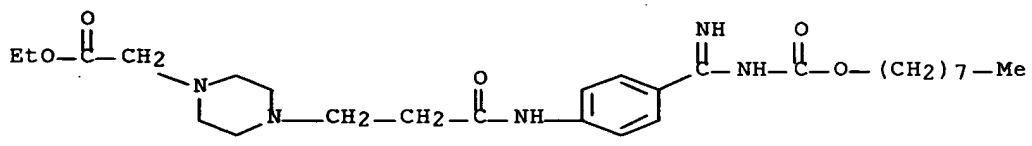
CN 1-Piperazineacetic acid, 4-[3-[[4-[(hydroxyamino)iminomethyl]phenyl]amino]-3-oxopropyl]-, ethyl ester (9CI) (CA INDEX NAME)



IT 351417-07-7P 351417-08-8P 351417-09-9P
351417-10-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperazinylpropionylaminophenylamidines as inhibitors of cell aggregation and cell-matrix interaction)

RN 351417-07-7 CAPLUS

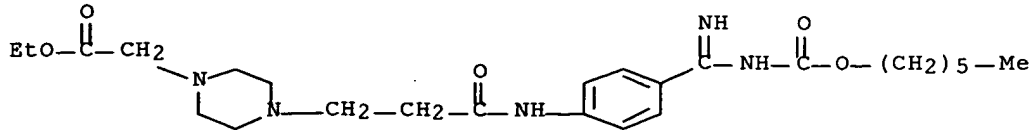
CN 1-Piperazineacetic acid, 4-[3-[[4-[imino[[(octyloxy)carbonyl]amino]methyl]phenyl]amino]-3-oxopropyl]-, ethyl ester (9CI) (CA INDEX NAME)



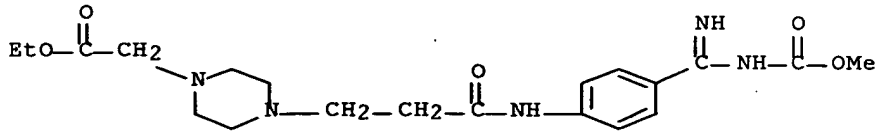
RN 351417-08-8 CAPLUS

CN 1-Piperazineacetic acid, 4-[3-[[4-[[[(hexyloxy)carbonyl]amino]iminomethyl]

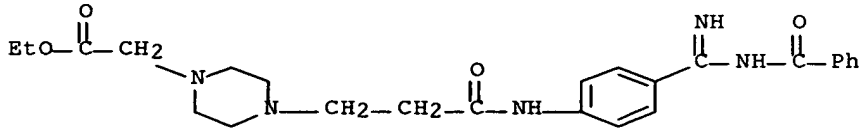
phenyl]amino]-3-oxopropyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 351417-09-9 CAPLUS
CN 1-Piperazineacetic acid, 4-[3-[[4-[imino[(methoxycarbonyl)amino]methyl]phenyl]amino]-3-oxopropyl]-, ethyl ester (9CI) (CA INDEX NAME)



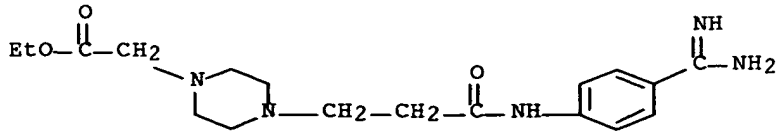
RN 351417-10-2 CAPLUS
CN 1-Piperazineacetic acid, 4-[3-[[4-[(benzoylamino)iminomethyl]phenyl]amino]-3-oxopropyl]-, ethyl ester (9CI) (CA INDEX NAME)



IT 351417-14-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of piperazinylpropionylaminophenylamidines as inhibitors of cell aggregation and cell-matrix interaction)
RN 351417-14-6 CAPLUS
CN 1-Piperazineacetic acid, 4-[3-[[4-(aminoiminomethyl)phenyl]amino]-3-oxopropyl]-, ethyl ester, triacetate (9CI) (CA INDEX NAME)

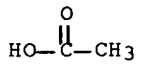
CM 1

CRN 351417-13-5
CMF C18 H27 N5 O3



CM 2

CRN 64-19-7
CMF C2 H4 O2



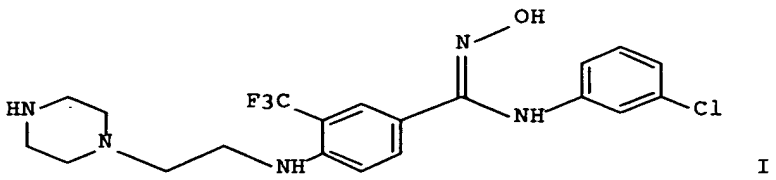
RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 8 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2001:526050 CAPLUS Full-text
DN 135:107149
TI Synthesis, antibacterial activity and RNA polymerase inhibition of phenylamidine derivs.
IN Li, Leping; Chen, Xiaoqui; Fan, Pingchen; Mihalic, Jeffrey Thomas; Cutler, Serena
PA Tularik Inc., USA
SO PCT Int. Appl., 104 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE	
PI WO 2001051456	A2	20010719	WO 2001-US1219		20010112	<--
WO 2001051456	A3	20011220				
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW						
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG						
			US 2000-175892P	P	20000113	
CA 2397575	A1	20010719	CA 2001-2397575		20010112	<--
			US 2000-175892P	P	20000113	
			WO 2001-US1219	W	20010112	
US 2002045749	A1	20020418	US 2001-759633		20010112	<--
US 6780858	B2	20040824				
			US 2000-175892P	P	20000113	
EP 1246795	A2	20021009	EP 2001-914329		20010112	<--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR						
			US 2000-175892P	P	20000113	
			WO 2001-US1219	W	20010112	
JP 2003519676	T	20030624	JP 2001-551838		20010112	
			US 2000-175892P	P	20000113	
			WO 2001-US1219	W	20010112	
US 2004235911	A1	20041125	US 2004-877408		20040625	
US 7053234	B2	20060530				

			US 2000-175892P	P 20000113
			US 2001-759633	A1 20010112
US 2006270651	A1	20061130	US 2006-344111	20060201
US 7148259	B1	20061212		
			US 2000-175892P	P 20000113
			US 2001-759633	A1 20010112
			US 2004-877408	A3 20040625

OS MARPAT 135:107149
GI



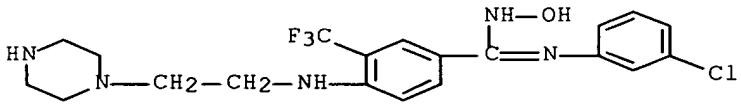
I

AB Synthesis of hydroxyamidines, e.g. (I) and related compds. are disclosed which are suitable as antibacterial agents by their inhibition of RNA polymerase. Antibacterial activity against S. aureus and E. coli are given.

IT 350487-97-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis, antibacterial activity and RNA polymerase inhibition of phenyl- and heterocyclylhydroxyamidine derivs.)

RN 350487-97-7 CAPLUS

CN Benzenecarboximidamide, N-(3-chlorophenyl)-N'-hydroxy-4-[[2-(1-piperazinyl)ethyl]amino]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



L10 ANSWER 9 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1999:460399 CAPLUS Full-text

DN 131:87814

TI Indole derivatives as inhibitors of factor Xa, and their preparation and use as anticoagulants

IN Defossa, Elisabeth; Heinelt, Uwe; Klingler, Otmar; Zoller, Gerhard; Al-Obeidi, Fahad; Walser, Armin; Wildgoose, Peter; Matter, Hans

PA Hoechst Marion Roussel Deutschland GmbH, Germany

SO PCT Int. Appl., 199 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9933800	A1	19990708	WO 1998-EP8030	19981210 <--

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW

RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

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OS MARPAT 131:87814
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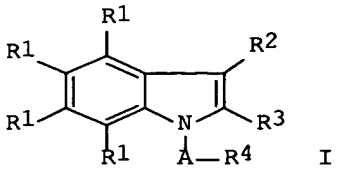

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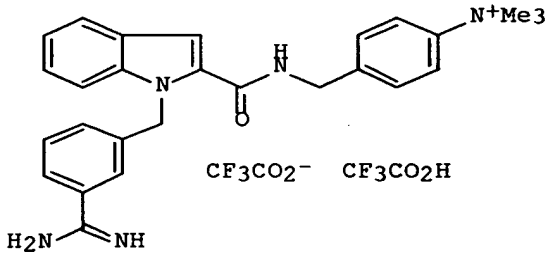


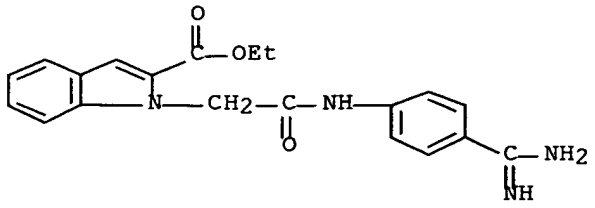
II

AB The invention relates to the inhibition of blood clotting proteins, and more particularly, to indole derivs. or their physiol. acceptable salts which effect this, having formula I [R1 groups = H, halo, alkyl, CF3, (un)substituted Ph or phenylalkoxy, etc., with ≥2 of R1 being H; ≥1 of R2 and R3 = (CH2)0-2CO2H or derivs., other = H, F, Cl, Br, or alkyl; or R2R3 = CH2CH2N(COPh)CH2 or analogs; A = bond, alk(en/yn)ylene, CO, SO, SO2, etc.; R4 = (un)substituted Ph, pyridyl, or other heterocyclyl]. I are inhibitors of the blood clotting enzyme factor Xa. The invention also relates to processes for the preparation of I, to methods of inhibiting factor Xa activity and blood clotting, to use of I in the treatment and prophylaxis of associated (e.g., thromboembolic) diseases, and to the use of I in the preparation of related medicaments. The invention further relates to compns. containing I, in particular pharmaceutical compns. containing a compound I and pharmaceutically acceptable carriers and/or auxiliary substances. Over 160 compds. I were prepared For instance, 1H-indole-2-carboxylic acid Et ester underwent a 5-step sequence to give title salt II. This preparation involved (1) N-alkylation with 3-cyanobenzyl bromide, (2) alkaline hydrolysis of the ester, (3) amidation with 4-(Me2N)C6H4CH2NH2.2HCl, (4) conversion of the nitrile to a thioamide, and (5) quaternization at dimethylamino, and ammonolysis of the thioamide to an amidine. In an assay using human factor Xa in vitro, II had a Ki value of 0.090 μM.

IT 229951-81-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(target compound; preparation of indole derivs. as inhibitors of factor Xa)

RN 229951-81-9 CAPLUS

CN 1H-Indole-2-carboxylic acid, 1-[2-[[4-(aminoiminomethyl)phenyl]amino]-2-oxoethyl]-, ethyl ester, monohydriodide (9CI) (CA INDEX NAME)



● HI

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 10 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1999:394051 CAPLUS Full-text
DN 131:44847
TI Preparation of heterocyclylbenzamidines as blood-coagulation factor Xa inhibitors
IN Dorsch, Dieter; Juraszyk, Horst; Wurziger, Hanns; Gante, Joachim; Mederski, Werner; Buchstaller, Hans-Peter; Anzali, Soheila; Bernotat-Danielowski, Sabine; Melzer, Guido
PA Merck Patent G.m.b.H., Germany
SO Ger. Offen., 36 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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CA 2313651	A1	19990624	CA 1998-2313651	19981127 <--
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WO 9931092	A1	19990624	WO 1998-EP7673	19981127 <--
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OS MARPAT 131:44847

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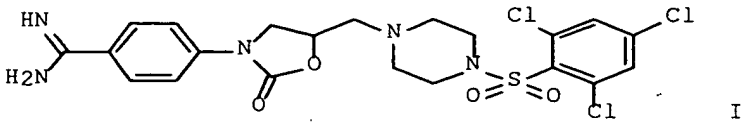

I

AB R1Z1Z2CH2CH(OR3)CH2Z3Z4R4 [R1 = (acyl- or hydroxy-substituted) C(:NH)NH2, 5-methyl-1,2,4-oxadiazol-3-yl, etc.; R3 = H, alkyl, CH2Ph, etc.; R4 = (cyclo)alkyl, phenyl(alkyl), heterocyclyl(alkyl), etc.; Z1 = (un)substituted phenylene; Z2 = O or NR5; R5 = H, alkyl, CH2Ph; R3R5 = CO; Z3 = O, NR5, piperazine-1,4-diyl, etc.; Z4 = bond, CO, SO2, CO2, CONR5] were prepared as blood-coagulation factor Xa inhibitors (no data). Thus, 3-[4-(5-methyl-1,2,4-oxadiazol-3-yl)phenyl]-2-oxooxazolidine-5-ylmethyl methanesulfonate (preparation described) was aminated by Boc-piperazine and the deprotected product amidated by 2,4,6-trichlorobenzenesulfonyl chloride to give, after hydrogenation, title compound I.HOAc.

IT 227325-04-4P 227325-05-5P 227325-07-7P
227325-08-8P 227325-09-9P 227325-11-3P
227325-13-5P 227325-15-7P 227325-17-9P
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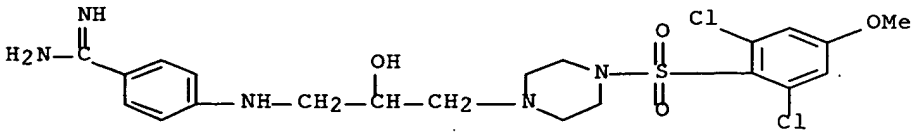
227325-25-9P 227325-27-1P 227325-29-3P
227325-31-7P 227325-33-9P 227326-61-6P
227326-63-8P 227326-65-0P 227326-79-6P
227326-81-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterocyclylbenzamidines as blood-coagulation factor Xa inhibitors)

RN 227325-04-4 CAPLUS

CN Benzenecarboximidamide, 4-[[3-[4-[(2,6-dichloro-4-methoxyphenyl)sulfonyl]-1-piperazinyl]-2-hydroxypropyl]amino]- (9CI) (CA INDEX NAME)



RN 227325-05-5 CAPLUS
CN Benzenecarboximidamide, 4-[[3-[4-[(2,6-dichloro-4-methoxyphenyl)sulfonyl]-1-piperazinyl]-2-hydroxypropyl]amino]-, monoacetate (salt) (9CI) (CA INDEX NAME)

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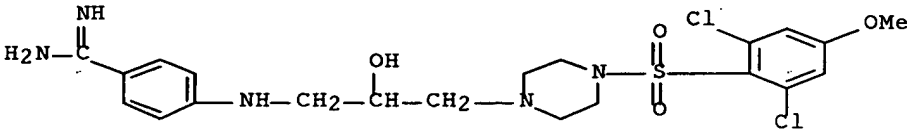

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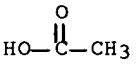

RN 227325-07-7 CAPLUS
CN Benzenecarboximidamide, 4-[[3-[4-[(3-fluoro-4-methoxyphenyl)sulfonyl]-1-piperazinyl]-2-hydroxypropyl]amino]-, monoacetate (salt) (9CI) (CA INDEX NAME)

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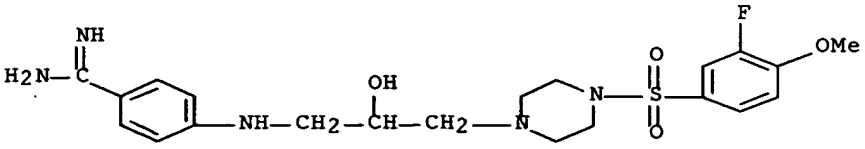

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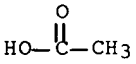

RN 227325-08-8 CAPLUS
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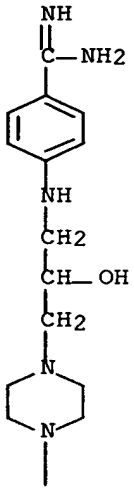

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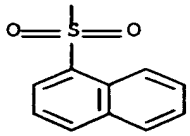

RN 227325-09-9 CAPLUS
CN Benzenecarboximidamide, 4-[[2-hydroxy-3-[4-(1-naphthalenylsulfonyl)-1-piperazinyl]propyl]amino]-, monoacetate (salt) (9CI) (CA INDEX NAME)

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CRN 227325-08-8
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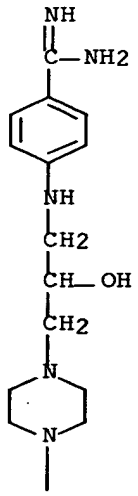

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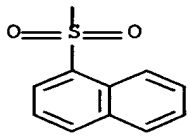

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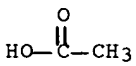

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CN Benzenecarboximidamide, 4-[[2-hydroxy-3-[4-[[4-(trifluoromethyl)phenyl]sulfonyl]-1-piperazinyl]propyl]amino]-, monoacetate (salt) (9CI) (CA INDEX NAME)

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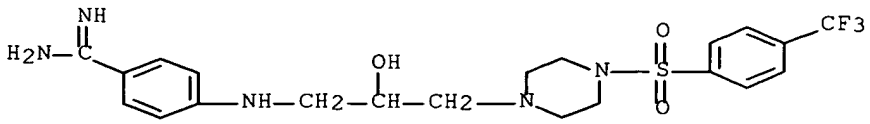

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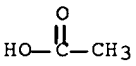

RN 227325-13-5 CAPLUS
CN Benzenecarboximidamide, 4-[[3-[4-([1,1'-biphenyl]-4-ylsulfonyl)-1-piperazinyl]-2-hydroxypropyl]amino]-, monoacetate (salt) (9CI) (CA INDEX NAME)

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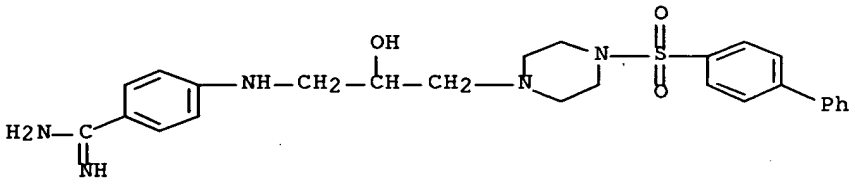

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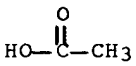

RN 227325-15-7 CAPLUS
CN Benzenecarboximidamide, 4-[[2-hydroxy-3-[4-[[3-(trifluoromethyl)phenyl]sulfonyl]-1-piperazinyl]propyl]amino]-, monoacetate (salt) (9CI) (CA INDEX NAME)

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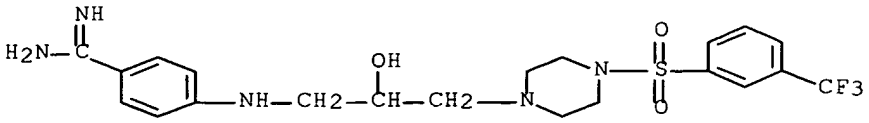

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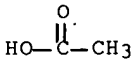

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CN Benzenecarboximidamide, 4-[[2-hydroxy-3-[4-[[4-(trifluoromethoxy)phenyl]sulfonyl]-1-piperazinyl]propyl]amino]-, monoacetate (salt) (9CI) (CA INDEX NAME)

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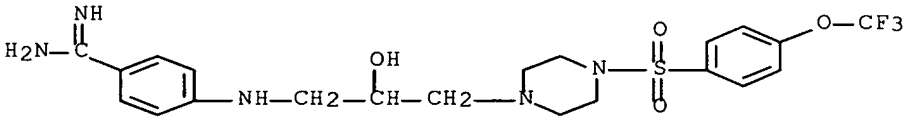

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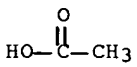

RN 227325-19-1 CAPLUS
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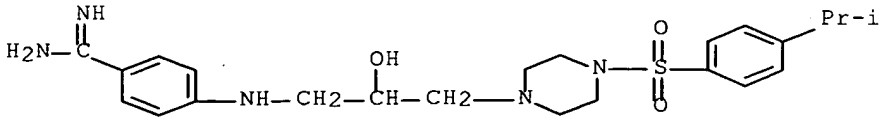

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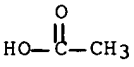
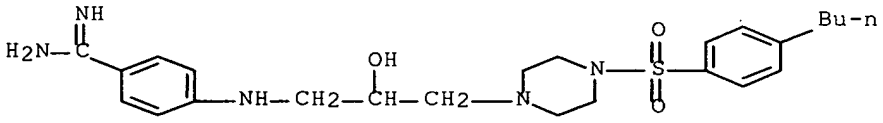


RN 227325-21-5 CAPLUS
CN Benzenecarboximidamide, 4-[[3-[4-[(4-butylphenyl)sulfonyl]-1-piperazinyl]-2-hydroxypropyl]amino]-, monoacetate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 227325-20-4
CMF C24 H35 N5 O3 S



CM 2

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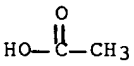
CMF C2 H4 O2

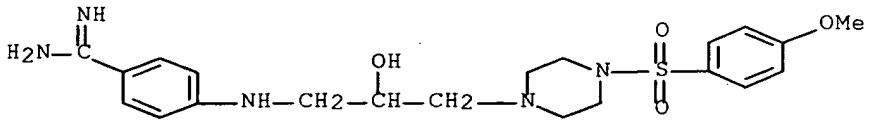


RN 227325-23-7 CAPLUS
CN Benzenecarboximidamide, 4-[[2-hydroxy-3-[4-[(4-methoxyphenyl)sulfonyl]-1-piperazinyl]propyl]amino]-, monoacetate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 227325-22-6

CMF C21 H29 N5 O4 S



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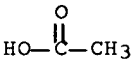
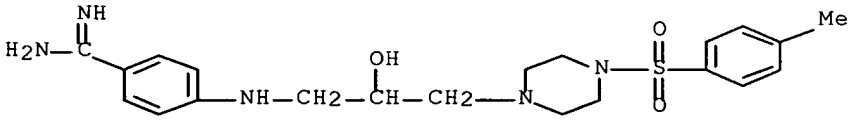


RN 227325-25-9 CAPLUS
CN Benzenecarboximidamide, 4-[[2-hydroxy-3-[4-[(4-methylphenyl)sulfonyl]-1-piperazinyl]propyl]amino]-, monoacetate (salt) (9CI) (CA INDEX NAME)

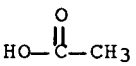
CM 1

CRN 227325-24-8
CMF C21 H29 N5 O3 S



CM 2

CRN 64-19-7
CMF C2 H4 O2



RN 227325-27-1 CAPLUS
CN Benzenecarboximidamide, 4-[[2-hydroxy-3-[4-[(4-propylphenyl)sulfonyl]-1-piperazinyl]propyl]amino]-, monoacetate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 227325-26-0
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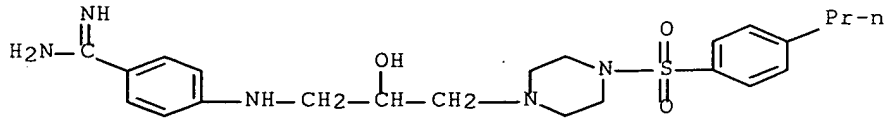
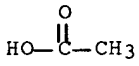


CM 2

CRN 64-19-7
CMF C2 H4 O2



RN 227325-29-3 CAPLUS
CN Benzenecarboximidamide, 4-[[3-[4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-piperazinyl]-2-hydroxypropyl]amino]-, monoacetate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 227325-28-2
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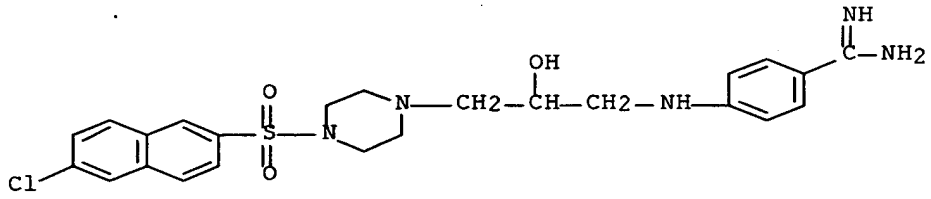
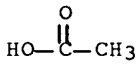


CM 2

CRN 64-19-7
CMF C2 H4 O2



RN 227325-31-7 CAPLUS
CN Benzenecarboximidamide, 4-[[2-hydroxy-3-[4-[(2-phenylethenyl)sulfonyl]-1-piperazinyl]propyl]amino]-, monoacetate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 227325-30-6
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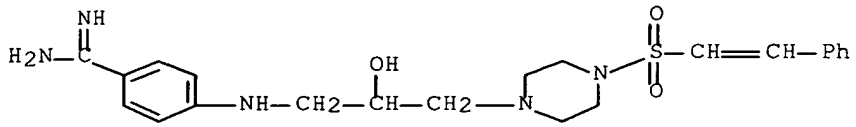

CM 2

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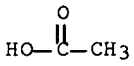
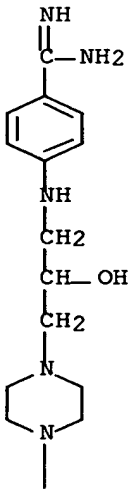


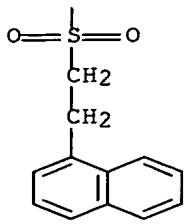
RN 227325-33-9 CAPLUS
CN Benzenecarboximidamide, 4-[[2-hydroxy-3-[4-[[2-(1-naphthalenyl)ethyl]sulfonyl]-1-piperazinyl]propyl]amino]-, monoacetate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 227325-32-8
CMF C26 H33 N5 O3 S

PAGE 1-A





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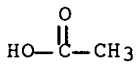
CMF C2 H4 O2



RN 227326-61-6 CAPLUS
CN Benzenecarboximidamide, 4-[[2-hydroxy-3-[4-(2-pyrimidinyl)-1-piperazinyl]propyl]amino]-, monoacetate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 227326-60-5
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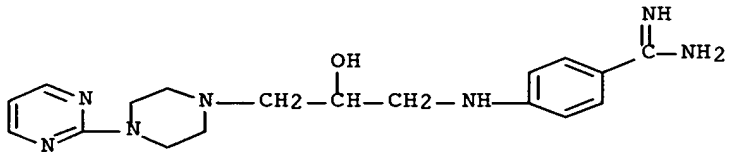

CM 2

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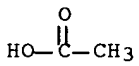
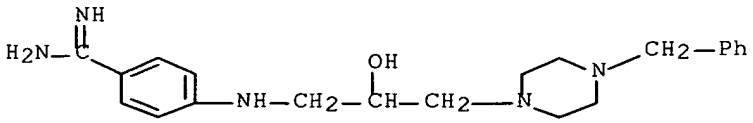


RN 227326-63-8 CAPLUS
CN Benzenecarboximidamide, 4-[[2-hydroxy-3-[4-(phenylmethyl)-1-piperazinyl]propyl]amino]-, monoacetate (salt) (9CI) (CA INDEX NAME)

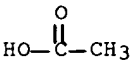
CM 1

CRN 227326-62-7
CMF C21 H29 N5 O



CM 2

CRN 64-19-7
CMF C2 H4 O2



RN 227326-65-0 CAPLUS
CN Benzenecarboximidamide, 4-[[3-[4-(2,1,3-benzothiadiazol-5-yl)-1-piperazinyl]-2-hydroxypropyl]amino]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

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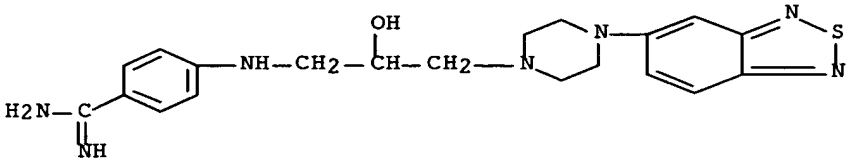

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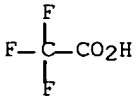

RN 227326-79-6 CAPLUS
CN 1-Piperazinecarboxamide, 4-[3-[[4-(aminoiminomethyl)phenyl]amino]-2-

hydroxypropyl]-N-butyl-, monoacetate (salt) (9CI) (CA INDEX NAME)

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CRN 227326-78-5
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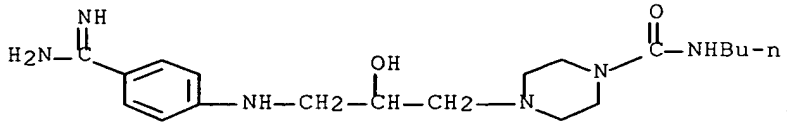
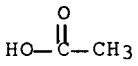


CM 2

CRN 64-19-7
CMF C2 H4 O2



RN 227326-81-0 CAPLUS
CN 1-Piperazinecarboxamide, 4-[3-[[4-(aminoiminomethyl)phenyl]amino]-2-hydroxypropyl]-N-cyclohexyl-, monoacetate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 227326-80-9
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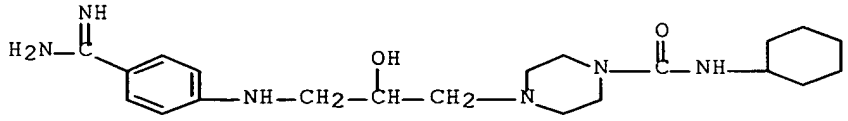

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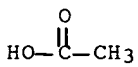

L10 ANSWER 11 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1999:375527 CAPLUS Full-text

DN 131:31874
TI Preparation of amidinophenylpropionylindoles and related compounds as thrombin inhibitors.
IN Heckel, Armin; Walter, Rainer; Soyka, Rainer; Stassen, Jean-Marie; Wienen, Wolfgang; Binder, Klaus
PA Boehringer Ingelheim Pharma KG, Germany
SO PCT Int. Appl., 173 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9928297	A1	19990610	WO 1998-EP7661	19981127 <--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
			DE 1997-19753522 A	19971203
DE 19753522	A1	19990610	DE 1997-19753522	19971203 <--
AU 9922671	A	19990616	AU 1999-22671	19981127 <--
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OS MARPAT 131:31874
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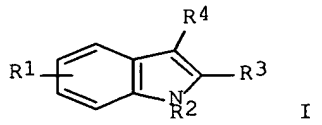
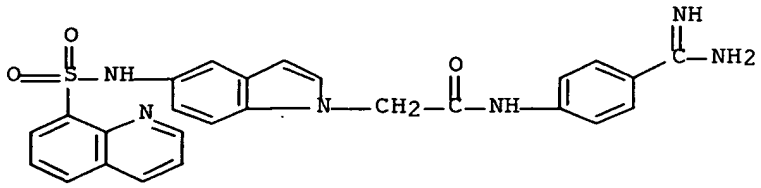


I

AB Title compds. [I; R1 = F, Cl, Br, CO2H, aminocarbonyl, aminosulfonyl, amino, group convertible to CO2H in vivo; 1 of R2, R4 = (CO2H- or group convertible to CO2H in vivo-substituted) alkyl, the other = R5A; A = (CO2H- or group convertible to CO2H in vivo-substituted) alkylene, etc.; R5 = R6NHC(:NH)-substituted Ph; R4 = H, alkyl; R6 = H, in vivo-cleavable group], were prepared as antithrombotics with inhibitory activity against serine proteases XII and fibrinogen receptors. Thus, 3-[3-(4- amidinophenyl)propionyl]-1-methylindole-5-carboxylic acid N-(2-carboxyethyl)-N-phenylamide hydrochloride (preparation given) showed a thrombin time ED200 = 0.80 μM.
IT 226900-39-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amidinophenylpropionylindoles and related compds. as thrombin inhibitors)
RN 226900-39-6 CAPLUS
CN 1H-Indole-1-acetamide, N-[4-(aminoiminomethyl)phenyl]-5-[(8-quinolinylsulfonyl)amino]-, monohydriodide (9CI) (CA INDEX NAME)



● HI

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 12 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1999:375282 CAPLUS Full-text
DN 131:44656
TI Preparation of N-(4-amidinophenyl)phenylglycineamides as factor VIIa/tissue factor inhibitors
IN Grobke, Katrin; Ji, Yu-hua; Wallbaum, Sabine; Weber, Lutz
PA F. Hoffmann-La Roche A.-G., Switz.
SO Eur. Pat. Appl., 46 pp.
CODEN: EPXXDW
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 921116	A1	19990609	EP 1998-122169	19981126 <--
	EP 921116	B1	20030618		
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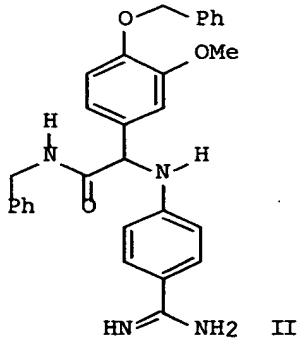
OS MARPAT 131:44656

GI



II

AB RR1NCOCHR2NHZC(:NG1)NHG2 [I; 1 of G1,G2 = H and the other = H, OH, alkyl, alkoxy, etc.; R = (un)substituted alkyl, cycloalkyl, aryl; R1 = H or alkyl; R2 = (un)substituted Ph or -pyridyl; Z = (3-hydroxy) 1,4-phenylene] were prepared Thus, 3,4-(MeO)(PhCH2O)C6H3CHO, 4-(H2N)C6H4C(:NH)NH2, and PhCH2NC were condensed to give, after acidification, title compound II.HCl. Data for biol. activity of I were given.

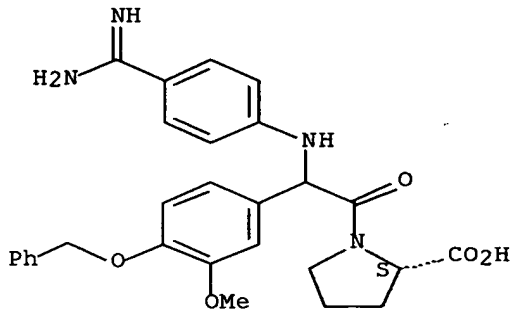
IT 227022-04-0P 227022-13-1P 227022-16-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-(4-amidinophenyl)phenylglycineamides as factor VIIa/tissue
factor inhibitors)

RN 227022-04-0 CAPLUS
CN L-Proline, N-[4-(aminoiminomethyl)phenyl]-2-[3-methoxy-4-(phenylmethoxy)phenyl]glycyl-, acetate (9CI) (CA INDEX NAME)

CM 1

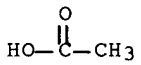
CRN 227022-03-9
CMF C28 H30 N4 O5

Absolute stereochemistry.

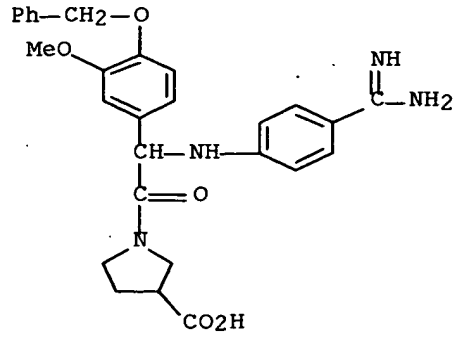


CM 2

CRN 64-19-7
CMF C2 H4 O2



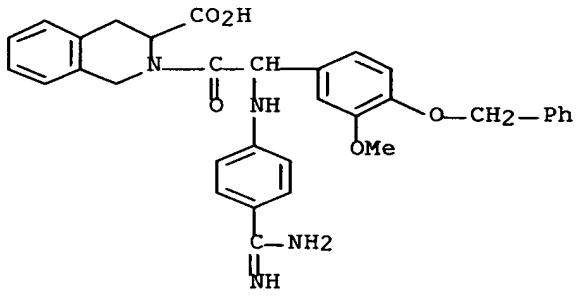
RN 227022-13-1 CAPLUS
CN 3-Pyrrolidinecarboxylic acid, 1-[[[4-(aminoiminomethyl)phenyl]amino][3-methoxy-4-(phenylmethoxy)phenyl]acetyl]- (9CI) (CA INDEX NAME)



RN 227022-16-4 CAPLUS
CN 3-Isoquinolinecarboxylic acid, 2-[[[4-(aminoiminomethyl)phenyl]amino][3-methoxy-4-(phenylmethoxy)phenyl]acetyl]-1,2,3,4-tetrahydro-, monoacetate (9CI) (CA INDEX NAME)

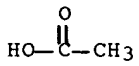
CM 1

CRN 227022-15-3
CMF C33 H32 N4 O5



CM 2

CRN 64-19-7
CMF C2 H4 O2



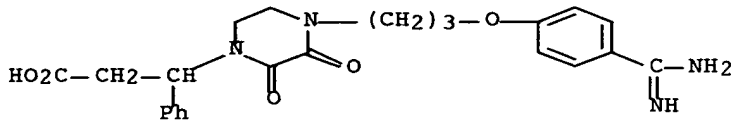
RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 13 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1999:303224 CAPLUS Full-text
DN 131:9621
TI Pharmaceutical tapes containing 2, 3-diketopiperazine derivatives or salts
IN Yamakawa, Tetsunori; Takakura, Keiko; Kubo, Yoshiko; Kotani, Masahiro

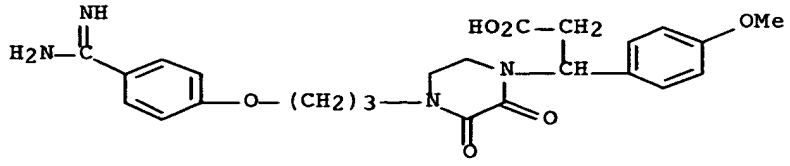
PA Toyama Chemical Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 8 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 11124332	A	19990511	JP 1998-241086	19980812 <--
			JP 1997-231697 A	19970813

OS MARPAT 131:9621
AB Pharmaceutical tapes comprise a support layer and a plaster layer contg. 2, 3-diketopiperazine derivs. or salts [such as 3-[4-[3-[4- amidinopheoxy]propyl]-2,3-dioxopiperazin-1-yl]-3-[pyridin-3-yl]propionic acid], urea, polyethylene glycol and/or polypropylene glycol and N-containing polymer membrane agents. The prepns. showed high bioavailability.
IT 179415-67-9 179415-71-5 179415-76-0
179415-82-8 179415-84-0 179415-85-1
225367-83-9 225367-90-8
RL: DEV (Device component use); PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(pharmaceutical tapes containing 2, 3-diketopiperazine derivs. or salts and other ingredients)
RN 179415-67-9 CAPLUS
CN 1-Piperazinepropanoic acid, 4-[3-[4-(aminoiminomethyl)phenoxy]propyl]-2,3-dioxo-β-phenyl- (9CI) (CA INDEX NAME)

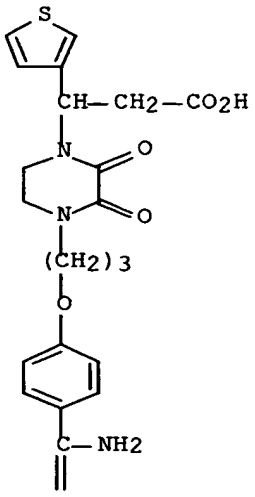


RN 179415-71-5 CAPLUS
CN 1-Piperazinepropanoic acid, 4-[3-[4-(aminoiminomethyl)phenoxy]propyl]-β-(4-methoxyphenyl)-2,3-dioxo- (9CI) (CA INDEX NAME)



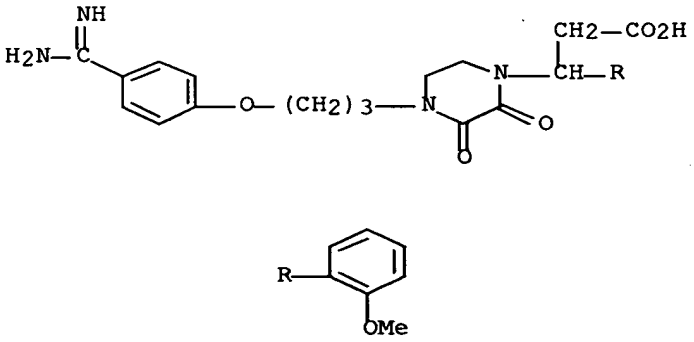
RN 179415-76-0 CAPLUS
CN 1-Piperazinepropanoic acid, 4-[3-[4-(aminoiminomethyl)phenoxy]propyl]-2,3-dioxo-β-3-thienyl- (9CI) (CA INDEX NAME)

PAGE 1-A

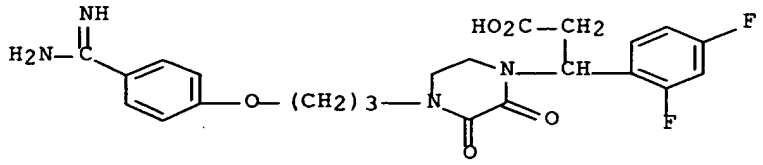


PAGE 2-A

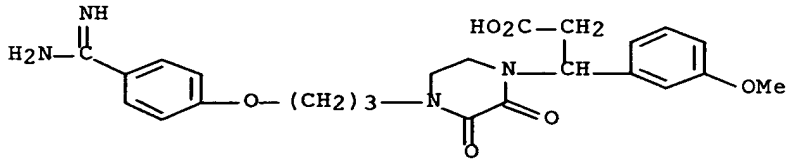
RN 179415-82-8 CAPLUS
CN 1-Piperazinepropanoic acid, 4-[3-[4-(aminoiminomethyl)phenoxy]propyl]-β-(2-methoxyphenyl)-2,3-dioxo- (9CI) (CA INDEX NAME)



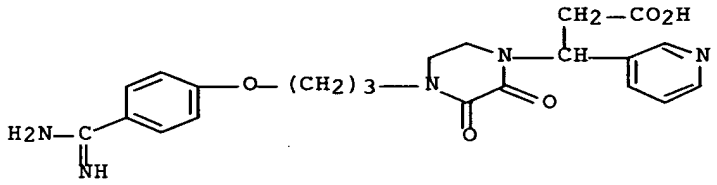
RN 179415-84-0 CAPLUS
CN 1-Piperazinepropanoic acid, 4-[3-[4-(aminoiminomethyl)phenoxy]propyl]-β-(2,4-difluorophenyl)-2,3-dioxo- (9CI) (CA INDEX NAME)



RN 179415-85-1 CAPLUS
CN 1-Piperazinepropanoic acid, 4-[3-[4-(aminoiminomethyl)phenoxy]propyl]-β-(3-methoxyphenyl)-2,3-dioxo- (9CI) (CA INDEX NAME)

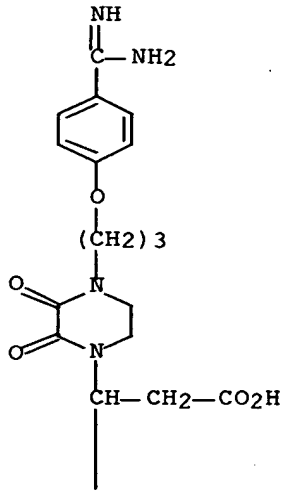


RN 225367-83-9 CAPLUS
CN 1-Piperazinepropanoic acid, 4-[3-[4-(aminoiminomethyl)phenoxy]propyl]-2,3-dioxo-β-3-pyridinyl- (9CI) (CA INDEX NAME)

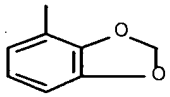


RN 225367-90-8 CAPLUS
CN 1-Piperazinepropanoic acid, 4-[3-[4-(aminoiminomethyl)phenoxy]propyl]-β-1,3-benzodioxol-4-yl-2,3-dioxo- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



L10 ANSWER 14 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1999:233904 CAPLUS Full-text
DN 130:282084
TI Benzamidine derivatives as factor Xa inhibitors
IN Dorsch, Dieter; Juraszyk, Horst; Wurziger, Hanns; Bernotat-Danielowski, Sabine; Melzer, Guido
PA Merck Patent G.m.b.H., Germany
SO PCT Int. Appl., 79 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9916751	A1	19990408	WO 1998-EP5898	19980916 <--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
			DE 1997-19743435 A	19971001
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CA 2305568	A1	19990408	CA 1998-2305568	19980916 <--
			DE 1997-19743435 A	19971001
			WO 1998-EP5898 W	19980916

AU 9895407	A	19990423	AU 1998-95407		19980916	<--
AU 736080	B2	20010726				
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EP 1025086	A1	20000809	EP 1998-948982		19980916	<--
EP 1025086	B1	20030625				
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			WO 1998-EP5898	W	19980916	
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			DE 1997-19743435	A	19971001	
			WO 1998-EP5898	W	19980916	
HU 200004306	A2	20011128	HU 2000-4306		19980916	<--
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			WO 1998-EP5898	W	19980916	
SK 282799	B6	20021203	SK 2000-447		19980916	<--
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			WO 1998-EP5898	W	19980916	
RU 2194044	C2	20021210	RU 2000-110737		19980916	<--
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			WO 1998-EP5898	W	19980916	
AT 243681	T	20030715	AT 1998-948982		19980916	
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IN 1998CA01737	A	20050311	IN 1998-CA1737		19980925	
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ZA 9808937	A	19990331	ZA 1998-8937		19980930	<--
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OS MARPAT 130:282084

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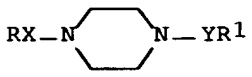

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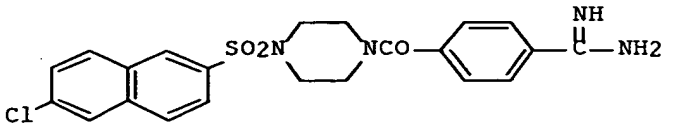


II

AB Title compds. I [X = bond, CO, (un)substituted CH2, CH2CH2, CH2CO, CH2CH2CO, CH:CHCO, NHCO; Y = (un)substituted CH2, SO2, CO, CO2, CONH; R = (un)substituted Ph; R1 = H, (un)substituted alkyl, oxaalkyl, thiaalkyl, alkenyl, cycloalkyl, aryl, aryloxy, heterocyclic, aralkenyl] are inhibitors of

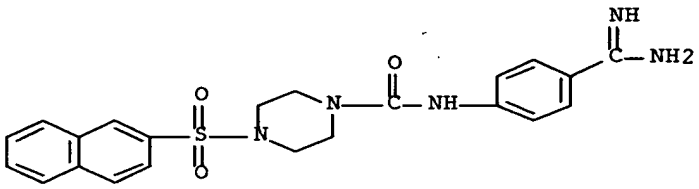
coagulation factor Xa and can be used for preventing or treating thromboembolic disorders (no data). Thus, 4-(5-methyl-1,2,4- oxadiazol-3-yl)benzoic acid was converted to the acid chloride, treated with N-tert.-butoxycarbonylpiperazine, and deblocked to give [4-(5-methyl-1,2,4-oxadiazol-3-yl)phenyl]piperazin-1-ylmethanone which was treated with 6-chloro-2-naphthalenesulfonyl chloride and reduced to give the benzamidine II.

IT 222544-35-6P 222544-37-8P 222544-39-0P
222544-41-4P 222544-43-6P 222544-45-8P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperazinylbenzamidine derivs. as factor Xa inhibitors)

RN 222544-35-6 CAPLUS
CN 1-Piperazinecarboxamide, N-[4-(aminoiminomethyl)phenyl]-4-(2-naphthalenylsulfonyl)-, monoacetate (9CI) (CA INDEX NAME)

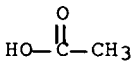
CM 1

CRN 222544-34-5
CMF C22 H23 N5 O3 S



CM 2

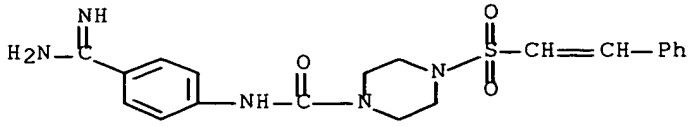
CRN 64-19-7
CMF C2 H4 O2



RN 222544-37-8 CAPLUS
CN 1-Piperazinecarboxamide, N-[4-(aminoiminomethyl)phenyl]-4-[(2-phenylethenyl)sulfonyl]-, monoacetate (9CI) (CA INDEX NAME)

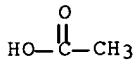
CM 1

CRN 222544-36-7
CMF C20 H23 N5 O3 S



CM 2

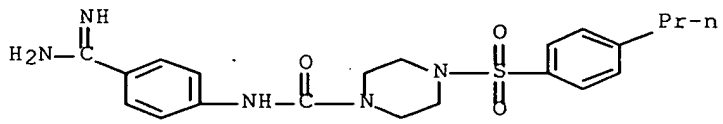
CRN 64-19-7
CMF C2 H4 O2



RN 222544-39-0 CAPLUS
CN 1-Piperazinecarboxamide, N-[4-(aminoiminomethyl)phenyl]-4-[(4-propylphenyl)sulfonyl]-, monoacetate (9CI) (CA INDEX NAME)

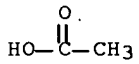
CM 1

CRN 222544-38-9
CMF C21 H27 N5 O3 S



CM 2

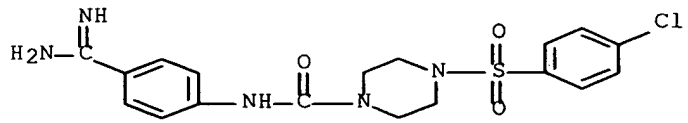
CRN 64-19-7
CMF C2 H4 O2



RN 222544-41-4 CAPLUS
CN 1-Piperazinecarboxamide, N-[4-(aminoiminomethyl)phenyl]-4-[(4-chlorophenyl)sulfonyl]-, monoacetate (9CI) (CA INDEX NAME)

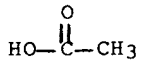
CM 1

CRN 222544-40-3
CMF C18 H20 Cl N5 O3 S



CM 2

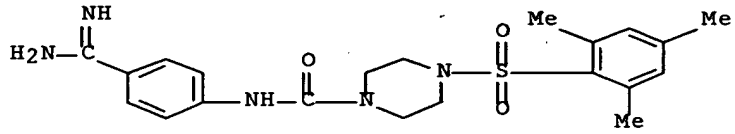
CRN 64-19-7
CMF C2 H4 O2



RN 222544-43-6 CAPLUS
CN 1-Piperazinecarboxamide, N-[4-(aminoiminomethyl)phenyl]-4-[(2,4,6-trimethylphenyl)sulfonyl]-, monoacetate (9CI) (CA INDEX NAME)

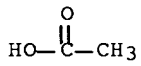
CM 1

CRN 222544-42-5
CMF C21 H27 N5 O3 S



CM 2

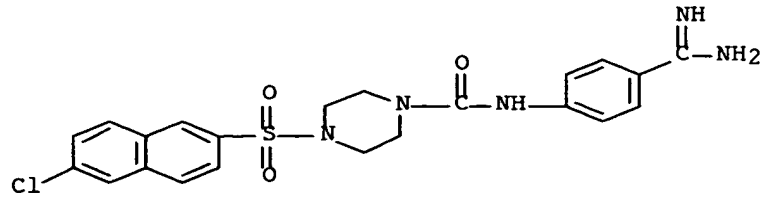
CRN 64-19-7
CMF C2 H4 O2



RN 222544-45-8 CAPLUS
CN 1-Piperazinecarboxamide, N-[4-(aminoiminomethyl)phenyl]-4-[(6-chloro-2-naphthalenyl)sulfonyl]-, monoacetate (9CI) (CA INDEX NAME)

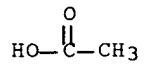
CM 1

CRN 222544-44-7
CMF C22 H22 Cl N5 O3 S



CM 2

CRN 64-19-7
CMF C2 H4 O2



RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 15 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1999:35065 CAPLUS Full-text
DN 130:110166
TI Preparation of amidinophenylpropionyltetrahydroquinolines and related compounds as antithrombotics.
IN Heckel, Armin; Soyka, Rainer; Grell, Wolfgang; Haaksma, Eric; Binder, Klaus; Zimmermann, Rainer
PA Boehringer Ingelheim Pharma K.-G., Germany
SO Ger. Offen., 50 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI DE 19727117	A1	19990107	DE 1997-19727117	19970626 <--
CA 2288744	A1	19990107	CA 1998-2288744	19980622 <--
			DE 1997-19727117 A	19970626
			WO 1998-EP3800 W	19980622
WO 9900371	A1	19990107	WO 1998-EP3800	19980622 <--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
			DE 1997-19727117 A	19970626
AU 9887279	A	19990119	AU 1998-87279	19980622 <--
			DE 1997-19727117 A	19970626
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EP 991624	A1	20000412	EP 1998-938621	19980622 <--
EP 991624	B1	20031119		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
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			DE 1997-19727117 A	19970626
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AT 254602	T	20031215	AT 1998-938621	19980622
			DE 1997-19727117 A	19970626

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	MX 9911261	A	20000630	MX 1999-11261		19991206 <--
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	US 6300342	B1	20011009	US 1999-457961		19991209 <--
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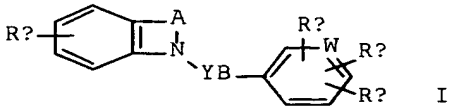
				WO 1998-EP3800	A1	19980622

OS MARPAT 130:110166
GI

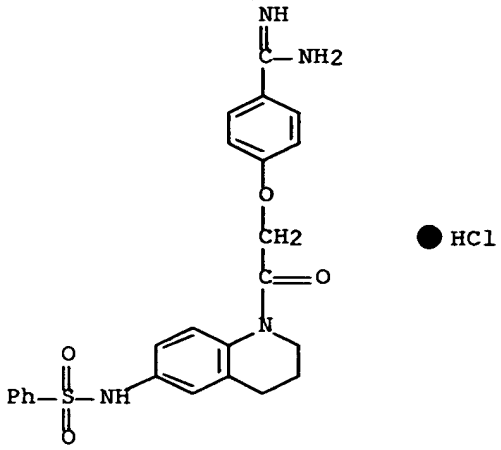


I

AB Title compds. [I; Ra = H, NO2, amino, aminocarbonyl; Rb = cyano, aminomethyl, (substituted) amidino; Rc, Rd = H, F, Cl, Br, iodo, Me, MeO, NO2, amino; A = (substituted) ethylene, ethyenylene, propylene, etc.; B = bond, (substituted) methylene, ethylene, ethenylene, propylene, etc.; W = N, CH; Y = CH2, CO, CS], were prepared Thus, 1-[3-(4- amidinophenyl)propionyl]-1,2,3,4-tetrahydroquinoline-6-carboxylic acid methyl-N-phenylamide (preparation given) had a thrombin time ED200 = 0.02 μM.

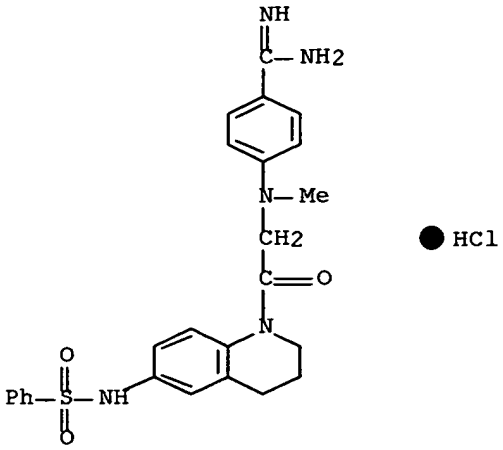
IT 219644-31-2P 219644-32-3P 219645-33-7P
219645-47-3P 219645-78-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amidinophenylpropionyltetrahydroquinolines and related compds. as antithrombotics)

RN 219644-31-2 CAPLUS
CN 6-Quinolinamine, 1-[[4-(aminoiminomethyl)phenoxy]acetyl]-1,2,3,4-tetrahydro-N-(phenylsulfonyl)-, monohydrochloride (9CI) (CA INDEX NAME)



RN 219644-32-3 CAPLUS
CN 6-Quinolinamine, 1-[[[4-(aminoiminomethyl)phenyl]methylamino]acetyl]-

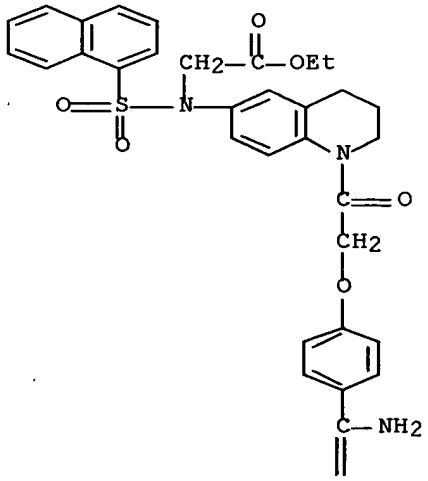
1,2,3,4-tetrahydro-N-(phenylsulfonyl)-, monohydrochloride (9CI) (CA INDEX NAME)



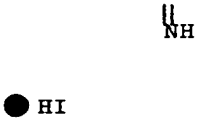
RN 219645-33-7 CAPLUS

CN Glycine, N-[1-[[4-(aminoiminomethyl)phenoxy]acetyl]-1,2,3,4-tetrahydro-6-quinolinyl]-N-(1-naphthalenylsulfonyl)-, ethyl ester, monohydriodide (9CI) (CA INDEX NAME)

PAGE 1-A

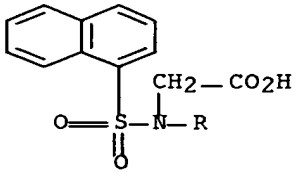


PAGE 2-A

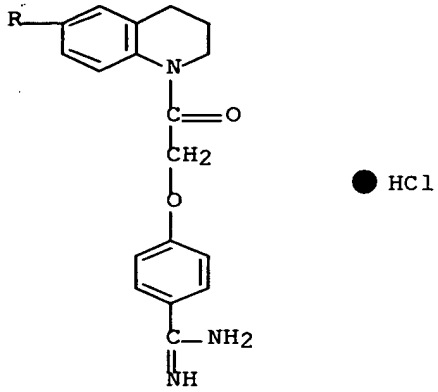


RN 219645-47-3 CAPLUS
CN Glycine, N-[1-[[4-(aminoiminomethyl)phenoxy]acetyl]-1,2,3,4-tetrahydro-6-quinolinyl]-N-(1-naphthalenylsulfonyl)-, monohydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A

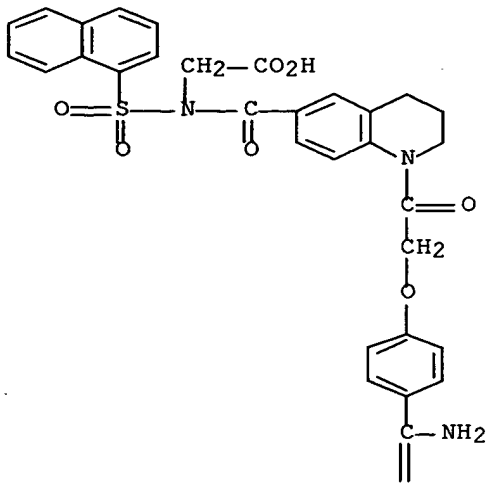


PAGE 2-A



RN 219645-78-0 CAPLUS
CN Glycine, N-[[1-[[4-(aminoiminomethyl)phenoxy]acetyl]-1,2,3,4-tetrahydro-6-quinolinyl]carbonyl]-N-(1-naphthalenylsulfonyl)- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

L10 ANSWER 16 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1998:175945 CAPLUS Full-text
DN 128:244342
TI Preparation of lactam inhibitors of thrombin
IN St. Denis, Yves; Siddiqui, M. Arshad; Cody, Wayne Livingston; Edmunds, Jeremy John; Plummer, Janet Samartino
PA Biochem Pharma, Inc., Can.
SO PCT Int. Appl., 106 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9809987	A1	19980312	WO 1997-US15312	19970905 <--
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	RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
				GB 1996-18687	A 19960906
				US 1996-25599P	P 19960906
	AU 9741723	A	19980326	AU 1997-41723	19970905 <--
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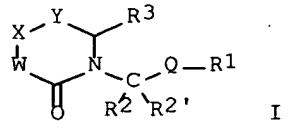
				WO 1997-US15312	W 19970905

OS MARPAT 128:244342

GI



I

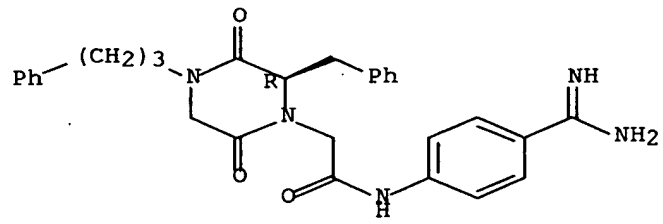
AB Heterocyclic thrombin inhibitors I (W, X = CHR4, CR4, NR4, N, O, S, SO, SO2, provided that at least one of W and X is NR4, N, O, S, SO, SO2; Y = CHR4, CR4, CO; Q = CO, CS, CHR4; R1 is a polar amino acid residue or derivative or analog optionally substituted with an amino acid, peptide, or heterocycle; R2, R2' = H, halo, or alkyl optionally substituted by an aryl, heterocyclic or cycloalkyl group; R3, R4 = H, NH2, alkylamino, CO2H, aryl, cycloalkyl, etc.) were prepared Thus, N-[4-guanidino-1-(thiazole-2- carbonyl)butyl]-2-[2-oxo-4-(3-phenylpropionyl)-1-piperazinyl]acetamide, prepared by a coupling procedure in which the guanidino group is protected by 4-methoxy-2,3,6-trimethylbenzenesulfonyl, was assayed for thrombin affinity (IC50 = 35 nM).

IT 204691-44-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of lactam inhibitors of thrombin)

RN 204691-44-1 CAPLUS

CN 1-Piperazineacetamide, N-[4-(aminoiminomethyl)phenyl]-3,6-dioxo-2-(phenylmethyl)-4-(3-phenylpropyl)-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 17 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1998:87728 CAPLUS Full-text

DN 128:154381

TI Preparation of N-benzenesulfonyl-L-proline derivatives as bradykinin B2 agonists

IN Dodey, Pierre; Bondoux, Michel; Houziaux, Patrick; Barth, Martine; Ou, Khan

PA Fournier Industrie et Sante, Fr.; Dodey, Pierre; Bondoux, Michel; Houziaux, Patrick; Barth, Martine; Ou, Khan

SO PCT Int. Appl., 72 pp.
CODEN: PIXXD2

DT Patent

LA French

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9803503	A1	19980129	WO 1997-FR1377	19970723 <--
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				FR 1996-9327 A	19960724
	FR 2751650	A1	19980130	FR 1996-9327	19960724 <--
	FR 2751650	B1	19981009		
	CA 2261743	A1	19980129	CA 1997-2261743	19970723 <--
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	AU 9738536	A	19980210	AU 1997-38536	19970723 <--
				FR 1996-9327 A	19960724
				WO 1997-FR1377 W	19970723
	EP 925295	A1	19990630	EP 1997-935612	19970723 <--
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				FR 1996-9327 A	19960724
				WO 1997-FR1377 W	19970723
	JP 2000514818	T	20001107	JP 1998-506663	19970723 <--
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				WO 1997-FR1377 W	19970723
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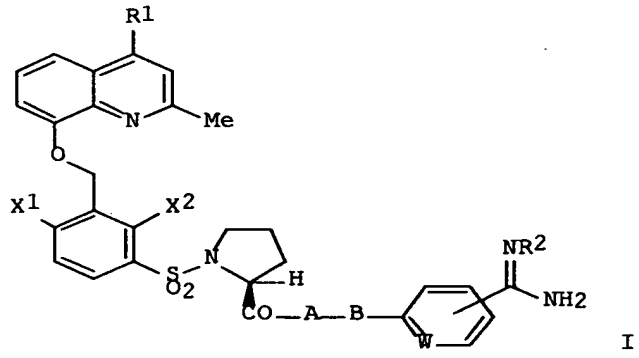
OS MARPAT 128:154381

GI



I

AB N-benzenesulfonyl-L-proline derivs. I [X1, X2 = halo, alkoxy; R1 = H, trifluoroalkyl, alkyl; R2 = H, OH; A = NR3(CH2)n (R3 = H, Me and n = 0-3), 1,4-piperazinediyl, hexahydro-1,4-diazepine-1,4-diyl, NH(CH2)nCH(CH2CH2)2N [n

= 0-3, CH(CH2CH2)2N = 1,4-piperazinediyl]; B = bond, CO, COCH2, COCH2O, COCH:CH, SO2; W = CH, N] or their salts were prepared as bradykinin B2 agonists. Thus, I.2HCl (X1 = X2 = Cl, R1 = Me, R2 = H, A = NHCH2, B = bond, W = CH; the amidino group is in the 3-position) was prepared from N-[[3-[(2,4-dimethylquinolin-8-yl)oxymethyl]-2,4-dichlorophenyl]sulfonyl]- L-proline by sequential reaction with H2S, MeI, NH4OAc, and HCl. The product inhibited binding of [3H] bradykinin to the B2 receptor in guinea pigs (100% activity).

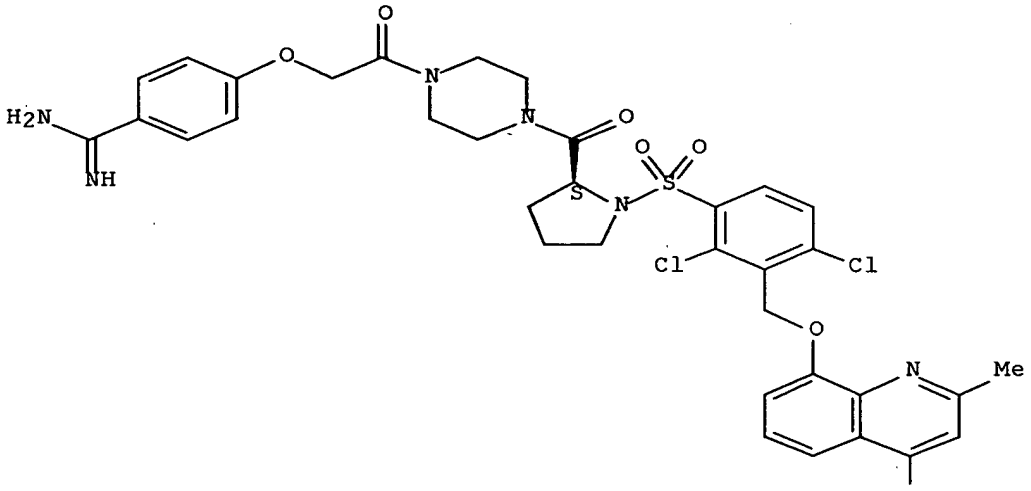
IT 202602-40-2P 202602-44-6P 202602-49-1P
202602-52-6P 202602-53-7P 202602-56-0P
202602-62-8P 202602-66-2P 202720-61-4P
202720-64-7P 202720-67-0P 202720-69-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzenesulfonylproline derivs. as bradykinin B2 agonists)

RN 202602-40-2 CAPLUS

CN Piperazine, 1-[[4-(aminoiminomethyl)phenoxy]acetyl]-4-[[(2S)-1-[[2,4-dichloro-3-[[(2,4-dimethyl-8-quinolinyl)oxy]methyl]phenyl]sulfonyl]-2-pyrrolidinyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

PAGE 1-A

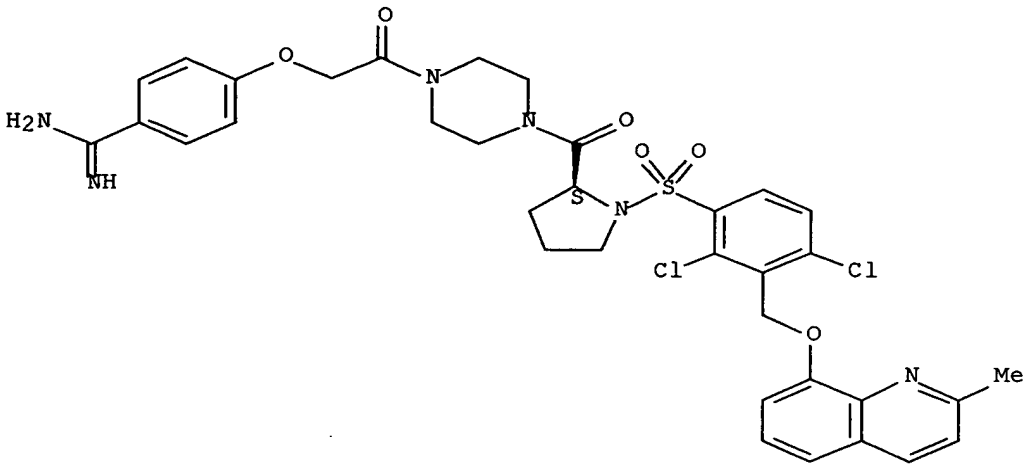


PAGE 2-A

RN 202602-44-6 CAPLUS

CN Piperazine, 1-[[4-(aminoiminomethyl)phenoxy]acetyl]-4-[[(2S)-1-[[2,4-dichloro-3-[[(2-methyl-8-quinolinyl)oxy]methyl]phenyl]sulfonyl]-2-pyrrolidinyl]carbonyl]- (9CI) (CA INDEX NAME)

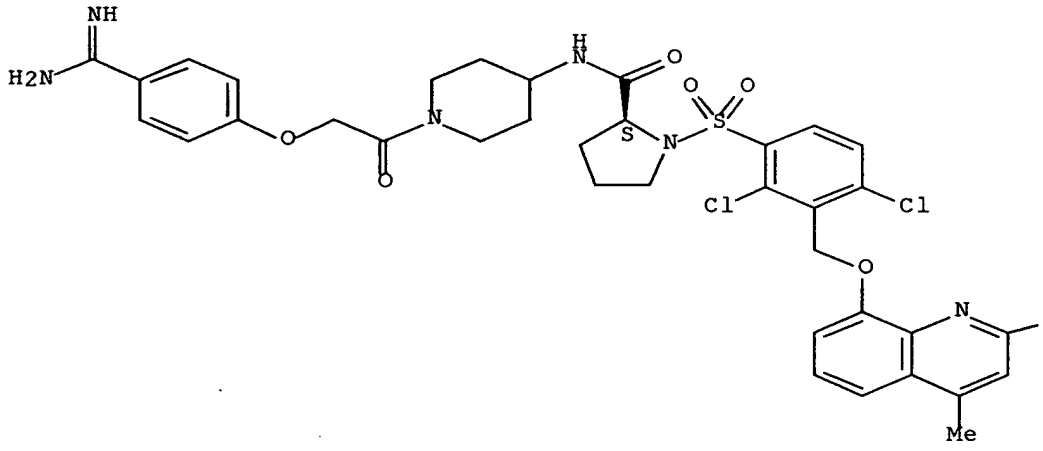
Absolute stereochemistry. Rotation (-).



RN 202602-49-1 CAPLUS
CN 2-Pyrrolidinecarboxamide, N-[1-[[4-(aminoiminomethyl)phenoxy]acetyl]-4-piperidinyl]-1-[[2,4-dichloro-3-[[(2,4-dimethyl-8-quinolinyl)oxy]methyl]phenyl]sulfonyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

Me

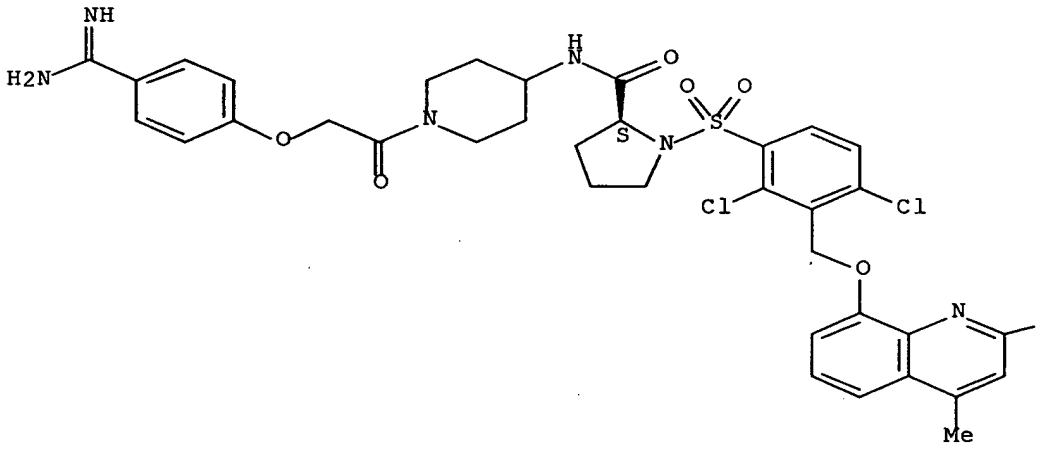
RN 202602-52-6 CAPLUS
CN 2-Pyrrolidinecarboxamide, N-[1-[[4-(aminoiminomethyl)phenoxy]acetyl]-4-piperidinyl]-1-[[2,4-dichloro-3-[[(2,4-dimethyl-8-quinolinyl)oxy]methyl]phenyl]sulfonyl]-, (S)-, dimethanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 202602-49-1
CMF C37 H40 Cl2 N6 O6 S

Absolute stereochemistry.

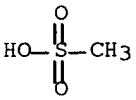
PAGE 1-A



PAGE 1-B

CM 2

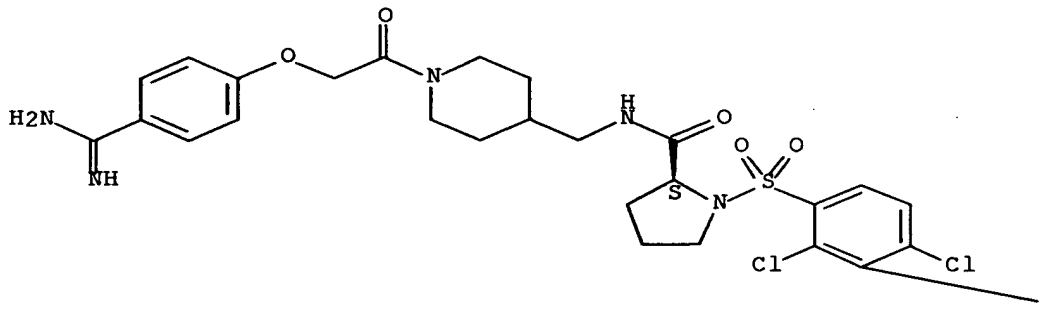
CRN 75-75-2
CMF C H4 O3 S



RN 202602-53-7 CAPLUS
CN 2-Pyrrolidinecarboxamide, N-[[1-[[4-(aminoiminomethyl)phenoxy]acetyl]-4-piperidinyl]methyl]-1-[[2,4-dichloro-3-[[(2,4-dimethyl-8-quinolinyl)oxy]methyl]phenyl]sulfonyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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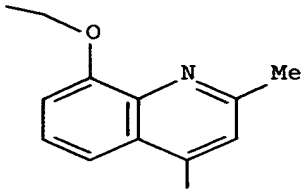


PAGE 2-B

Me

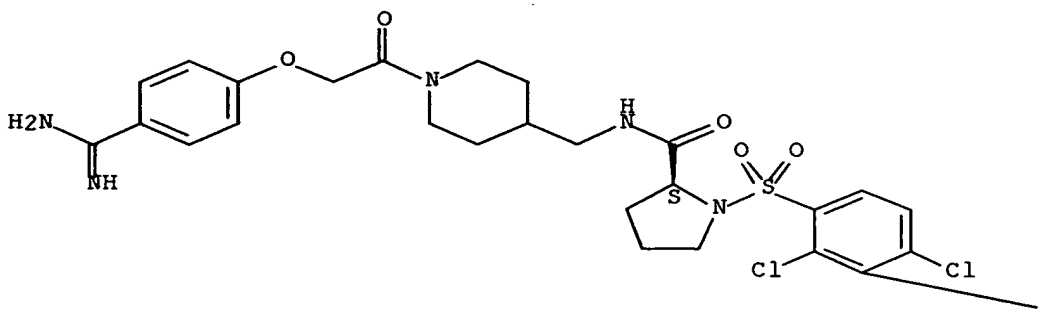
RN 202602-56-0 CAPLUS
CN 2-Pyrrolidinecarboxamide, N-[[1-[[4-(aminoiminomethyl)phenoxy]acetyl]-4-piperidinyl]methyl]-1-[[2,4-dichloro-3-[[(2,4-dimethyl-8-quinolinyl)oxy]methyl]phenyl]sulfonyl]-, (S)-, dimethanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 202602-53-7
CMF C38 H42 Cl2 N6 O6 S

Absolute stereochemistry.

PAGE 1-A



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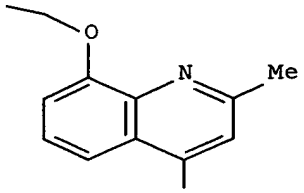
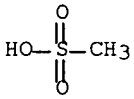


PAGE 2-B

Me

CM 2

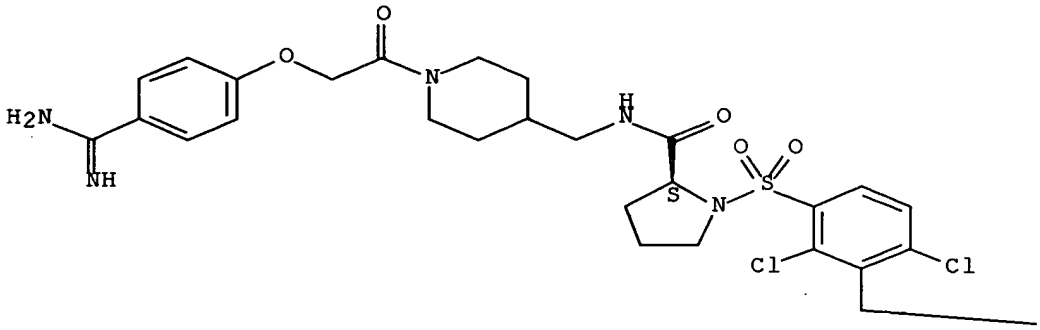
CRN 75-75-2
CMF C H4 O3 S



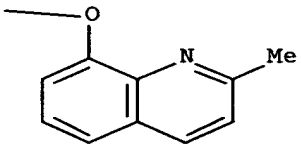
RN 202602-62-8 CAPLUS
CN 2-Pyrrolidinecarboxamide, N-[[1-[[4-(aminoiminomethyl)phenoxy]acetyl]-4-piperidinyl]methyl]-1-[[2,4-dichloro-3-[[(2-methyl-8-quinolinyl)oxy]methyl]phenyl]sulfonyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

PAGE 1-A



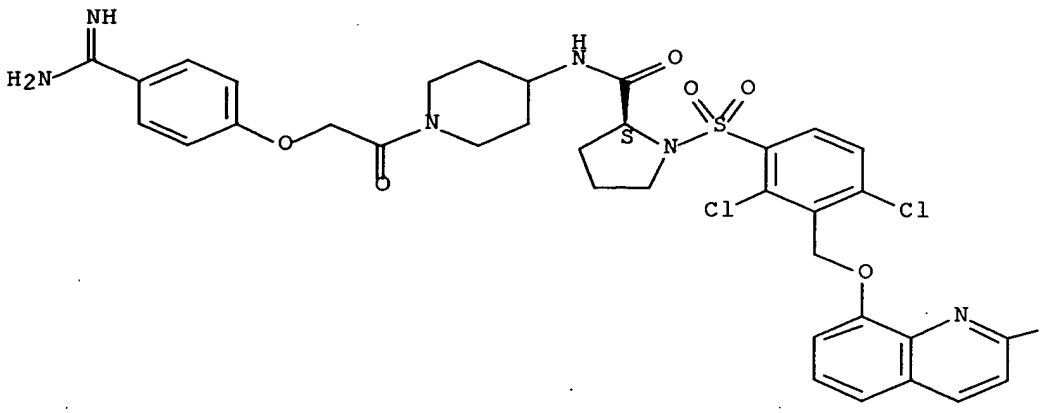
PAGE 1-B



RN 202602-66-2 CAPLUS
CN 2-Pyrrolidinecarboxamide, N-[1-[[4-(aminoiminomethyl)phenoxy]acetyl]-4-piperidinyl]-1-[[2,4-dichloro-3-[[(2-methyl-8-quinolinyl)oxy]methyl]phenyl]sulfonyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

PAGE 1-A

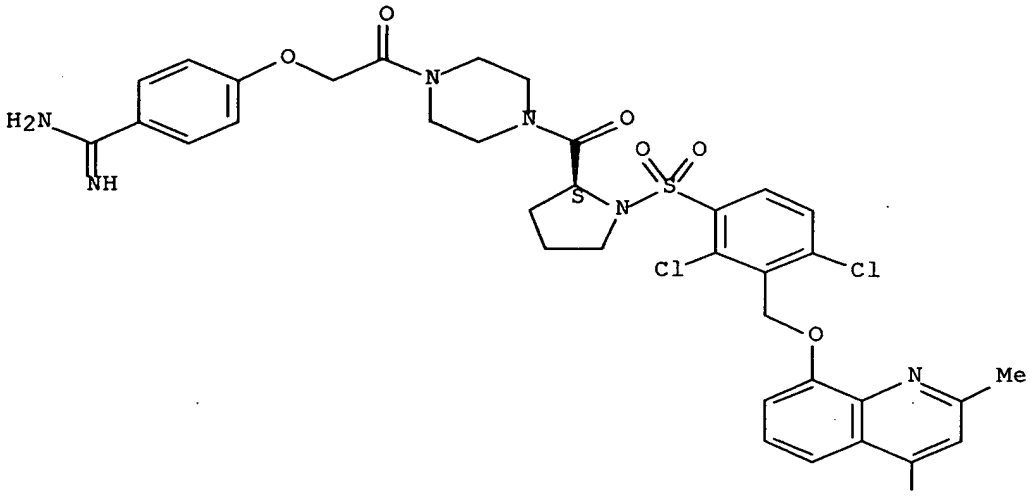


PAGE 1-B

RN 202720-61-4 CAPLUS
CN Piperazine, 1-[[4-(aminoiminomethyl)phenoxy]acetyl]-4-[[1-[[2,4-dichloro-3-[[(2,4-dimethyl-8-quinolinyl)oxy]methyl]phenyl]sulfonyl]-2-pyrrolidinyl]carbonyl]-, dihydrochloride, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

PAGE 1-A



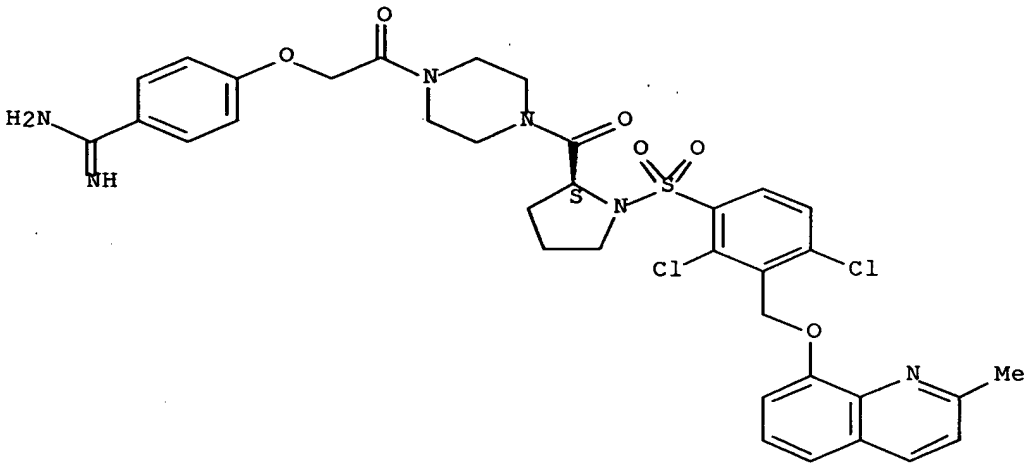
PAGE 2-A

●2 HCl

RN 202720-64-7 CAPLUS
CN Piperazine, 1-[[4-(aminoiminomethyl)phenoxy]acetyl]-4-[[1-[[2,4-dichloro-3-[[(2-methyl-8-quinolinyl)oxy]methyl]phenyl]sulfonyl]-2-pyrrolidinyl]carbonyl]-, dihydrochloride, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

PAGE 1-A



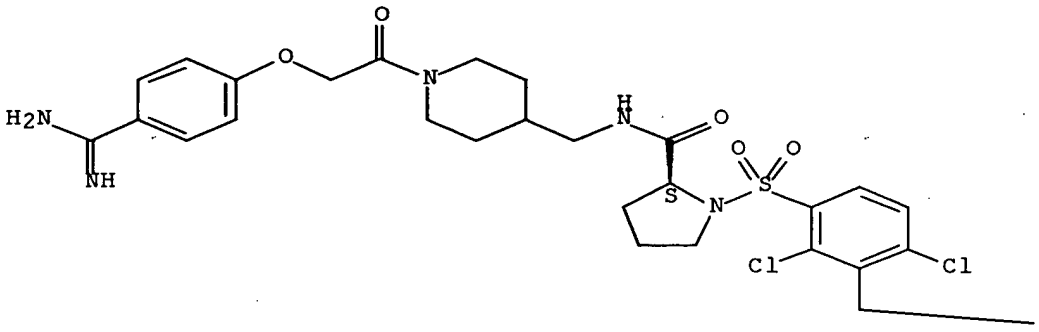
PAGE 2-A

●2 HCl

RN 202720-67-0 CAPLUS
CN 2-Pyrrolidinecarboxamide, N-[[1-[[4-(aminoiminomethyl)phenoxy]acetyl]-4-piperidinyl]methyl]-1-[[2,4-dichloro-3-[[(2-methyl-8-quinolinyl)oxy]methyl]phenyl]sulfonyl]-, dihydrochloride, (S)- (9CI) (CA INDEX NAME)

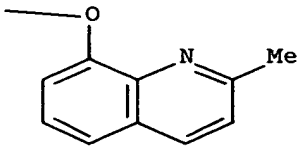
Absolute stereochemistry. Rotation (-).

PAGE 1-A



PAGE 1-B

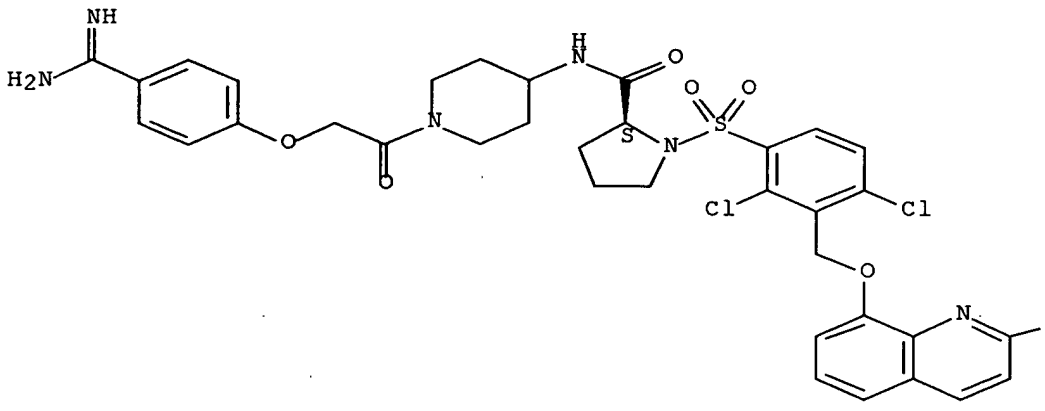
●2 HCl



RN 202720-69-2 CAPLUS
CN 2-Pyrrolidinecarboxamide, N-[1-[[4-(aminoiminomethyl)phenoxy]acetyl]-4-piperidinyl]-1-[[2,4-dichloro-3-[[(2-methyl-8-quinolinyl)oxy]methyl]phenyl]sulfonyl]-, dihydrochloride, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

PAGE 1-A



PAGE 1-B

●2 HCl

Me

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

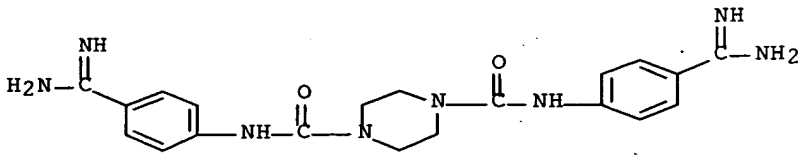
L10 ANSWER 18 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1996:644905 CAPLUS Full-text
DN 125:292448
TI Novel aromatic urea derivatives with DNA-binding ability
AU Fukutomi, Ryuuta; Kagechika, Hiroyuki; Hashimoto, Yuichi; Shudo, Koichi
CS Fac. Pharmaceutical Sciences, Univ. Tokyo, Tokyo, 113, Japan
SO Chemical & Pharmaceutical Bulletin (1996), 44(10), 1983=1985
CODEN: CPBTAL; ISSN: 0009-2363
PB Pharmaceutical Society of Japan
DT Journal
LA English
AB Several arom. urea derivs. were designed and synthesized as DNA-targeting agents. N,N'-Dimethyl-N,N'-bis[(4-amidylphenyl)aminocarbonyl]-2,6-diaminopyridine (1)and 1,3-bis[5-(glycylamino)pyrid-2-yl]urea (3) showed remarkable DNA-binding abilities as determined by ultrafiltration assay using calf thymus DNA, their potencies being equal to and half that of netropsin,

resp. Compound 1 inhibited the proliferation of both L1210 cells and KB cells with similar IC50 values to netropsin.

IT 182800-34-6
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(aromatic urea derivs. with DNA-binding ability)

RN 182800-34-6 CAPLUS

CN 1,4-Piperazinedicarboxamide, N,N'-bis[4-(aminoiminomethyl)phenyl]- (9CI) (CA INDEX NAME)



L10 ANSWER 19 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1996:469685 CAPLUS Full-text

DN 125:114709

TI Preparation of diketopiperazine derivatives as platelet aggregation inhibitors

IN Ono, Satoshi; Yamafuji, Tetsuo; Yamamoto, Hirohiko; Egawa, Hiroyuki; Furuta, Yousuke; Kaga, Hidetoshi

PA Toyama Chemical Co., Ltd., Japan

SO PCT Int. Appl., 103 pp.
CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

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OS MARPAT 125:114709

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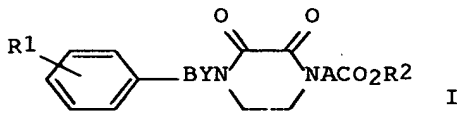

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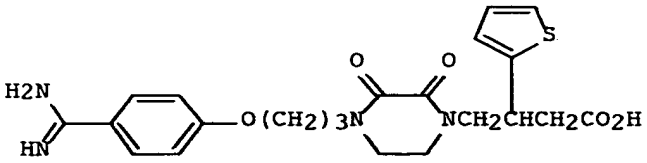

II

AB The title compds. I [R1 represents optionally protected amidino; R2 represents hydrogen or a carboxyl-protective group; A represents optionally substituted lower alkylene; B represents O, CONH, NHCO or SO2NH; Y represents optionally substituted lower alkylene, and the broken line represents a single or double bond] are prepared The title compound II (NMR data given) in vitro showed IC50 of 0.12 μM against ADP-induced platelet aggregation. I are glycoprotein IIb/IIIa receptor antagonists.

IT 179415-52-2P 179415-53-3P 179415-54-4P
179415-55-5P 179415-56-6P 179415-57-7P

179415-58-8P 179415-59-9P 179415-60-2P
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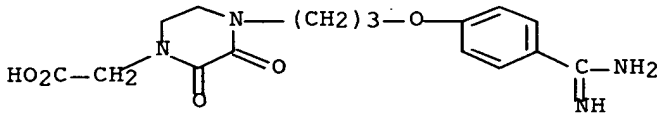
179415-93-1P 179415-94-2P 179416-01-4P
179416-02-5P 179416-04-7P 179416-05-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of diketopiperazine derivs. as platelet aggregation inhibitors)

RN 179415-52-2 CAPLUS
CN 1-Piperazineacetic acid, 4-[3-[4-(aminoiminomethyl)phenoxy]propyl]-2,3-dioxo-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

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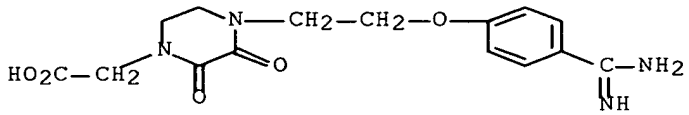
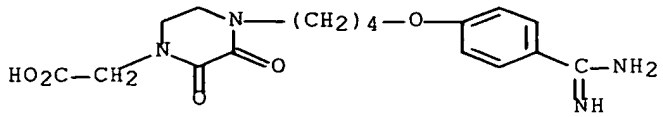
CN 1-Piperazineacetic acid, 4-[2-[4-(aminoiminomethyl)phenoxy]ethyl]-2,3-dioxo- (9CI) (CA INDEX NAME)

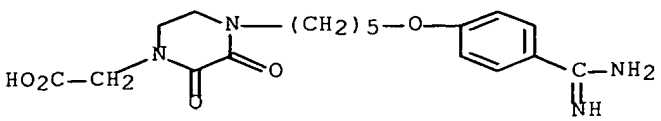


RN 179415-54-4 CAPLUS
CN 1-Piperazineacetic acid, 4-[4-[4-(aminoiminomethyl)phenoxy]butyl]-2,3-dioxo- (9CI) (CA INDEX NAME)



RN 179415-55-5 CAPLUS
CN 1-Piperazineacetic acid, 4-[5-[4-(aminoiminomethyl)phenoxy]pentyl]-2,3-

dioxo- (9CI) (CA INDEX NAME)



RN 179415-56-6 CAPLUS
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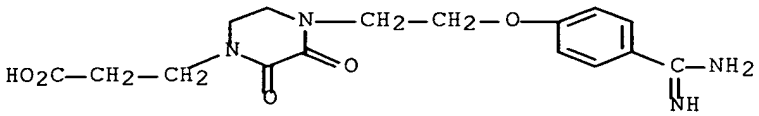

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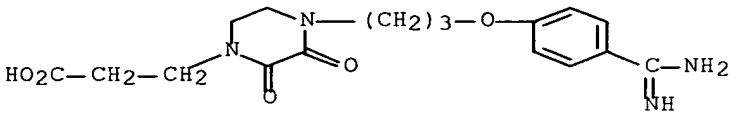

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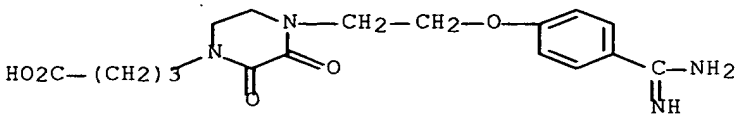
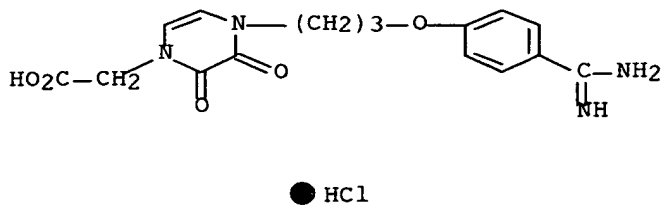
CN 1-Piperazinebutanoic acid, 4-[2-[4-(aminoiminomethyl)phenoxy]ethyl]-2,3-dioxo- (9CI) (CA INDEX NAME)

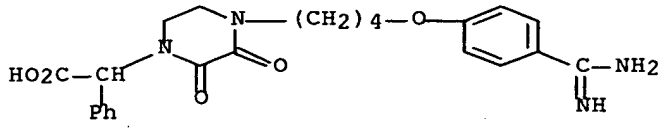


RN 179415-59-9 CAPLUS
CN 1(2H)-Pyrazineacetic acid, 4-[3-[4-(aminoiminomethyl)phenoxy]propyl]-3,4-dihydro-2,3-dioxo-, monohydrochloride (9CI) (CA INDEX NAME)

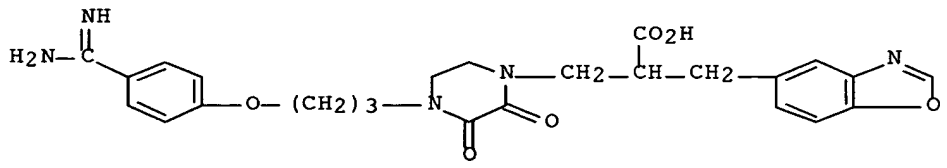


● HCl

RN 179415-60-2 CAPLUS
CN 1-Piperazineacetic acid, 4-[4-[4-(aminoiminomethyl)phenoxy]butyl]-2,3-dioxo-α-phenyl- (9CI) (CA INDEX NAME)

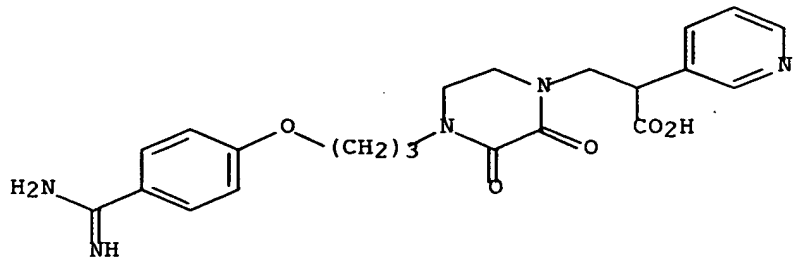


RN 179415-61-3 CAPLUS
CN 5-Benzoxazolepropanoic acid, α-[[4-[3-[4-(aminoiminomethyl)phenoxy]propyl]-2,3-dioxo-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)



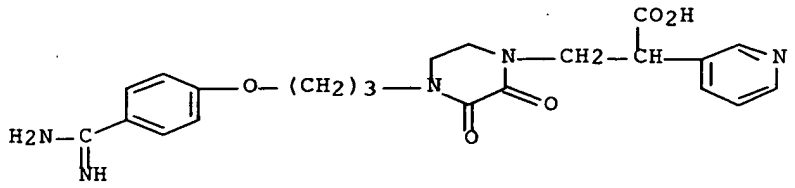
RN 179415-64-6 CAPLUS
CN 1-Piperazinepropanoic acid, 4-[3-[4-(aminoiminomethyl)phenoxy]propyl]-2,3-dioxo-α-3-pyridinyl-, (-)- (9CI) (CA INDEX NAME)

Rotation (-).

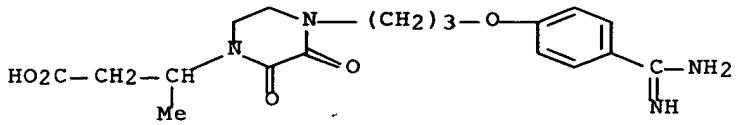


RN 179415-65-7 CAPLUS
CN 1-Piperazinepropanoic acid, 4-[3-[4-(aminoiminomethyl)phenoxy]propyl]-2,3-

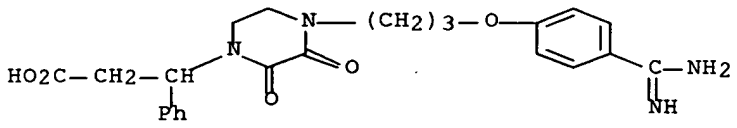
dioxo-α-3-pyridinyl- (9CI) (CA INDEX NAME)



RN 179415-66-8 CAPLUS
CN 1-Piperazinepropanoic acid, 4-[3-[4-(aminoiminomethyl)phenoxy]propyl]-β-methyl-2,3-dioxo- (9CI) (CA INDEX NAME)



RN 179415-67-9 CAPLUS
CN 1-Piperazinepropanoic acid, 4-[3-[4-(aminoiminomethyl)phenoxy]propyl]-2,3-dioxo-β-phenyl- (9CI) (CA INDEX NAME)



RN 179415-68-0 CAPLUS
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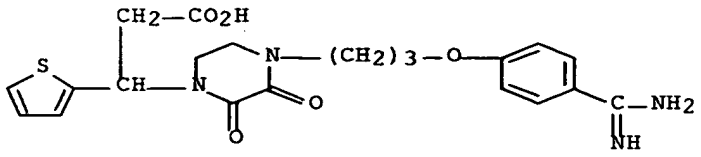
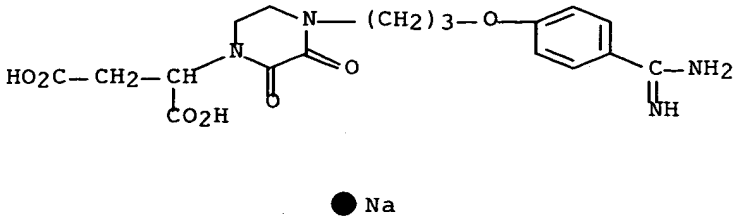
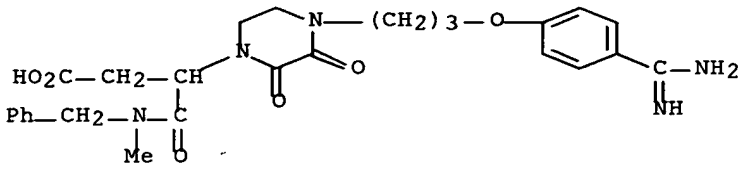


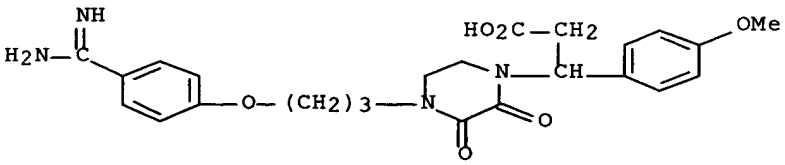
RN 179415-69-1 CAPLUS
CN Butanedioic acid, [4-[3-[4-(aminoiminomethyl)phenoxy]propyl]-2,3-dioxo-1-piperazinyl]-, monosodium salt (9CI) (CA INDEX NAME)



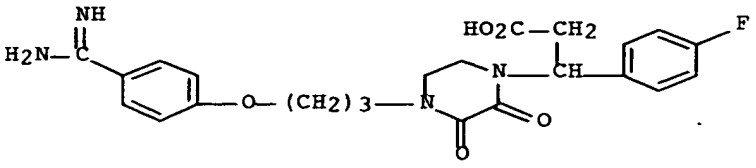
RN 179415-70-4 CAPLUS
CN 1-Piperazinepropanoic acid, 4-[3-[4-(aminoiminomethyl)phenoxy]propyl]-β-[[methyl(phenylmethyl)amino]carbonyl]-2,3-dioxo- (9CI) (CA INDEX NAME)



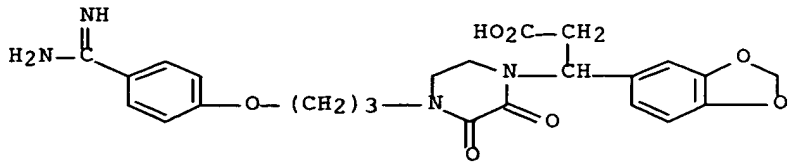
RN 179415-71-5 CAPLUS
CN 1-Piperazinepropanoic acid, 4-[3-[4-(aminoiminomethyl)phenoxy]propyl]-β-(4-methoxyphenyl)-2,3-dioxo- (9CI) (CA INDEX NAME)



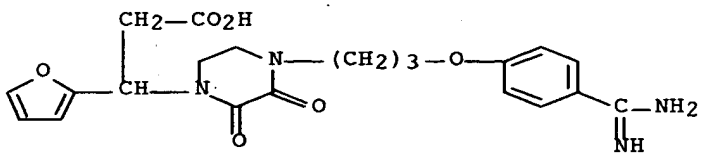
RN 179415-72-6 CAPLUS
CN 1-Piperazinepropanoic acid, 4-[3-[4-(aminoiminomethyl)phenoxy]propyl]-β-(4-fluorophenyl)-2,3-dioxo- (9CI) (CA INDEX NAME)



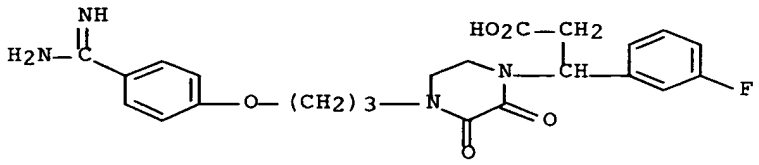
RN 179415-73-7 CAPLUS
CN 1-Piperazinepropanoic acid, 4-[3-[4-(aminoiminomethyl)phenoxy]propyl]-β-1,3-benzodioxol-5-yl-2,3-dioxo- (9CI) (CA INDEX NAME)



RN 179415-74-8 CAPLUS
CN 1-Piperazinepropanoic acid, 4-[3-[4-(aminoiminomethyl)phenoxy]propyl]-β-2-furanyl-2,3-dioxo- (9CI) (CA INDEX NAME)



RN 179415-75-9 CAPLUS
CN 1-Piperazinepropanoic acid, 4-[3-[4-(aminoiminomethyl)phenoxy]propyl]-β-(3-fluorophenyl)-2,3-dioxo- (9CI) (CA INDEX NAME)



RN 179415-76-0 CAPLUS
CN 1-Piperazinepropanoic acid, 4-[3-[4-(aminoiminomethyl)phenoxy]propyl]-2,3-dioxo-β-3-thienyl- (9CI) (CA INDEX NAME)

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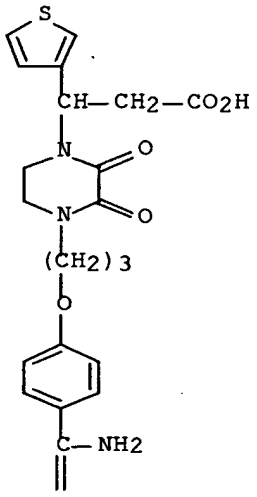

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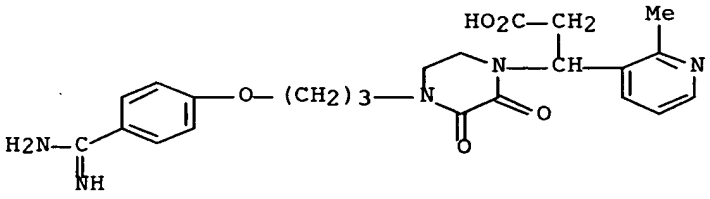
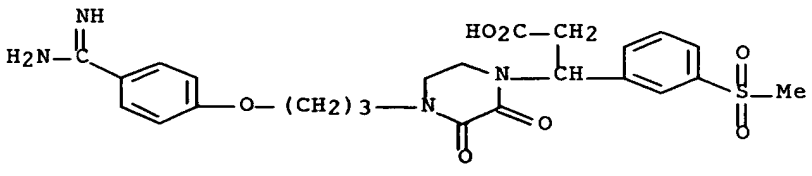
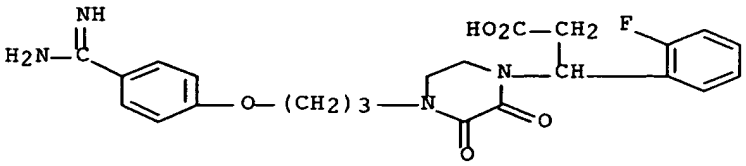
RN 179415-77-1 CAPLUS
CN 1-Piperazinepropanoic acid, 4-[3-[4-(aminoiminomethyl)phenoxy]propyl]-β-(2-methyl-3-pyridinyl)-2,3-dioxo- (9CI) (CA INDEX NAME)



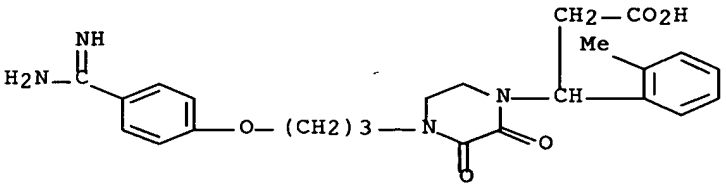
RN 179415-78-2 CAPLUS
CN 1-Piperazinepropanoic acid, 4-[3-[4-(aminoiminomethyl)phenoxy]propyl]-β-[3-(methylsulfonyl)phenyl]-2,3-dioxo- (9CI) (CA INDEX NAME)



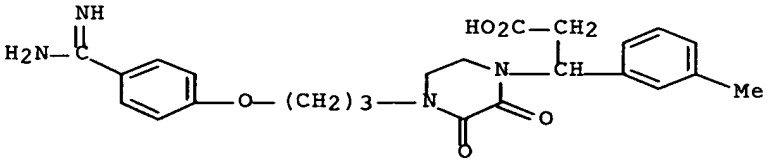
RN 179415-79-3 CAPLUS
CN 1-Piperazinepropanoic acid, 4-[3-[4-(aminoiminomethyl)phenoxy]propyl]-β-(2-fluorophenyl)-2,3-dioxo- (9CI) (CA INDEX NAME)



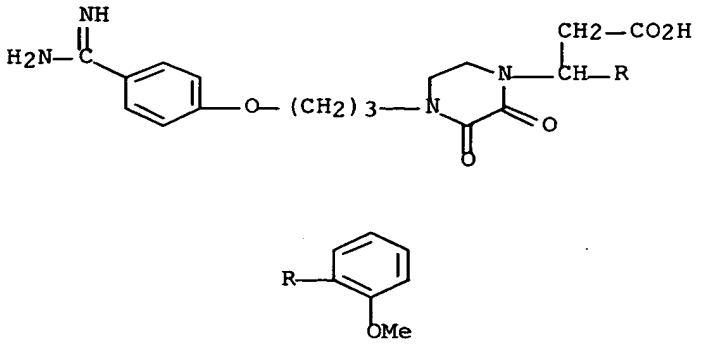
RN 179415-80-6 CAPLUS
CN 1-Piperazinepropanoic acid, 4-[3-[4-(aminoiminomethyl)phenoxy]propyl]-β-(2-methylphenyl)-2,3-dioxo- (9CI) (CA INDEX NAME)



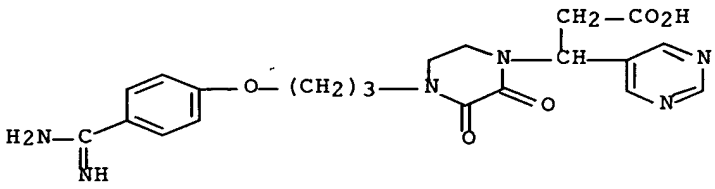
RN 179415-81-7 CAPLUS
CN 1-Piperazinepropanoic acid, 4-[3-[4-(aminoiminomethyl)phenoxy]propyl]-β-(3-methylphenyl)-2,3-dioxo- (9CI) (CA INDEX NAME)



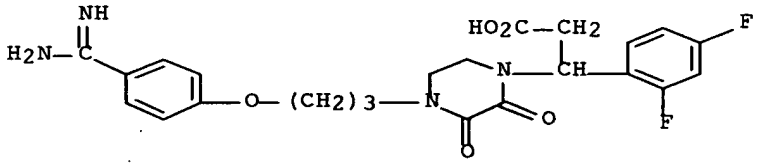
RN 179415-82-8 CAPLUS
CN 1-Piperazinepropanoic acid, 4-[3-[4-(aminoiminomethyl)phenoxy]propyl]-β-(2-methoxyphenyl)-2,3-dioxo- (9CI) (CA INDEX NAME)



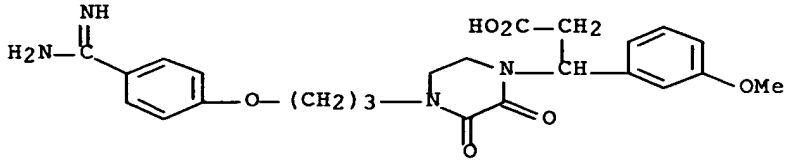
RN 179415-83-9 CAPLUS
CN 5-Pyrimidinepropanoic acid, β-[4-[3-[4-(aminoiminomethyl)phenoxy]propyl]-2,3-dioxo-1-piperazinyl]- (9CI) (CA INDEX NAME)



RN 179415-84-0 CAPLUS
CN 1-Piperazinepropanoic acid, 4-[3-[4-(aminoiminomethyl)phenoxy]propyl]-β-(2,4-difluorophenyl)-2,3-dioxo- (9CI) (CA INDEX NAME)

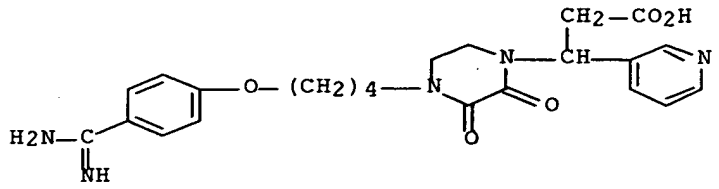


RN 179415-85-1 CAPLUS
CN 1-Piperazinepropanoic acid, 4-[3-[4-(aminoiminomethyl)phenoxy]propyl]-β-(3-methoxyphenyl)-2,3-dioxo- (9CI) (CA INDEX NAME)



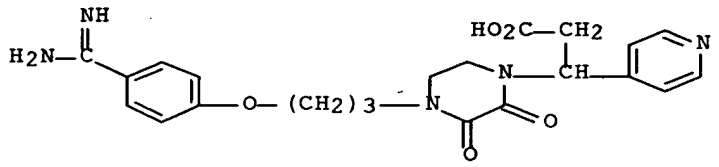
RN 179415-86-2 CAPLUS

CN 1-Piperazinepropanoic acid, 4-[4-[4-(aminoiminomethyl)phenoxy]butyl]-2,3-dioxo-β-3-pyridinyl- (9CI) (CA INDEX NAME)



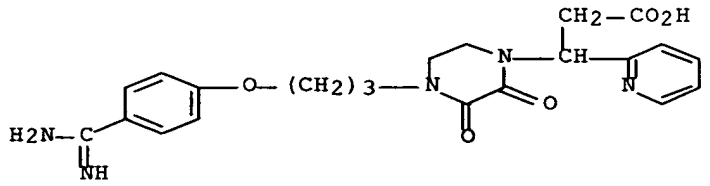
RN 179415-87-3 CAPLUS

CN 1-Piperazinepropanoic acid, 4-[3-[4-(aminoiminomethyl)phenoxy]propyl]-2,3-dioxo-β-4-pyridinyl- (9CI) (CA INDEX NAME)



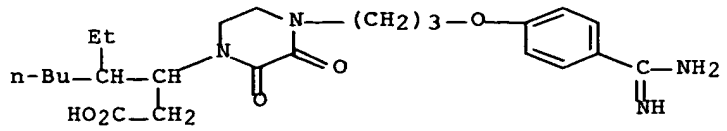
RN 179415-88-4 CAPLUS

CN 1-Piperazinepropanoic acid, 4-[3-[4-(aminoiminomethyl)phenoxy]propyl]-2,3-dioxo-β-2-pyridinyl- (9CI) (CA INDEX NAME)



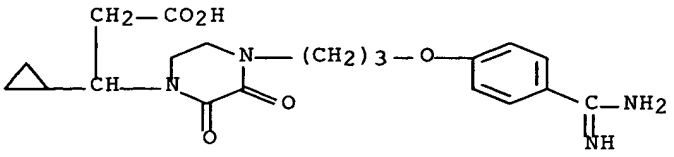
RN 179415-89-5 CAPLUS

CN 1-Piperazinepropanoic acid, 4-[3-[4-(aminoiminomethyl)phenoxy]propyl]-β-(1-ethylpentyl)-2,3-dioxo- (9CI) (CA INDEX NAME)

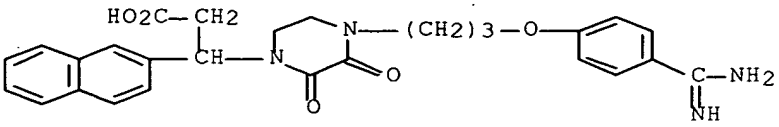


RN 179415-90-8 CAPLUS

CN 1-Piperazinepropanoic acid, 4-[3-[4-(aminoiminomethyl)phenoxy]propyl]-β-cyclopropyl-2,3-dioxo- (9CI) (CA INDEX NAME)



RN 179415-91-9 CAPLUS
CN 1-Piperazinepropanoic acid, 4-[3-[4-(aminoiminomethyl)phenoxy]propyl]-β-2-naphthalenyl-2,3-dioxo- (9CI) (CA INDEX NAME)



RN 179415-92-0 CAPLUS
CN 1-Piperazinepropanoic acid, 4-[3-[4-(aminoiminomethyl)phenoxy]propyl]-β-1-naphthalenyl-2,3-dioxo- (9CI) (CA INDEX NAME)

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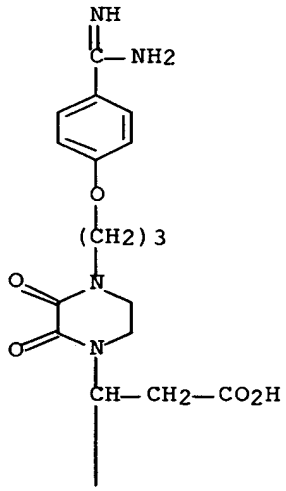
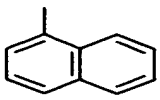
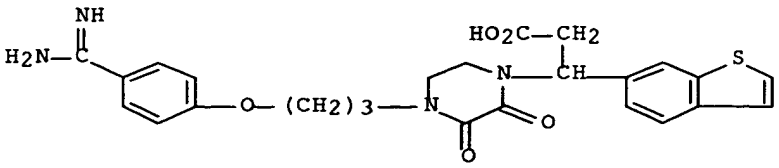


PAGE 2-A

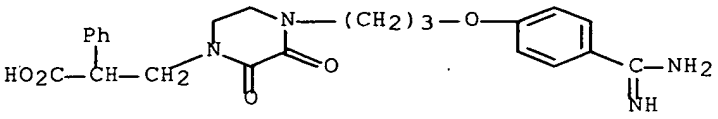


RN 179415-93-1 CAPLUS
CN 1-Piperazinepropanoic acid, 4-[3-[4-(aminoiminomethyl)phenoxy]propyl]-

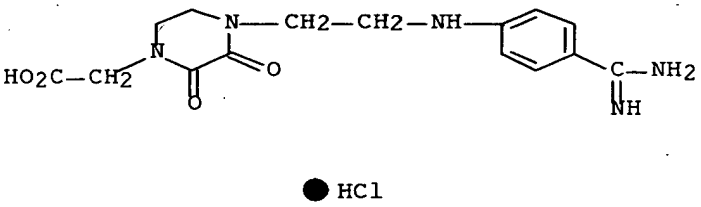
β-benzo[b]thien-6-yl-2,3-dioxo- (9CI) (CA INDEX NAME)



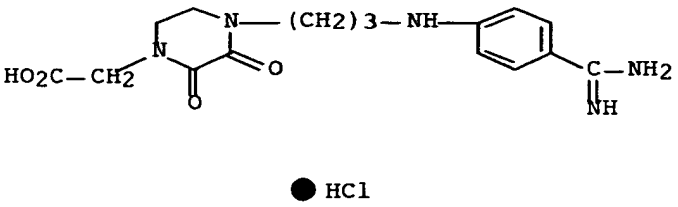
RN 179415-94-2 CAPLUS
CN 1-Piperazinepropanoic acid, 4-[3-[4-(aminoiminomethyl)phenoxy]propyl]-2,3-dioxo-α-phenyl- (9CI) (CA INDEX NAME)



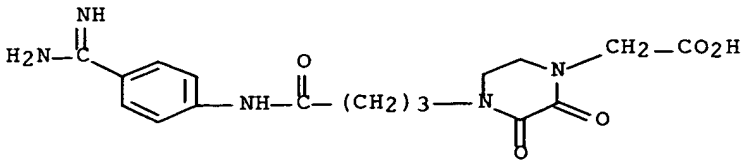
RN 179416-01-4 CAPLUS
CN 1-Piperazineacetic acid, 4-[2-[[4-(aminoiminomethyl)phenyl]amino]ethyl]-2,3-dioxo-, monohydrochloride (9CI) (CA INDEX NAME)



RN 179416-02-5 CAPLUS
CN 1-Piperazineacetic acid, 4-[3-[[4-(aminoiminomethyl)phenyl]amino]propyl]-2,3-dioxo-, monohydrochloride (9CI) (CA INDEX NAME)

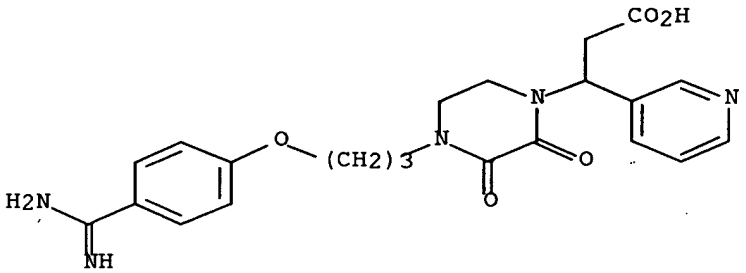


RN 179416-04-7 CAPLUS
CN 1-Piperazineacetic acid, 4-[4-[[4-(aminoiminomethyl)phenyl]amino]-4-oxobutyl]-2,3-dioxo- (9CI) (CA INDEX NAME)



RN 179416-05-8 CAPLUS
CN 1-Piperazinepropanoic acid, 4-[3-[4-(aminoiminomethyl)phenoxy]propyl]-2,3-dioxo-β-3-pyridinyl-, (-)- (9CI) (CA INDEX NAME)

Rotation (-).



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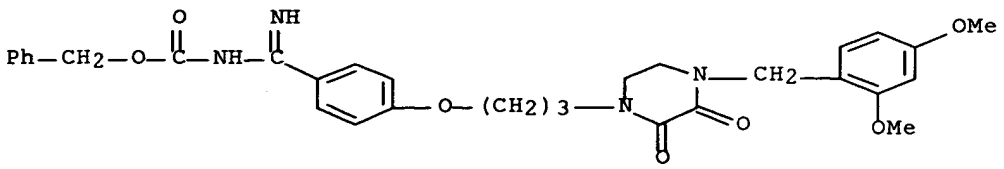
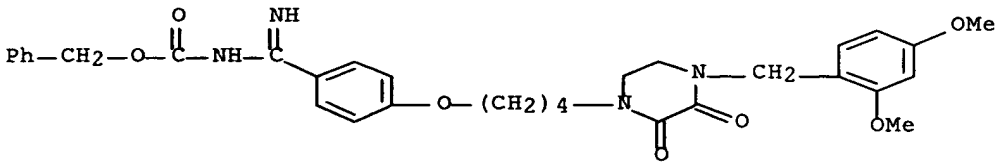
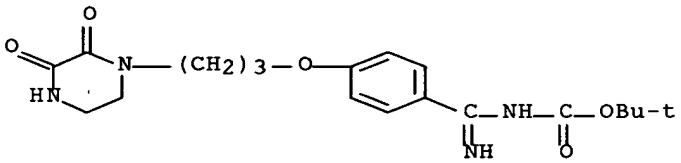
179417-07-3P 179417-17-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of diketopiperazine derivs. as platelet aggregation inhibitors)
RN 179416-15-0 CAPLUS
CN Carbamic acid, [[4-[3-[4-[(2,4-dimethoxyphenyl)methyl]-2,3-dioxo-1-piperazinyl]propoxy]phenyl]iminomethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 179416-16-1 CAPLUS
CN Carbamic acid, [[4-[4-[4-[(2,4-dimethoxyphenyl)methyl]-2,3-dioxo-1-piperazinyl]butoxy]phenyl]iminomethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 179416-17-2 CAPLUS
CN Carbamic acid, [[4-[3-(2,3-dioxo-1-piperazinyl)propoxy]phenyl]iminomethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 179416-19-4 CAPLUS
CN Benzenecarboximidamide, 4-[3-(2,3-dioxo-1-piperazinyl)propoxy]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 179416-18-3
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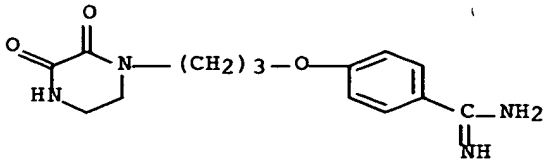
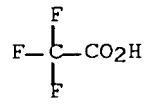
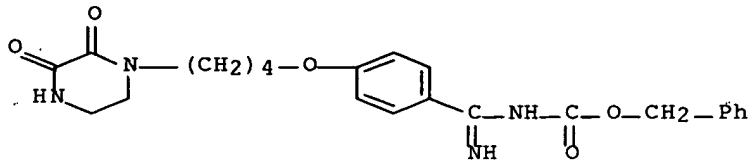


CM 2

CRN 76-05-1
CMF C2 H F3 O2



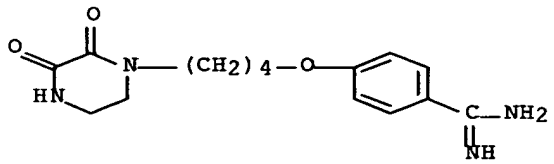
RN 179416-20-7 CAPLUS
CN Carbamic acid, [[4-[4-(2,3-dioxo-1-piperazinyl)butoxy]phenyl]iminomethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 179416-22-9 CAPLUS
CN Benzenecarboximidamide, 4-[4-(2,3-dioxo-1-piperazinyl)butoxy]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

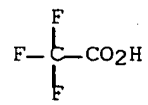
CM 1

CRN 179416-21-8
CMF C15 H20 N4 O3

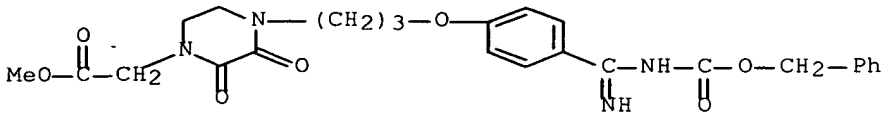


CM 2

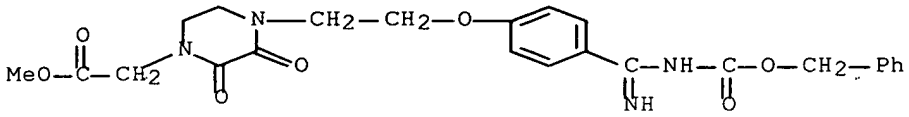
CRN 76-05-1
CMF C2 H F3 O2



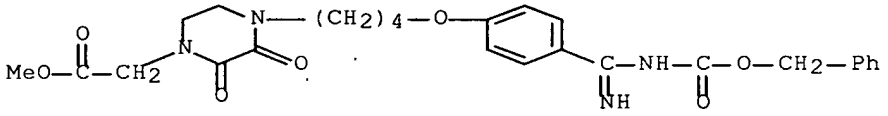
RN 179416-62-7 CAPLUS
CN 1-Piperazineacetic acid, 4-[3-[4-[imino[[(phenylmethoxy)carbonyl]amino]methyl]phenoxy]propyl]-2,3-dioxo-, methyl ester (9CI) (CA INDEX NAME)



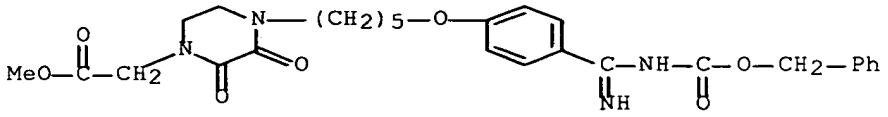
RN 179416-63-8 CAPLUS
CN 1-Piperazineacetic acid, 4-[2-[4-[imino[[(phenylmethoxy)carbonyl]amino]methyl]phenoxy]ethyl]-2,3-dioxo-, methyl ester (9CI) (CA INDEX NAME)



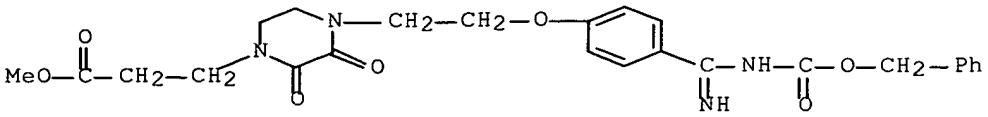
RN 179416-64-9 CAPLUS
CN 1-Piperazineacetic acid, 4-[4-[4-[imino[[(phenylmethoxy)carbonyl]amino]methyl]phenoxy]butyl]-2,3-dioxo-, methyl ester (9CI) (CA INDEX NAME)



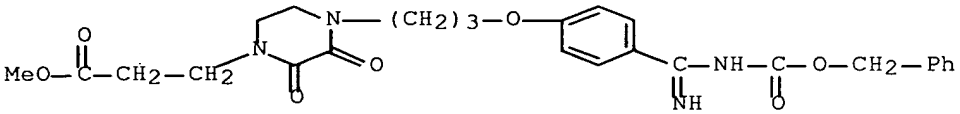
RN 179416-65-0 CAPLUS
CN 1-Piperazineacetic acid, 4-[5-[4-[imino[[(phenylmethoxy)carbonyl]amino]methyl]phenoxy]pentyl]-2,3-dioxo-, methyl ester (9CI) (CA INDEX NAME)



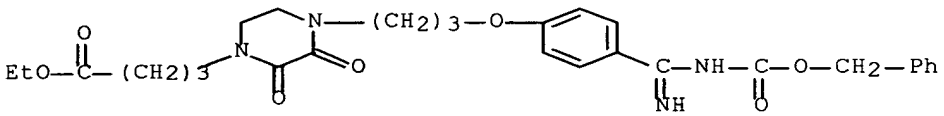
RN 179416-66-1 CAPLUS
CN 1-Piperazinepropanoic acid, 4-[2-[4-[imino[[(phenylmethoxy)carbonyl]amino]methyl]phenoxy]ethyl]-2,3-dioxo-, methyl ester (9CI) (CA INDEX NAME)



RN 179416-67-2 CAPLUS
CN 1-Piperazinepropanoic acid, 4-[3-[4-[imino[[(phenylmethoxy)carbonyl]amino]methyl]phenoxy]propyl]-2,3-dioxo-, methyl ester (9CI) (CA INDEX NAME)



RN 179416-68-3 CAPLUS
CN 1-Piperazinebutanoic acid, 4-[3-[4-[imino[[(phenylmethoxy)carbonyl]amino]methyl]phenoxy]propyl]-2,3-dioxo-, ethyl ester (9CI) (CA INDEX NAME)



RN 179416-69-4 CAPLUS
CN 1-Piperazinepropanoic acid, α-(1,3-benzodioxol-5-ylmethyl)-4-[3-[4-[imino[[(phenylmethoxy)carbonyl]amino]methyl]phenoxy]propyl]-2,3-dioxo-, phenylmethyl ester (9CI) (CA INDEX NAME)

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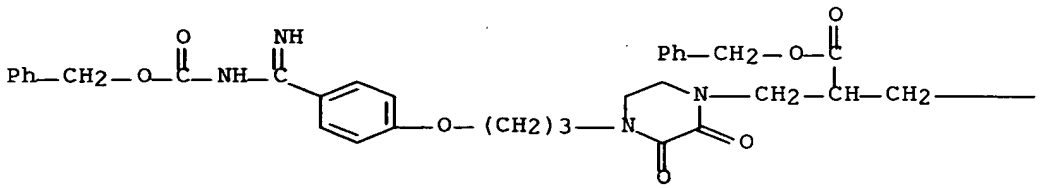

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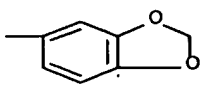
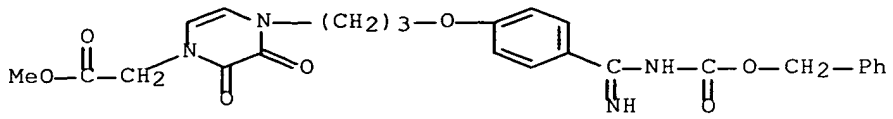


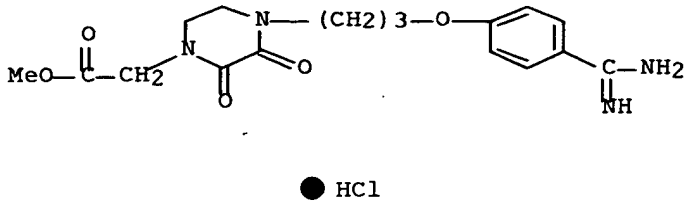
RN 179416-70-7 CAPLUS

CN 1(2H)-Pyrazineacetic acid, 3,4-dihydro-4-[3-[4-[imino[[(phenylmethoxy)carbonyl]amino]methyl]phenoxy]propyl]-2,3-dioxo-, methyl ester (9CI) (CA INDEX NAME)



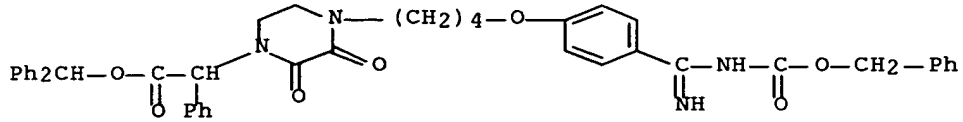
RN 179416-71-8 CAPLUS

CN 1-Piperazineacetic acid, 4-[3-[4-(aminoiminomethyl)phenoxy]propyl]-2,3-dioxo-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)



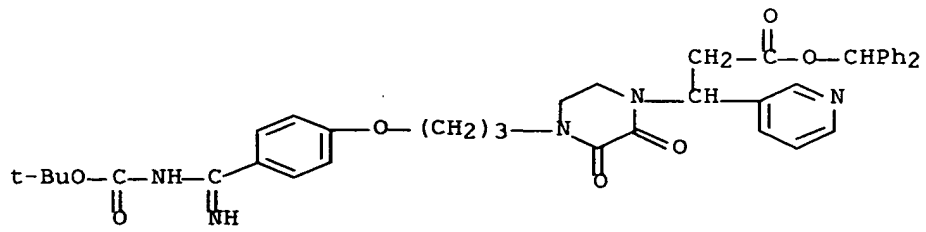
RN 179416-72-9 CAPLUS

CN 1-Piperazineacetic acid, 4-[4-[4-[imino[[(phenylmethoxy)carbonyl]amino]methyl]phenoxy]butyl]-2,3-dioxo-α-phenyl-, diphenylmethyl ester (9CI) (CA INDEX NAME)

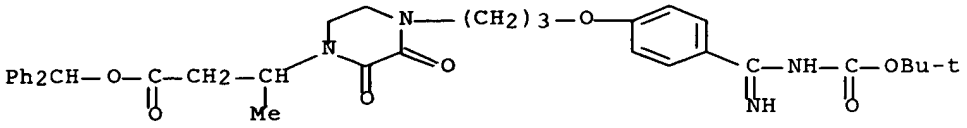


RN 179416-73-0 CAPLUS

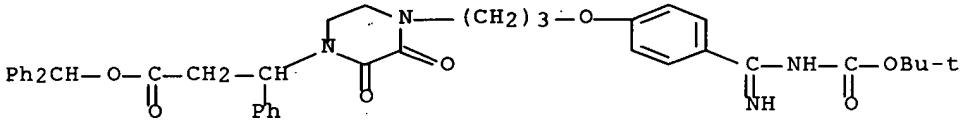
CN 1-Piperazinepropanoic acid, 4-[3-[4-[[[(1,1-dimethylethoxy)carbonyl]amino]iminomethyl]phenoxy]propyl]-2,3-dioxo-β-3-pyridinyl-, diphenylmethyl ester (9CI) (CA INDEX NAME)



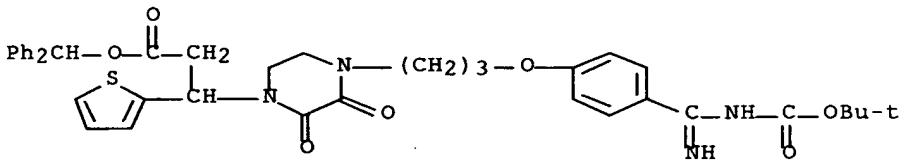
RN 179416-74-1 CAPLUS
CN 1-Piperazinepropanoic acid, 4-[3-[4-[[[(1,1-dimethylethoxy)carbonyl]amino]iminomethyl]phenoxy]propyl]-β-methyl-2,3-dioxo-, diphenylmethyl ester (9CI) (CA INDEX NAME)



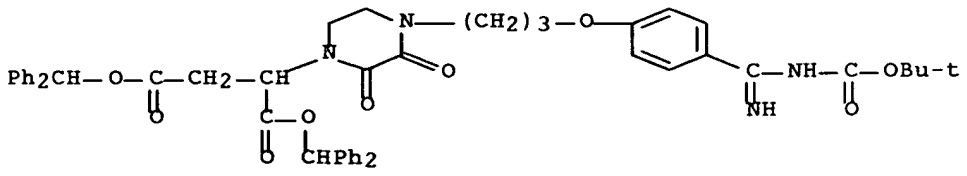
RN 179416-75-2 CAPLUS
CN 1-Piperazinepropanoic acid, 4-[3-[4-[[[(1,1-dimethylethoxy)carbonyl]amino]iminomethyl]phenoxy]propyl]-2,3-dioxo-β-phenyl-, diphenylmethyl ester (9CI) (CA INDEX NAME)



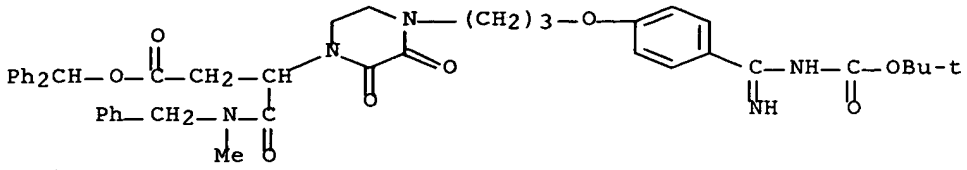
RN 179416-76-3 CAPLUS
CN 1-Piperazinepropanoic acid, 4-[3-[4-[[[(1,1-dimethylethoxy)carbonyl]amino]iminomethyl]phenoxy]propyl]-2,3-dioxo-β-2-thienyl-, diphenylmethyl ester (9CI) (CA INDEX NAME)



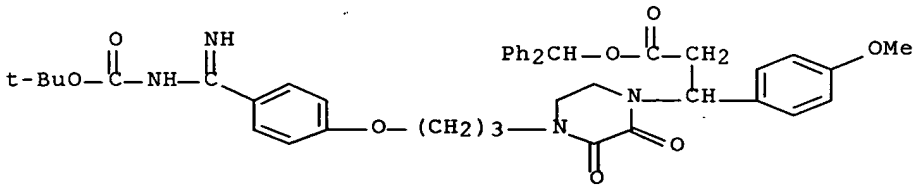
RN 179416-77-4 CAPLUS
CN Butanedioic acid, [4-[3-[4-[[[(1,1-dimethylethoxy)carbonyl]amino]iminomethyl]phenoxy]propyl]-2,3-dioxo-1-piperazinyl]-, bis(diphenylmethyl) ester (9CI) (CA INDEX NAME)



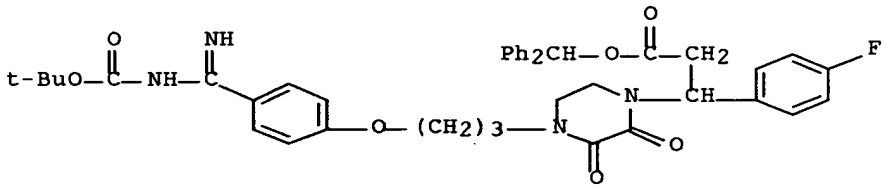
RN 179416-78-5 CAPLUS
CN 1-Piperazinepropanoic acid, 4-[3-[4-[[[(1,1-dimethylethoxy)carbonyl]amino]iminomethyl]phenoxy]propyl]-β-[[methyl(phenylmethyl)amino]carbonyl]-2,3-dioxo-, diphenylmethyl ester (9CI) (CA INDEX NAME)



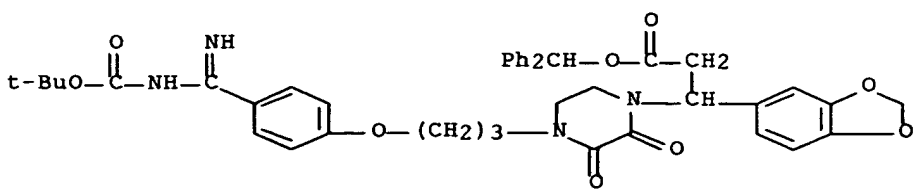
RN 179416-79-6 CAPLUS
CN 1-Piperazinepropanoic acid, 4-[3-[4-[[[(1,1-dimethylethoxy)carbonyl]amino]iminomethyl]phenoxy]propyl]-β-(4-methoxyphenyl)-2,3-dioxo-, diphenylmethyl ester (9CI) (CA INDEX NAME)



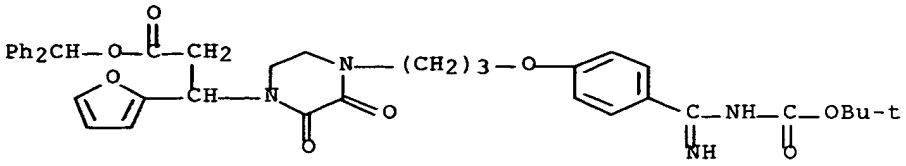
RN 179416-80-9 CAPLUS
CN 1-Piperazinepropanoic acid, 4-[3-[4-[[[(1,1-dimethylethoxy)carbonyl]amino]iminomethyl]phenoxy]propyl]-β-(4-fluorophenyl)-2,3-dioxo-, diphenylmethyl ester (9CI) (CA INDEX NAME)



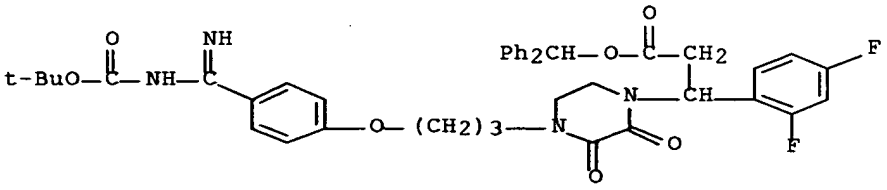
RN 179416-81-0 CAPLUS
CN 1-Piperazinepropanoic acid, β-1,3-benzodioxol-5-yl-4-[3-[4-[[[(1,1-dimethylethoxy)carbonyl]amino]iminomethyl]phenoxy]propyl]-2,3-dioxo-, diphenylmethyl ester (9CI) (CA INDEX NAME)



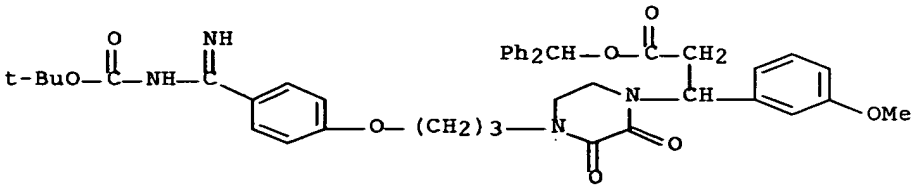
RN 179416-82-1 CAPLUS
CN 1-Piperazinepropanoic acid, 4-[3-[4-[[[(1,1-dimethylethoxy)carbonyl]amino]iminomethyl]phenoxy]propyl]-β-2-furanyl-2,3-dioxo-, diphenylmethyl ester (9CI) (CA INDEX NAME)



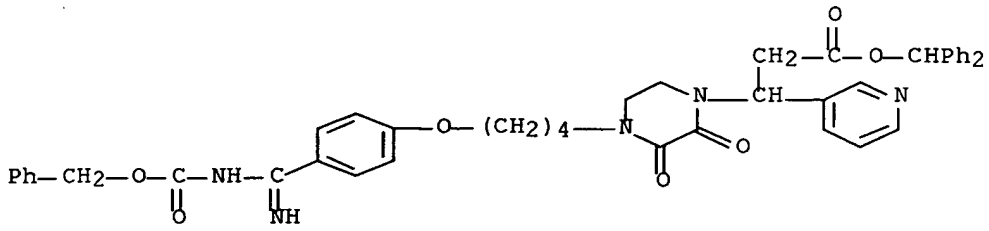
RN 179416-83-2 CAPLUS
CN 1-Piperazinepropanoic acid, β-(2,4-difluorophenyl)-4-[3-[4-[[[(1,1-dimethylethoxy)carbonyl]amino]iminomethyl]phenoxy]propyl]-2,3-dioxo-, diphenylmethyl ester (9CI) (CA INDEX NAME)



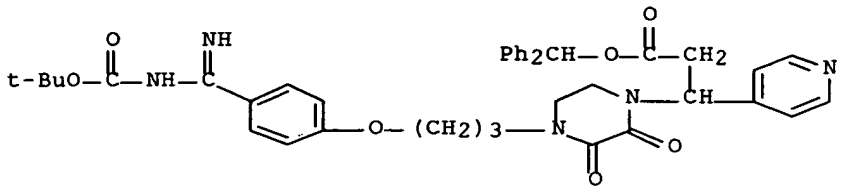
RN 179416-84-3 CAPLUS
CN 1-Piperazinepropanoic acid, 4-[3-[4-[[[(1,1-dimethylethoxy)carbonyl]amino]iminomethyl]phenoxy]propyl]-β-(3-methoxyphenyl)-2,3-dioxo-, diphenylmethyl ester (9CI) (CA INDEX NAME)



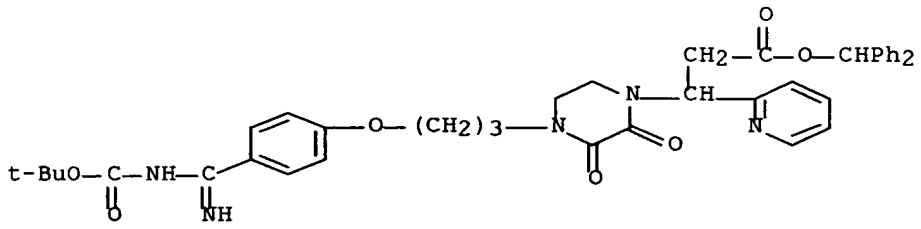
RN 179416-85-4 CAPLUS
CN 1-Piperazinepropanoic acid, 4-[4-[4-[imino[[(phenylmethoxy)carbonyl]amino]methyl]phenoxy]butyl]-2,3-dioxo-β-3-pyridinyl-, diphenylmethyl ester (9CI) (CA INDEX NAME)



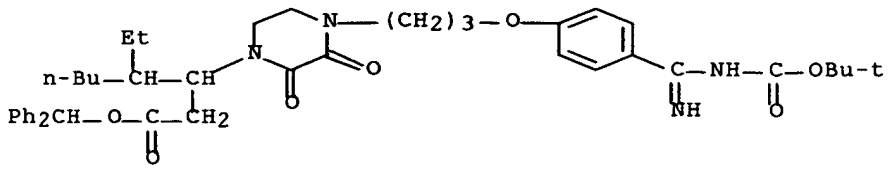
RN 179416-86-5 CAPLUS
CN 1-Piperazinepropanoic acid, 4-[3-[4-[[[(1,1-dimethylethoxy)carbonyl]amino]iminomethyl]phenoxy]propyl]-2,3-dioxo-β-4-pyridinyl-, diphenylmethyl ester (9CI) (CA INDEX NAME)



RN 179416-87-6 CAPLUS
CN 1-Piperazinepropanoic acid, 4-[3-[4-[[[(1,1-dimethylethoxy)carbonyl]amino]iminomethyl]phenoxy]propyl]-2,3-dioxo-β-2-pyridinyl-, diphenylmethyl ester (9CI) (CA INDEX NAME)

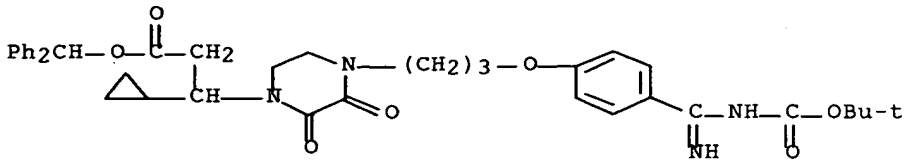


RN 179416-88-7 CAPLUS
CN 1-Piperazinepropanoic acid, 4-[3-[4-[[[(1,1-dimethylethoxy)carbonyl]amino]iminomethyl]phenoxy]propyl]-β-(1-ethylpentyl)-2,3-dioxo-, diphenylmethyl ester (9CI) (CA INDEX NAME)



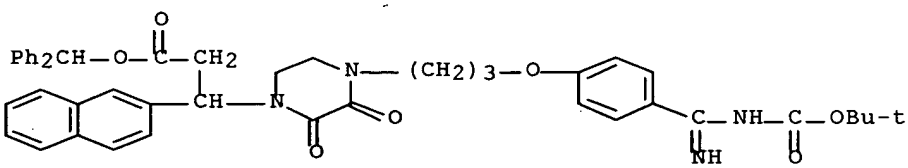
RN 179416-89-8 CAPLUS

CN 1-Piperazinepropanoic acid, β-cyclopropyl-4-[3-[4-[[[(1,1-dimethylethoxy)carbonyl]amino]iminomethyl]phenoxy]propyl]-2,3-dioxo-, diphenylmethyl ester (9CI) (CA INDEX NAME)



RN 179416-90-1 CAPLUS

CN 1-Piperazinepropanoic acid, 4-[3-[4-[[[(1,1-dimethylethoxy)carbonyl]amino]iminomethyl]phenoxy]propyl]-β-2-naphthalenyl-2,3-dioxo-, diphenylmethyl ester (9CI) (CA INDEX NAME)



RN 179416-91-2 CAPLUS

CN 1-Piperazinepropanoic acid, 4-[3-[4-[[[(1,1-dimethylethoxy)carbonyl]amino]iminomethyl]phenoxy]propyl]-β-1-naphthalenyl-2,3-dioxo-, diphenylmethyl ester (9CI) (CA INDEX NAME)

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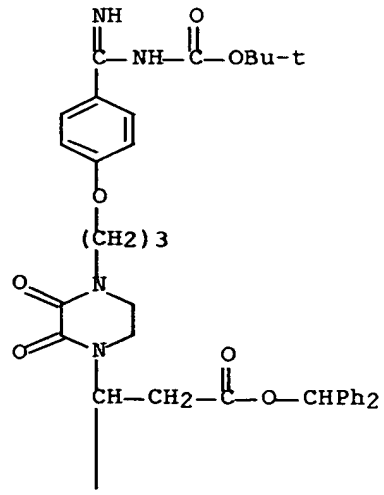

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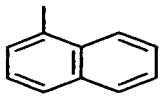
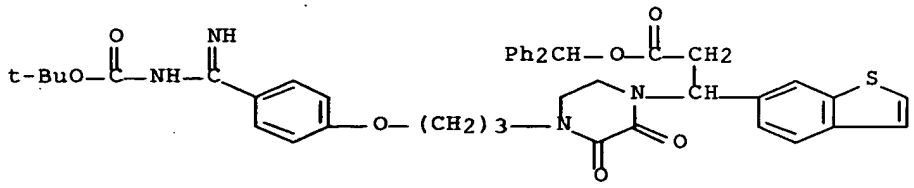


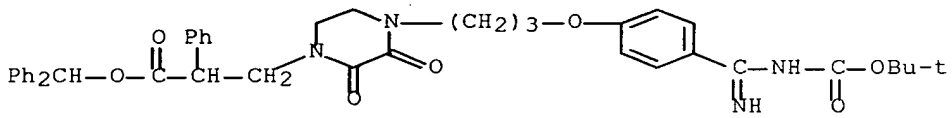
RN 179416-92-3 CAPLUS

CN 1-Piperazinepropanoic acid, β-benzo[b]thien-6-yl-4-[3-[4-[[[(1,1-dimethylethoxy)carbonyl]amino]iminomethyl]phenoxy]propyl]-2,3-dioxo-, diphenylmethyl ester (9CI) (CA INDEX NAME)



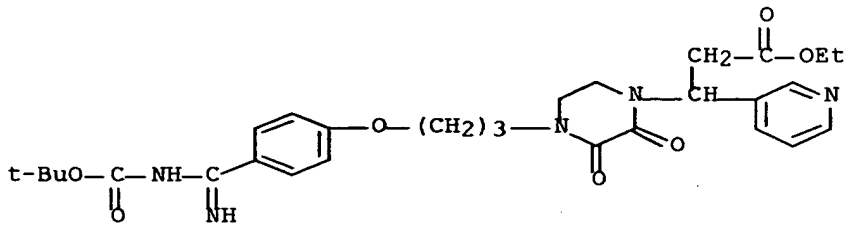
RN 179416-93-4 CAPLUS

CN 1-Piperazinepropanoic acid, 4-[3-[4-[[[(1,1-dimethylethoxy)carbonyl]amino]iminomethyl]phenoxy]propyl]-2,3-dioxo-α-phenyl-, diphenylmethyl ester (9CI) (CA INDEX NAME)



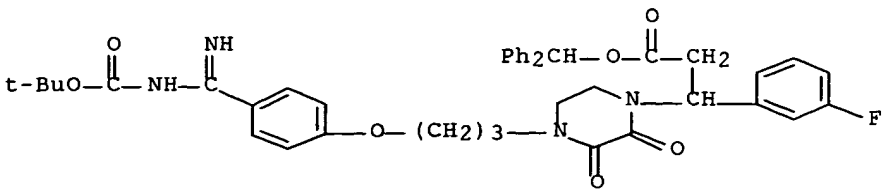
RN 179416-94-5 CAPLUS

CN 1-Piperazinepropanoic acid, 4-[3-[4-[[[(1,1-dimethylethoxy)carbonyl]amino]iminomethyl]phenoxy]propyl]-2,3-dioxo-β-3-pyridinyl-, ethyl ester (9CI) (CA INDEX NAME)



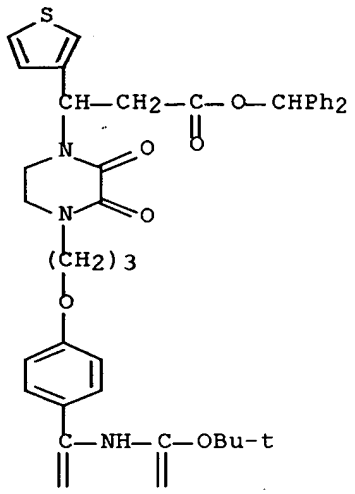
RN 179416-95-6 CAPLUS

CN 1-Piperazinepropanoic acid, 4-[3-[4-[[[(1,1-dimethylethoxy)carbonyl]amino]iminomethyl]phenoxy]propyl]-β-(3-fluorophenyl)-2,3-dioxo-, diphenylmethyl ester (9CI) (CA INDEX NAME)



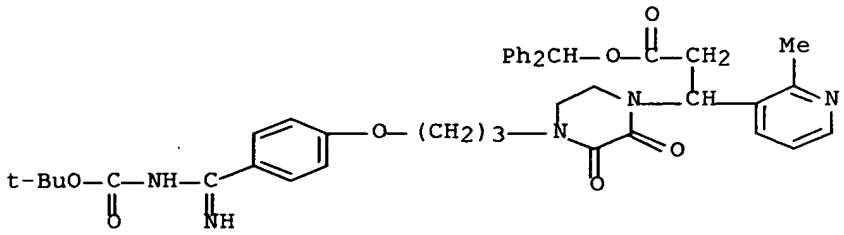
RN 179416-96-7 CAPLUS
CN 1-Piperazinepropanoic acid, 4-[3-[4-[[[(1,1-dimethylethoxy)carbonyl]amino]iminomethyl]phenoxy]propyl]-2,3-dioxo-β-3-thienyl-, diphenylmethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

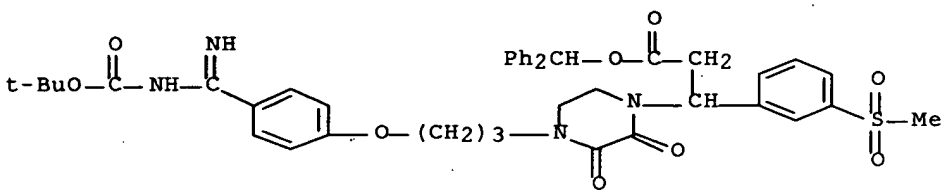


PAGE 2-A

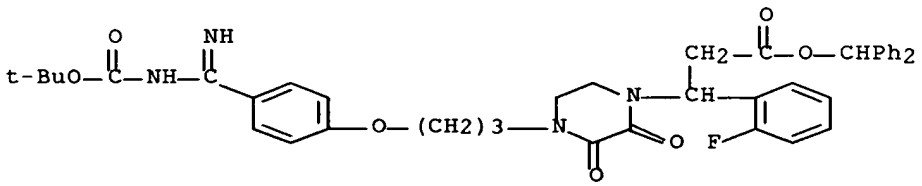
RN 179416-97-8 CAPLUS
CN 1-Piperazinepropanoic acid, 4-[3-[4-[[[(1,1-dimethylethoxy)carbonyl]amino]iminomethyl]phenoxy]propyl]-β-(2-methyl-3-pyridinyl)-2,3-dioxo-, diphenylmethyl ester (9CI) (CA INDEX NAME)



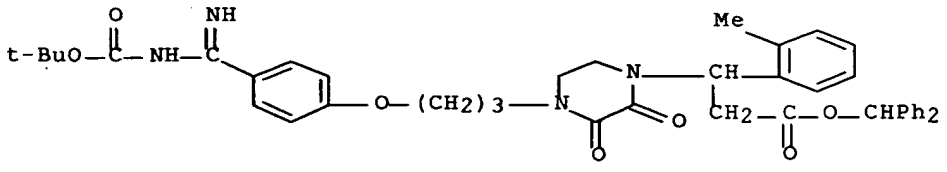
RN 179416-98-9 CAPLUS
CN 1-Piperazinepropanoic acid, 4-[3-[4-[[[(1,1-dimethylethoxy)carbonyl]amino]iminomethyl]phenoxy]propyl]-β-[3-(methylsulfonyl)phenyl]-2,3-dioxo-, diphenylmethyl ester (9CI) (CA INDEX NAME)



RN 179416-99-0 CAPLUS
CN 1-Piperazinepropanoic acid, 4-[3-[4-[[[(1,1-dimethylethoxy)carbonyl]amino]iminomethyl]phenoxy]propyl]-β-(2-fluorophenyl)-2,3-dioxo-, diphenylmethyl ester (9CI) (CA INDEX NAME)

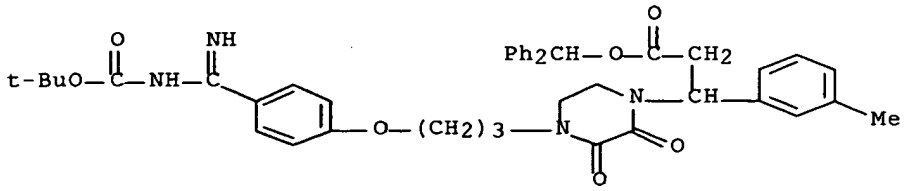


RN 179417-00-6 CAPLUS
CN 1-Piperazinepropanoic acid, 4-[3-[4-[[[(1,1-dimethylethoxy)carbonyl]amino]iminomethyl]phenoxy]propyl]-β-(2-methylphenyl)-2,3-dioxo-, diphenylmethyl ester (9CI) (CA INDEX NAME)



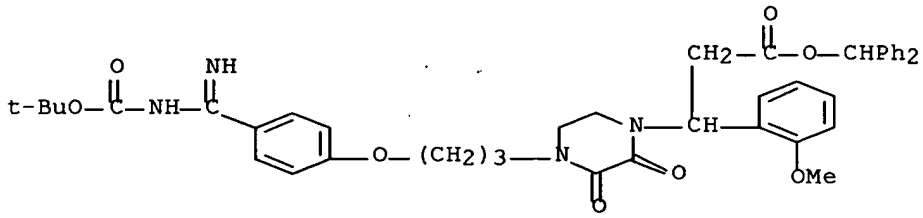
RN 179417-01-7 CAPLUS
CN 1-Piperazinepropanoic acid, 4-[3-[4-[[[(1,1-dimethylethoxy)carbonyl]amino]

iminomethyl]phenoxy]propyl]-β-(3-methylphenyl)-2,3-dioxo-, diphenylmethyl ester (9CI) (CA INDEX NAME)



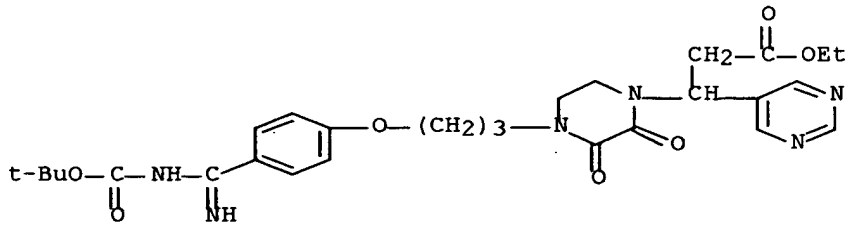
RN 179417-02-8 CAPLUS

CN 1-Piperazinepropanoic acid, 4-[3-[4-[[[(1,1-dimethylethoxy)carbonyl]amino] iminomethyl]phenoxy]propyl]-β-(2-methoxyphenyl)-2,3-dioxo-, diphenylmethyl ester (9CI) (CA INDEX NAME)



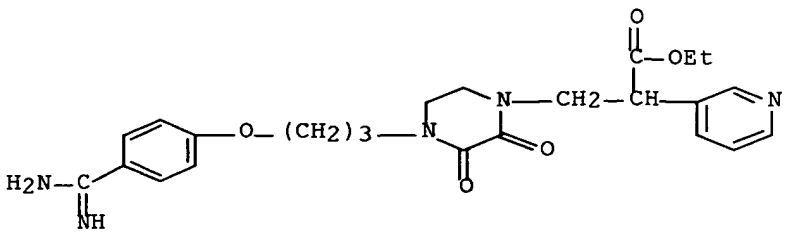
RN 179417-03-9 CAPLUS

CN 5-Pyrimidinepropanoic acid, β-[4-[3-[4-[[[(1,1-dimethylethoxy)carbonyl]amino]iminomethyl]phenoxy]propyl]-2,3-dioxo-1-piperazinyl]-, ethyl ester (9CI) (CA INDEX NAME)



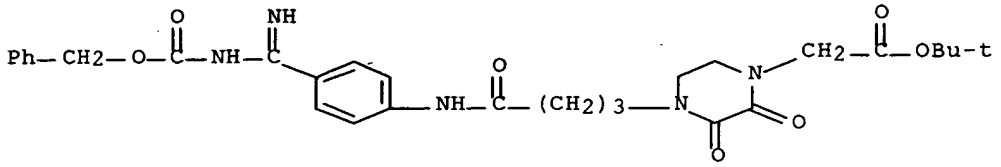
RN 179417-07-3 CAPLUS

CN 1-Piperazinepropanoic acid, 4-[3-[4-(aminoiminomethyl)phenoxy]propyl]-2,3-dioxo-α-3-pyridinyl-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 179417-17-5 CAPLUS
CN 1-Piperazineacetic acid, 4-[4-[[4-[imino[[(phenylmethoxy)carbonyl]amino]methyl]phenyl]amino]-4-oxobutyl]-2,3-dioxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L10 ANSWER 20 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1996:371857 CAPLUS Full-text
DN 125:67716
TI Sustained-release preparations for delivery of water-soluble physiologically active substances
IN Takada, Shigeyuki; Kurokawa, Tomofumi; Iwasa, Susumu
PA Takeda Chemical Industries, Ltd., Japan
SO Eur. Pat. Appl., 18 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 3

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI EP 709085	A1	19960501	EP 1995-115568	19951002 <--
EP 709085	B1	20010131		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
			JP 1994-236846 A	19940930
JP 08151321	A	19960611	JP 1995-250818	19950928 <--
JP 3790567	B2	20060628		
			JP 1994-236846 A1	19940930
CA 2159552	A1	19960331	CA 1995-2159552	19950929 <--
			JP 1994-236846 A	19940930
EP 1022020	A2	20000726	EP 2000-106329	19951002 <--
EP 1022020	A3	20010425		
EP 1022020	B1	20030122		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
			JP 1994-236846 A	19940930
			EP 1995-115568 A3	19951002
AT 198981	T	20010215	AT 1995-115568	19951002 <--

				JP 1994-236846	A	19940930
	AT 231390	T	20030215	AT 2000-106329		19951002 <--
				JP 1994-236846	A	19940930

PATENT FAMILY INFORMATION:

FAN 1997:350556

	PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
PI	EP 765660	A2	19970402	EP 1996-115476		19960926
	EP 765660	A3	19980923			
	R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE					
				US 1995-535386	A	19950928
				JP 1996-77012	A	19960329
	US 6117455	A	20000912	US 1995-535386		19950928
				JP 1994-236846	A	19940930
	JP 09315975	A	19971209	JP 1996-252544		19960925
				US 1995-535386	A	19950928
				JP 1996-77012	A	19960329
	CA 2186709	A1	19970329	CA 1996-2186709		19960927
				US 1995-535386	A	19950928
				JP 1996-77012	A	19960329

FAN 1999:147262

	PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
PI	US 5876756	A	19990302	US 1996-724498		19960930
				US 1995-535386	A2	19950928
				JP 1996-77012	A	19960329
	US 6117455	A	20000912	US 1995-535386		19950928
				JP 1994-236846	A	19940930
	JP 09315975	A	19971209	JP 1996-252544		19960925
				US 1995-535386	A	19950928
				JP 1996-77012	A	19960329
	CA 2186709	A1	19970329	CA 1996-2186709		19960927
				US 1995-535386	A	19950928
				JP 1996-77012	A	19960329

OS MARPAT 125:67716

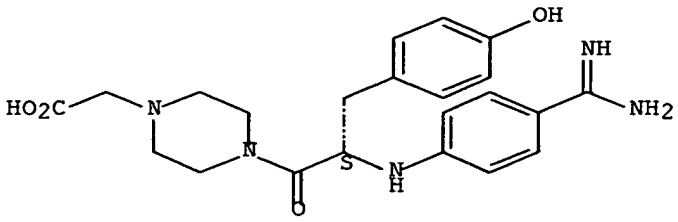
AB A microcapsule comprising an amorphous water-sol. physiol. active substance and a polymer and a process for producing a microcapsule, which comprises dispersing an amorphous water-soluble physiol. active substance in a solution of a polymer in an organic solvent into an aqueous phase to prepare an emulsion and subjecting the emulsion to a rapid drying process, are described. The invention provides a microcapsule that has a high entrapment of a water-soluble drug and causes a small initial release. An antiplatelet aggregation agent S-4-[(4-amidinobenzoyl)glycyl]-3- methoxycarbonylmethyl-2-oxopiperazine-1-acetic acid in amorphous form was dispersed in a solution of glycolic acid-lactic acid copolymer. The drug in the dispersion was pulverized to microparticles in a 0.2% PVA solution containing 2.7% NaCl. The microcapsules were freeze-dried to obtain powdery microcapsules.

IT 177902-03-3
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(sustained-release microcapsules containing water-soluble physiol. active substances and polymers)

RN 177902-03-3 CAPLUS

CN 1-Piperazineacetic acid, 4-[2-[[4-(aminoiminomethyl)phenyl]amino]-3-(4-hydroxyphenyl)-1-oxopropyl]-, (S)- (9CI) (CA INDEX NAME)

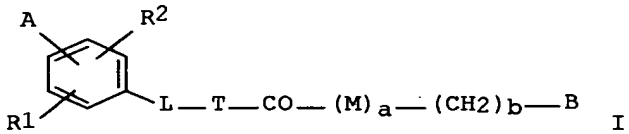
Absolute stereochemistry.



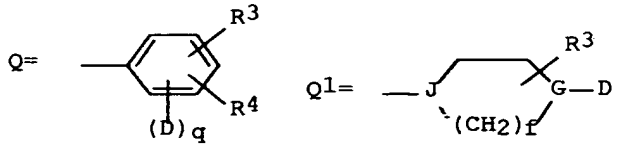
L10 ANSWER 21 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1996:259447 CAPLUS Full-text
DN 124:316765
TI Preparation of benzamidine derivatives as glycoprotein IIb/IIIa antagonists
IN Yoshida, Tomohiro; Ono, Shinichiro; Ashimori, Atsuyuki; Eda, Masahiro; Kosaka, Keigo; Mori, Fumio; Inoe, Yoshihisa; Imada, Mitsuaki; Ikegawa, Ruriko; Et, Al.
PA Green Cross Corp, Japan
SO Jpn. Kokai Tokkyo Koho, 25 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

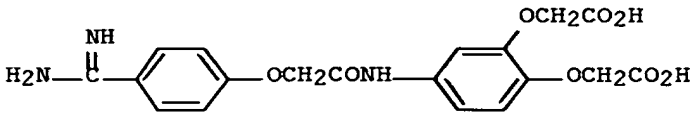
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 07330695	A	19951219	JP 1995-85532	19950411 <--
			JP 1995-85532 A	19950411
			JP 1994-72330	19940411

OS MARPAT 124:316765
GI



I





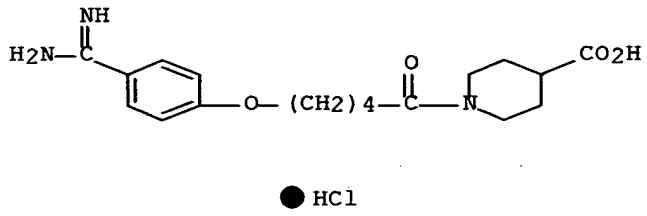
II

AB The amidinobenzene compds. [I; A = E-NHC(:NH), E-NHC(:NH)NH, E-NH(CH2)c; wherein E = H, amidino, guanidino, NH2-protecting group; c = 1,2,3; B = Q, Q1; wherein D = (Q2)p(CH2)r[CH(NH-E)]sCO2R5; wherein R5 = H, lower alkyl, cycloalkyl, aralkyl; Q2 = O, S, (un)substituted NH; R3, R4 = H, lower alkyl, halo, acyl, alkoxy; q = 1,2; p, s = 0,1; r = 0,1-3; provided that when p ≠ 0, at least one of r and s ≠ 0; J, G = CH, N; when G = N, then p = 0; f = 1-3; T

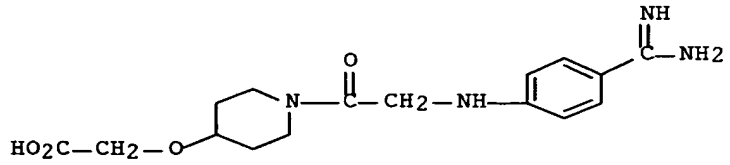
= (un)branched alkylene; L, M = O, S, (un)substituted NH; R1, R2 = H, lower alkyl, halo, acyl, alkoxy; a = 0,1; b = 0, 1-3; provided that when a = 0, then b = 0 and B = Q1; when a = 1 and b = 0, B = Q or Q1 (wherein J = CH)], which inhibit the thrombus of blood platelet and are useful for the treatment and prevention of thrombotic diseases, seizure, cardiac infarction, inflammation, and arteriosclerosis, are prepared Thus, 4-(benzyloxycarbonylamidino)phenoxyace tic acid was condensed with di-tert-Bu [(4-amino-o- phenylene)dioxy]diacetate using 1-hydroxy-1H-benzotriazole and 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide in DMF at room temperature to give 77% di-tert-Bu [[4-[[4-(benzyloxycarbonylamidino)phenoxy]acetylamino]-o-phenylene]dioxy]diacetate, which was hydrogenolyzed in the presence of 10% Pd-C in THF under H atmospheric and the treated with CF3CO2H in CH2Cl2 at room temperature for 1.5 h to give the title compound (II) in 91% yield. II showed IC50 of 0.07 μM for inhibiting the ADP-induced aggregation of human blood platelet.

IT 175867-24-0P 175867-25-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzamidine derivs. as glycoprotein IIb/IIIa antagonists as antithrombotics and blood platelet aggregation inhibitors)

RN 175867-24-0 CAPLUS
CN 4-Piperidinecarboxylic acid, 1-[5-[4-(aminoiminomethyl)phenoxy]-1-oxopentyl]-, monohydrochloride (9CI) (CA INDEX NAME)

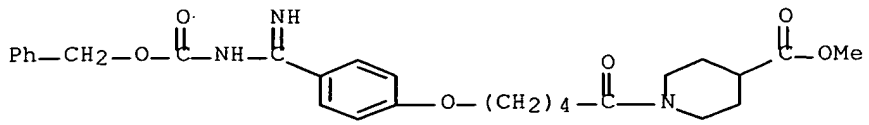


RN 175867-25-1 CAPLUS
CN Acetic acid, [[1-[[[4-(aminoiminomethyl)phenyl]amino]acetyl]-4-piperidinyl]oxy]- (9CI) (CA INDEX NAME)

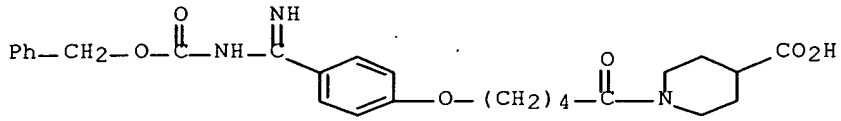


IT 175867-46-6P 175867-47-7P 175867-49-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of benzamidine derivs. as glycoprotein IIb/IIIa antagonists as antithrombotics and blood platelet aggregation inhibitors)

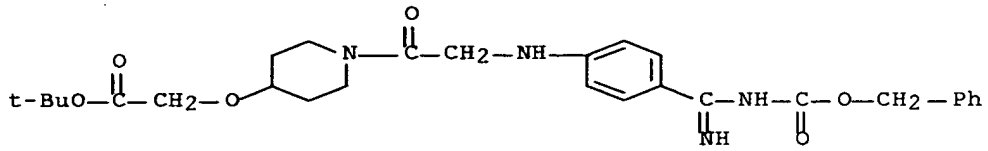
RN 175867-46-6 CAPLUS
CN 4-Piperidinecarboxylic acid, 1-[5-[4-[imino[[(phenylmethoxy)carbonyl]amino]methyl]phenoxy]-1-oxopentyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 175867-47-7 CAPLUS
CN 4-Piperidinecarboxylic acid, 1-[5-[4-[imino[[(phenylmethoxy)carbonyl]amino]methyl]phenoxy]-1-oxopentyl]- (9CI) (CA INDEX NAME)



RN 175867-49-9 CAPLUS
CN Acetic acid, [[1-[[[4-[imino[[(phenylmethoxy)carbonyl]amino]methyl]phenyl]amino]acetyl]-4-piperidinyl]oxy]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L10 ANSWER 22 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1995:907619 CAPLUS Full-text
DN 123:313557
TI Preparation of phenoxyacetic acid derivatives and analogs as cell adhesion inhibitors
IN Alig, Leo; Hadvary, Paul; Huerzeler Mueller, Marianne; Mueller, Marcel; Steiner, Beat; Weller, Thomas'
PA F. Hoffman-La Roche AG, Switz.
SO Eur. Pat. Appl., 69 pp.
CODEN: EPXXDW
DT Patent
LA German
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI EP 656348	A2	19950607	EP 1994-118645	19941126 <--
EP 656348	A3	19950906		
EP 656348	B1	20000503		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
			CH 1993-3609 A	19931203
			CH 1994-3198 A	19941025
ZA 9409397	A	19950605	ZA 1994-9397	19941125 <--
			CH 1993-3609 A	19931203
AT 192430	T	20000515	AT 1994-118645	19941126 <--

			CH 1993-3609	A	19931203	
			CH 1994-3198	A	19941025	
ES 2147210	T3	20000901	ES 1994-118645		19941126	<--
			CH 1993-3609	A	19931203	
			CH 1994-3198	A	19941025	
PT 656348	T	20001031	PT 1994-118645		19941126	<--
			CH 1993-3609	A	19931203	
			CH 1994-3198	A	19941025	
CA 2136903	A1	19950604	CA 1994-2136903		19941129	<--
CA 2136903	C	20060606				
			CH 1993-3609	A	19931203	
			CH 1994-3198	A	19941025	
AU 9479090	A	19950608	AU 1994-79090		19941129	<--
AU 687905	B2	19980305				
			CH 1993-3609	A	19931203	
			CH 1994-3198	A	19941025	
HR 940961	B1	20001231	HR 1994-961		19941129	<--
			CH 1993-3609	A	19931203	
HU 71332	A2	19951128	HU 1994-3441		19941130	<--
			CH 1993-3609	A	19931203	
			CH 1994-3198	A	19941025	
SK 282058	B6	20011008	SK 1994-1458		19941130	<--
			CH 1993-3609	A	19931203	
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			CH 1994-3198	A	19941025	
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CN 1075062	B	20011121				
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RU 2151768	C1	20000627	RU 1994-42929		19941202	<--
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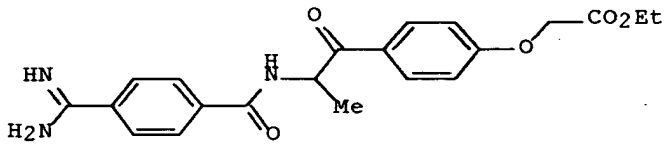
OS MARPAT 123:313557
GI



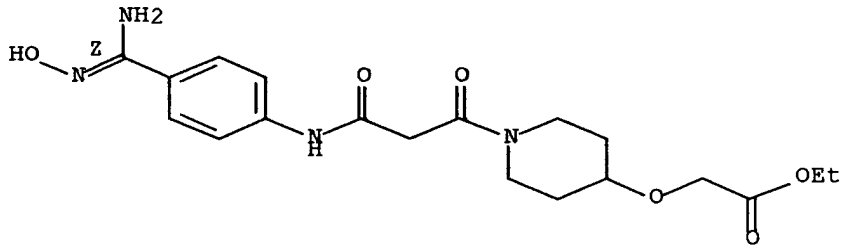
I

AB LCOMZCH2COT [L = ACOZ1CH(G), ACH2Z2CH(G), ANHCOCH(G), etc.; A = aryl or cycloalkylalkyl groups Q1,Q2, etc.; D = (CH2)1-4, (CH2)0-3O; G = H, amino acid side chain; M = 1,4-piperidinylene, (un)substituted 1,4-phenylene; R = R1NHC(:NR2), R1NHCH2, etc.; R1,R2 = H, alkyl, alkoxy, etc.; R1R2 = atoms to complete a 5,5-dimethyl- or 5-oxo-4,5-dihydro-1,2,4-oxadiazol-3-yl group; T = NH2, OH, alkoxy, etc.; 1 of X,Y = CH and the other = CH, N, etc.; Z = O, CH2, NH, etc.; Z1 = (alkyl- or alkoxycarbonyl-substituted) CH2, (alkyl)imino, etc.; Z2 = O, (acyl)imino; m,n = 0-5] were prepared Thus, (S)-4-(HO)C6H4COCHMeNHCO2CMe3 was etherified by BrCH2CO2Et and the deprotected product N-acylated by 4-[H2N(Me3CMe2SiON:)C]C6H4CO2H to give, after deprotection, title compound (S)-I which had ED50 of 0.2mg/kg orally in mice for production of plasma capable of inhibiting aggregation of human platelet-rich plasma.

IT 170095-05-3P 170095-07-5P 170095-08-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of phenoxyacetic acid derivs. and analogs as cell adhesion inhibitors)

RN 170095-05-3 CAPLUS
CN Acetic acid, [[1-[3-[[4-[amino(hydroxyimino)methyl]phenyl]amino]-1,3-dioxopropyl]-4-piperidinyl]oxy]-, ethyl ester, (Z)- (9CI) (CA INDEX NAME)

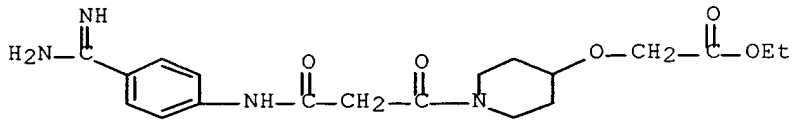
Double bond geometry as shown.



RN 170095-07-5 CAPLUS
CN Acetic acid, [[1-[3-[[4-(aminoiminomethyl)phenyl]amino]-1,3-dioxopropyl]-4-piperidinyl]oxy]-, ethyl ester, monoacetate (9CI) (CA INDEX NAME)

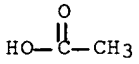
CM 1

CRN 170095-06-4
CMF C19 H26 N4 O5

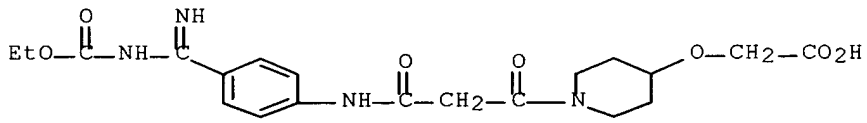


CM 2

CRN 64-19-7
CMF C2 H4 O2

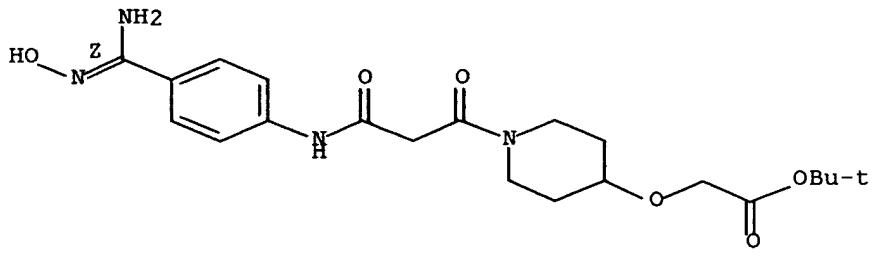


RN 170095-08-6 CAPLUS
CN Acetic acid, [[1-[3-[[4-[[(ethoxycarbonyl)amino]iminomethyl]phenyl]amino]-1,3-dioxopropyl]-4-piperidinyl]oxy]- (9CI) (CA INDEX NAME)



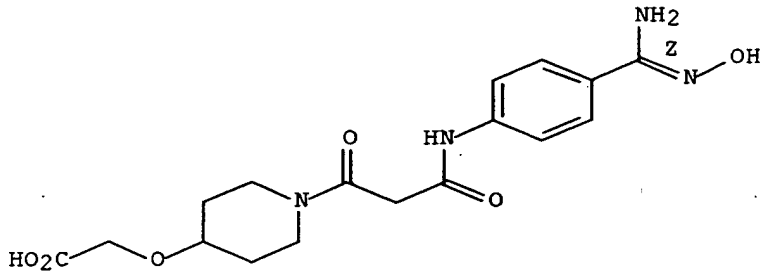
IT 170096-94-3P 170096-95-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of phenoxyacetic acid derivs. and analogs as cell adhesion inhibitors)
RN 170096-94-3 CAPLUS
CN Acetic acid, [[1-[3-[[4-[amino(hydroxyimino)methyl]phenyl]amino]-1,3-dioxopropyl]-4-piperidinyl]oxy]-, 1,1-dimethylethyl ester, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 170096-95-4 CAPLUS
CN Acetic acid, [[1-[3-[[4-[amino(hydroxyimino)methyl]phenyl]amino]-1,3-dioxopropyl]-4-piperidinyl]oxy]-, (Z)- (9CI) (CA INDEX NAME)

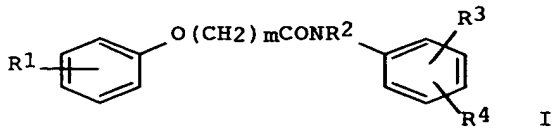
Double bond geometry as shown.



L10 ANSWER 23 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1995:729952 CAPLUS Full-text
DN 123:285545
TI Amidino compounds as glycoprotein IIb/IIIa antagonists and pharmaceutical compositions containing them
IN Takasugi, Hisashi; Kato, Masayuki; Ookubo, Mitsuru; Takahashi, Fumie
PA Fujisawa Pharmaceutical Co, Japan
SO Jpn. Kokai Tokkyo Koho, 17 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 07138221	A	19950530	JP 1993-307422	19931111 <--
			JP 1993-307422	19931111

OS MARPAT 123:285545
GI



I

AB Amidine compds. I [R1 = (un)protected amidino; R2 = H, lower alkyl; R3 = H, acyl, acyl-lower alkoxy, (un)substituted aryl-lower alkyl, N-(aryl-lower alkyl)-N-(lower alkanoyl)aminoalkyl; R4 = acyl, acyl-lower alkoxy, (un)substituted acyl-lower alkyl, N-(aryl-lower alkyl)-N-(lower alkanoyl)-lower alkyl; m = 1-6] and their salts and pharmaceutical compns. containing I or their salts as active ingredients are claimed. I antagonize glycoprotein IIb/IIIa and inhibit aggregation of blood platelet, and are useful for treatment of thrombotic diseases, e.g. arteriosclerosis, ischemic heart disease, ischemic brain diseases, diabetic complications, restenosis after

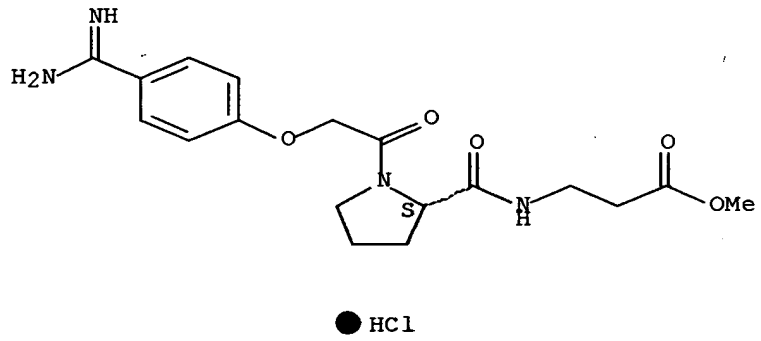
PTCA, DIC, thrombocytopenia, inflammation, etc. I are also useful as cell adhesion inhibitors. A mixture of di-Me [4-[4-[N-(benzyloxycarbonyl)amidino]phenyloxyacetylamino]-1,2- phenylenedioxy]diacetate (1.0 g), Pd/C, HCl, H2O, and THF was autoclaved under H for 1 h to give 0.81 g di-Me [4-[(4-amidinophenyloxy)acetylamino]- 1,2-phenylenedioxy]diacetate hydrochloride. IC50 value of this compound against ADP-induced platelet aggregation was 6.0 + 10-7M.

IT 169181-06-0
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); THU (Therapeutic use); BIOL (Biological study); RACT (Reactant or reagent); USES (Uses)
([(amidinophenoxy)alkanamido]benzenes as glycoprotein IIb/IIIa antagonists and blood platelet aggregation inhibitors for treatment of thrombotic diseases)

RN 169181-06-0 CAPLUS

CN β-Alanine, N-[1-[[4-(aminoiminomethyl)phenoxy]acetyl]-L-prolyl]-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 169181-08-2P 169181-18-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
([(amidinophenoxy)alkanamido]benzenes as glycoprotein IIb/IIIa antagonists and blood platelet aggregation inhibitors for treatment of thrombotic diseases)

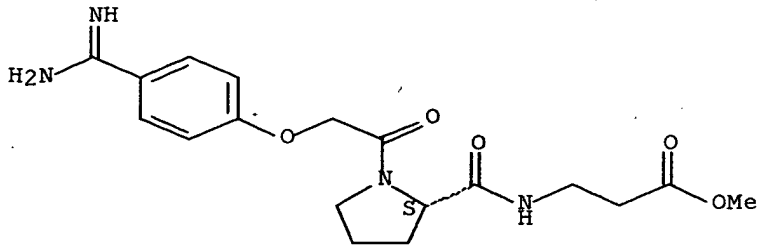
RN 169181-08-2 CAPLUS

CN β-Alanine, N-[1-[[4-(aminoiminomethyl)phenoxy]acetyl]-L-prolyl]-, methyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

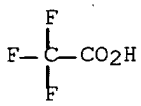
CRN 169181-07-1
CMF C18 H24 N4 O5

Absolute stereochemistry.



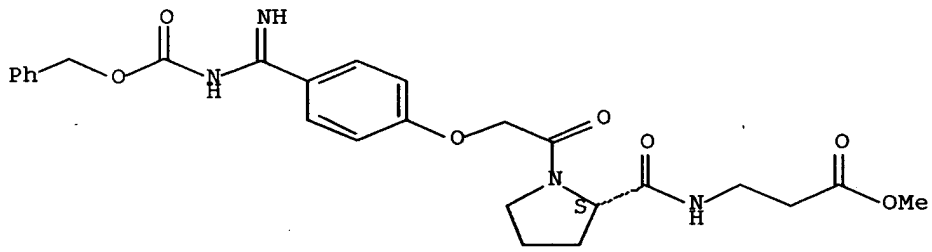
CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 169181-18-4 CAPLUS
CN β-Alanine, N-[1-[[4-[imino[[(phenylmethoxy)carbonyl]amino]methyl]phen oxy]acetyl]-L-prolyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L10 ANSWER 24 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1995:308716 CAPLUS Full-text
DN 122:81416
TI Heterocycle-containing amidine derivatives, their preparation, and use as LTB4 antagonists
IN Renth, Ernst Otto; Schromm, Kurt; Anderskewitz, Ralf; Birke, Franz; Fuegner, Armin; Heuer, Hubert
PA Boehringer Ingelheim International G.m.b.H., Germany
SO Ger. Offen., 31 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

PI	DE 4309285	A1	19940929	DE 1993-4309285		19930323 <--
	CA 2158994	A1	19940929	CA 1994-2158994		19940318 <--
				DE 1993-4309285	A	19930323
	WO 9421616	A1	19940929	WO 1994-EP856		19940318 <--
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				DE 1993-4309285	A	19930323
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				WO 1994-EP856	W	19940318
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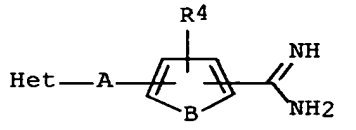
OS MARPAT 122:81416

GI



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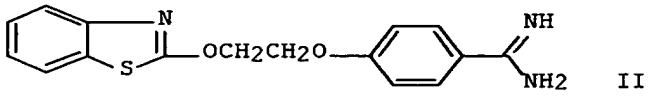


II

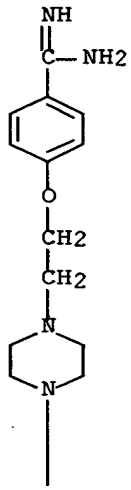
AB Title compds. are disclosed, namely I [A = X1A1X2, X2A1X1, 1,4-piperazinediyl; A1 = linear or branched C2-6 divalent aliphatic group with optional double or triple bond, Y, CH2YCH2 (Y = cyclopentanediyl or cyclohexanediyl), (un)substituted CH2C6H4CH2; X1 = O, S, SO, SO2, CH2, 1,4-piperazinediyl; X2 = O, S, CH2, OC6H4; B = CH:CH, CH:N, S, 1,2-C6H4; Het = (un)substituted mono-, di-, or tricyclic heterocyclyl; R4 = F, Cl, Br, iodo, (di)(alkyl)amino, OH, alkoxy, alkyl] and their stereoisomers and salts. The compds., being antagonists of leukotriene B4, are useful for treating inflammatory and allergic conditions such as asthma, ulcerative colitis, psoriasis, and gastropathy induced by nonsteroidal antiphlogistics. For example, Pinner reaction of 4-[2-(2- benzothiazolyloxy)ethoxy]benzonitrile, by treatment with

HCl and EtOH in CH2Cl2 at -15°, and ammonolysis of the precipitated crystalline imidate with NH3-saturated EtOH at reflux, gave title compound II as the HCl salt. I had Ki of 1-20 nM in an LTB4 receptor binding assay. Over 90 I are listed with m.p. data.

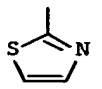
IT 160410-64-0P 160410-79-7P 160411-21-2P
160411-22-3P 160411-23-4P 160411-25-6P
160411-26-7P 160411-42-7P 160411-43-8P
160411-44-9P 160411-45-0P 160411-46-1P
160411-47-2P 160411-48-3P 160411-58-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterocycle-containing amidine derivs. as LTB4 antagonists)

RN 160410-64-0 CAPLUS
CN Benzenecarboximidamide, 4-[2-[4-(2-thiazolyl)-1-piperazinyl]ethoxy]-, monohydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

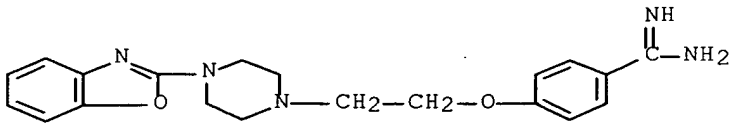


● HCl

RN 160410-79-7 CAPLUS
CN Benzenecarboximidamide, 4-[2-[4-(2-benzoxazolyl)-1-piperazinyl]ethoxy]-, trimethanesulfonate (9CI) (CA INDEX NAME)

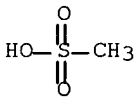
CM 1

CRN 160410-78-6
CMF C20 H23 N5 O2

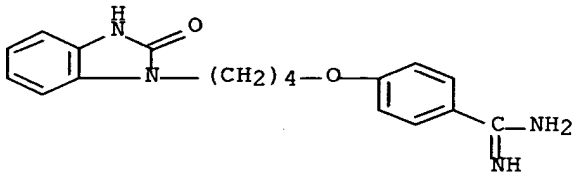


CM 2

CRN 75-75-2
CMF C H4 O3 S

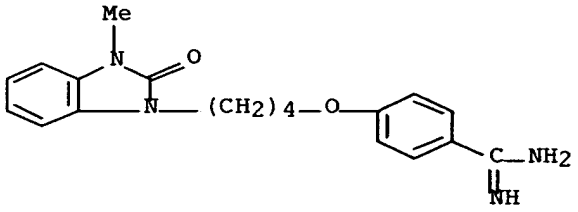


RN 160411-21-2 CAPLUS
CN Benzenecarboximidamide, 4-[4-(2,3-dihydro-2-oxo-1H-benzimidazol-1-yl)butoxy]-, monohydrochloride (9CI) (CA INDEX NAME)



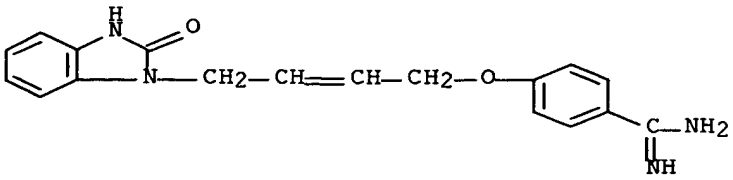
● HCl

RN 160411-22-3 CAPLUS
CN Benzenecarboximidamide, 4-[4-(2,3-dihydro-3-methyl-2-oxo-1H-benzimidazol-1-yl)butoxy]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 160411-23-4 CAPLUS
CN Benzenecarboximidamide, 4-[[4-(2,3-dihydro-2-oxo-1H-benzimidazol-1-yl)-2-butenyl]oxy]-, monohydrochloride (9CI) (CA INDEX NAME)

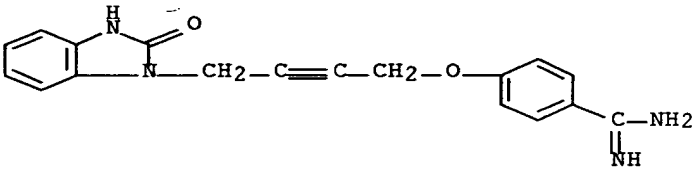


● HCl

RN 160411-25-6 CAPLUS
CN Benzenecarboximidamide, 4-[[4-(2,3-dihydro-2-oxo-1H-benzimidazol-1-yl)-2-butynyl]oxy]-, monohydrochloride, compd. with 2-propanol (1:1) (9CI) (CA INDEX NAME)

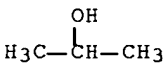
CM 1

CRN 160411-24-5
CMF C18 H16 N4 O2

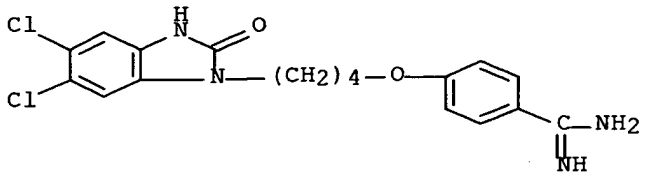


CM 2

CRN 67-63-0
CMF C3 H8 O

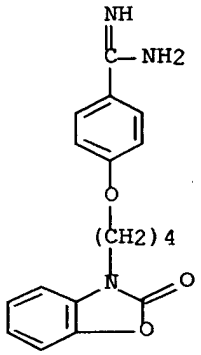


RN 160411-26-7 CAPLUS
CN Benzenecarboximidamide, 4-[4-(5,6-dichloro-2,3-dihydro-2-oxo-1H-benzimidazol-1-yl)butoxy]-, monohydrochloride (9CI) (CA INDEX NAME)



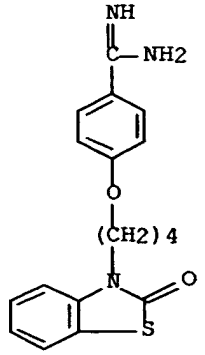
● HCl

RN 160411-42-7 CAPLUS
CN Benzenecarboximidamide, 4-[4-(2-oxo-3(2H)-benzoxazolyl)butoxy]-, monohydrochloride (9CI) (CA INDEX NAME)



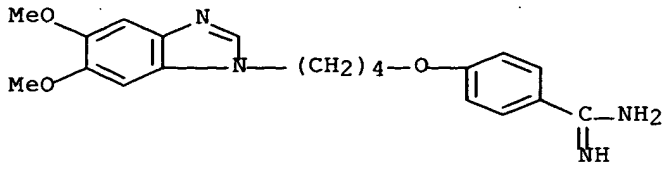
● HCl

RN 160411-43-8 CAPLUS
CN Benzenecarboximidamide, 4-[4-(2-oxo-3(2H)-benzothiazolyl)butoxy]-, monohydrochloride (9CI) (CA INDEX NAME)

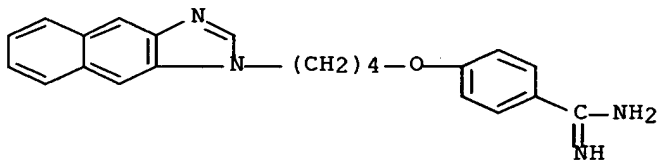


● HCl

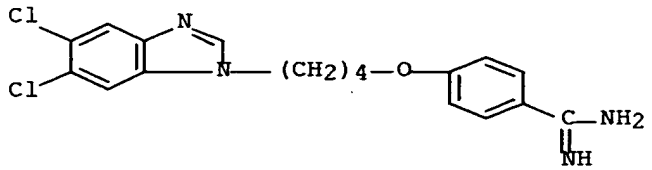
RN 160411-44-9 CAPLUS
CN Benzenecarboximidamide, 4-[4-(5,6-dimethoxy-1H-benzimidazol-1-yl)butoxy]-, monohydrochloride (9CI) (CA INDEX NAME)



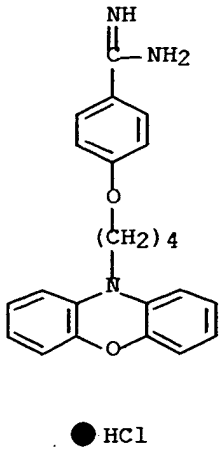
RN 160411-45-0 CAPLUS
CN Benzenecarboximidamide, 4-[4-(1H-naphth[2,3-d]imidazol-1-yl)butoxy]-, monohydrochloride (9CI) (CA INDEX NAME)



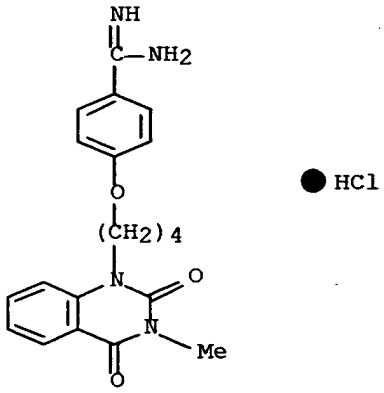
RN 160411-46-1 CAPLUS
CN Benzenecarboximidamide, 4-[4-(5,6-dichloro-1H-benzimidazol-1-yl)butoxy]-, monohydrochloride (9CI) (CA INDEX NAME)



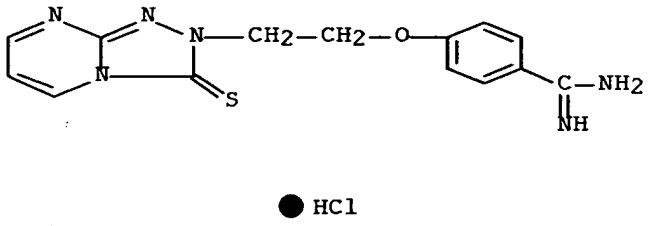
RN 160411-47-2 CAPLUS
CN Benzenecarboximidamide, 4-[4-(10H-phenoxazin-10-yl)butoxy]-, monohydrochloride (9CI) (CA INDEX NAME)



RN 160411-48-3 CAPLUS
CN Benzenecarboximidamide, 4-[4-(3,4-dihydro-3-methyl-2,4-dioxo-1(2H)-quinazolinyl)butoxy]-, monohydrochloride (9CI) (CA INDEX NAME)



RN 160411-58-5 CAPLUS
CN Benzenecarboximidamide, 4-[2-(3-thioxo-1,2,4-triazolo[4,3-a]pyrimidin-2(3H)-yl)ethoxy]-, monohydrochloride (9CI) (CA INDEX NAME)



L10 ANSWER 25 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1994:680551 CAPLUS Full-text
DN 121:280551

TI Preparation of piperidylcarbonylamino derivatives as platelet aggregation inhibitors

IN Tjoeng, Foe S.; Toth, Mihaly V.

PA G.D. Searle and Co., USA; Monsanto Co.

SO PCT Int. Appl., 32 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9419341	A1	19940901	WO 1994-US513	19940125 <--
W: AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, LV, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, UZ, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
			US 1993-19923 A	19930219
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AU 9461236	A	19940914	AU 1994-61236	19940125 <--
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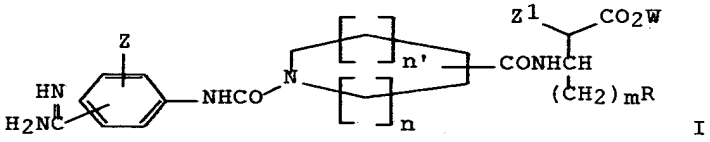
			WO 1994-US513 W	19940125

OS MARPAT 121:280551

GI



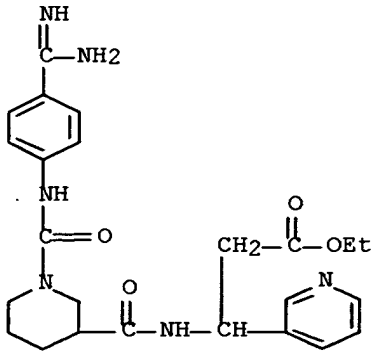
I

AB Title compds. I (R = H, alkyl, alkenyl, alkynyl, alicyclyl, arom., all optionally substituted, (substituted) mono- bicyclic heterocyclyl; W = H, alkyl, alkenyl, alkynyl, alicyclyl, aromatic all optionally substituted; Z, Z' = H, alkyl, alkoxy, halo, NC, SO2, HO; m = 0-6; n, n = 0-3) or a pharmaceutically salt thereof, are prepared I (4-HN:CNH2, R = 3-pyridinyl, W = Et, Z, Z' = H, m = 0, n = n' = 1).2 CF3CO2H (preparation given) was treated with LiOH/MeOH to give I (4-HN:CHNH2, R = 3 pyridinyl, W = Z = Z' = H, M = 0, n = n' = 1) which in vitro platelet aggregation inhibition had an IC50 of 8.5 + 10-7M.

IT 158982-97-9P 158982-98-0P 158982-99-1P
158983-00-7P 158983-01-8P 158983-02-9P
158983-03-0P 158983-04-1P 158983-05-2P
158983-06-3P 158983-07-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperidylcarbonylamino derivs. as platelet aggregation inhibitors)

RN 158982-97-9 CAPLUS

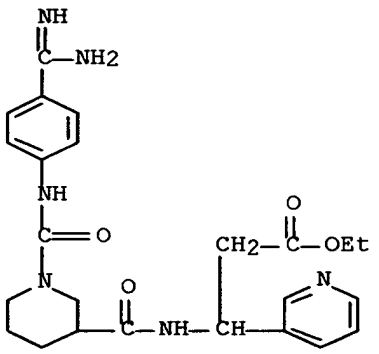
CN 3-Pyridinepropanoic acid, β-[[[1-[[[4-(aminoiminomethyl)phenyl]amino] carbonyl]-3-piperidinyl]carbonyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



RN 158982-98-0 CAPLUS
CN 3-Pyridinepropanoic acid, β-[[[1-[[[4-(aminoiminomethyl)phenyl]amino]carbonyl]-3-piperidinyl]carbonyl]amino]-, ethyl ester, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

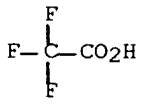
CM 1

CRN 158982-97-9
CMF C24 H30 N6 O4

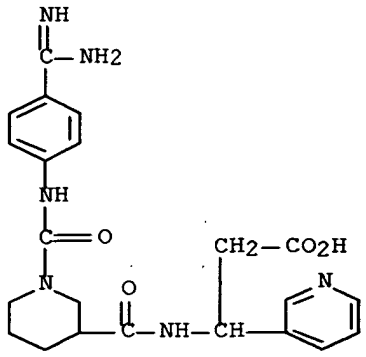


CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 158982-99-1 CAPLUS
CN 3-Pyridinepropanoic acid, β-[[[1-[[[4-(aminoiminomethyl)phenyl]amino]carbonyl]-3-piperidinyl]carbonyl]amino]- (9CI) (CA INDEX NAME)

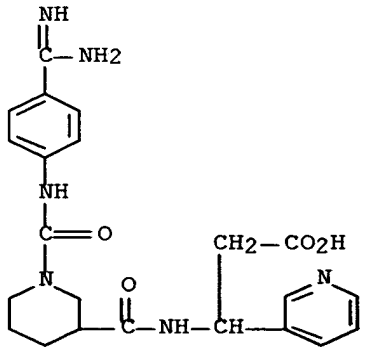


RN 158983-00-7 CAPLUS

CN 3-Pyridinepropanoic acid, β-[[[1-[[[4-(aminoiminomethyl)phenyl]amino]carbonyl]-3-piperidinyl]carbonyl]amino]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

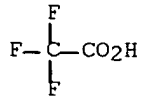
CM 1

CRN 158982-99-1
CMF C22 H26 N6 O4



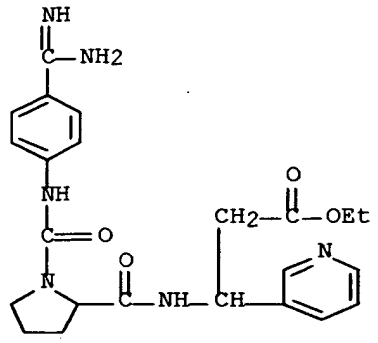
CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 158983-01-8 CAPLUS

CN β-Alanine, N-[1-[[[4-(aminoiminomethyl)phenyl]amino]carbonyl]-L-prolyl]-3-(3-pyridinyl)-, ethyl ester (9CI) (CA INDEX NAME)

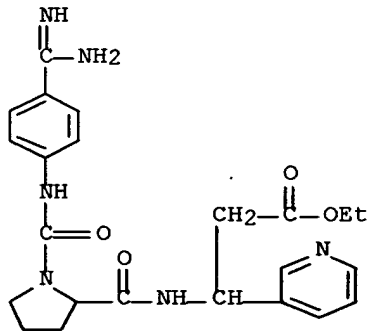


RN 158983-02-9 CAPLUS

CN β-Alanine, N-[1-[[[4-(aminoiminomethyl)phenyl]amino]carbonyl]-L-prolyl]-3-(3-pyridinyl)-, ethyl ester, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

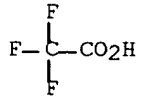
CM 1

CRN 158983-01-8
CMF C23 H28 N6 O4



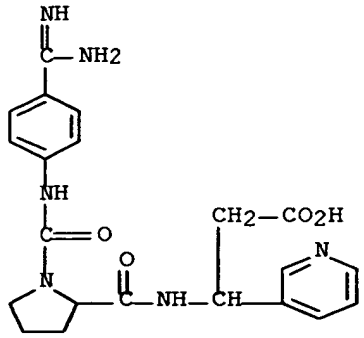
CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 158983-03-0 CAPLUS

CN β-Alanine, N-[1-[[[4-(aminoiminomethyl)phenyl]amino]carbonyl]-L-prolyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)

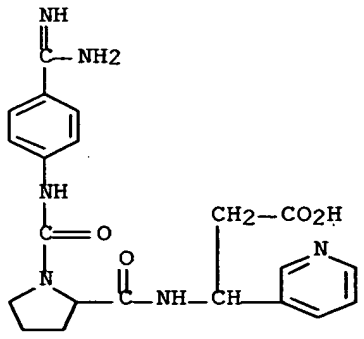


RN 158983-04-1 CAPLUS

CN β-Alanine, N-[1-[[[4-(aminoiminomethyl)phenyl]amino]carbonyl]-L-prolyl]-3-(3-pyridinyl)-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

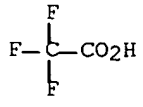
CM 1

CRN 158983-03-0
CMF C21 H24 N6 O4



CM 2

CRN 76-05-1
CMF C2 H F3 O2

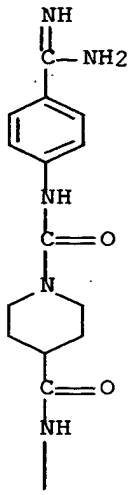


RN 158983-05-2 CAPLUS

CN 3-Pyridinepropanoic acid, β-[[[1-[[[4-(aminoiminomethyl)phenyl]amino]

carbonyl]-4-piperidinyl]carbonyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



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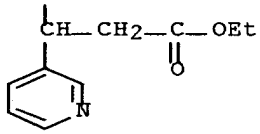
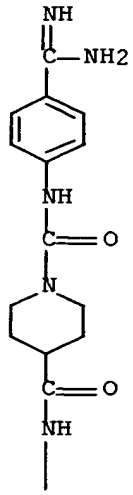


RN 158983-06-3 CAPLUS

CN 3-Pyridinepropanoic acid, β-[[[1-[[[4-(aminoiminomethyl)phenyl]amino] carbonyl]-4-piperidinyl]carbonyl]amino]- (9CI) (CA INDEX NAME)

PAGE 1-A



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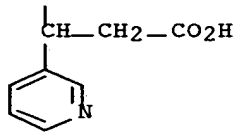


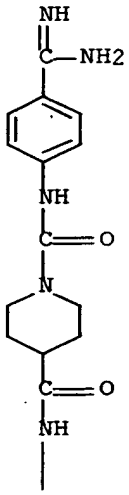
RN 158983-07-4 CAPLUS

CN 3-Pyridinepropanoic acid, β-[[[1-[[[4-(aminoiminomethyl)phenyl]amino] carbonyl]-4-piperidinyl]carbonyl]amino]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 158983-06-3
CMF C22 H26 N6 O4

PAGE 1-A



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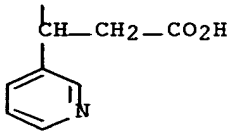

CM 2

CRN 76-05-1
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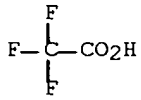

L10 ANSWER 26 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1993:59712 CAPLUS Full-text
DN 118:59712
TI Preparation of 3-[(imidazoloalkoxy)phenyl]oxadiazoles and analogs as monoamine oxidase B inhibitors
IN Toyofuku, Hatsunori; Matsumoto, Jun; Takahashi, Toshie; Ebie, Masakazu; Sasada, Naomi; Mitsuzi, Agata; Shohei, Sawaki; Masayoshi, Goto
PA Wakamoto Pharmaceutical Co., Ltd., Japan
SO Eur. Pat. Appl., 23 pp.
CODEN: EPXXDW
DT Patent
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 504574	A1	19920923	EP 1992-101983	19920206 <--
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	US 5356916	A	19941018	US 1992-830298	19920131 <--
				JP 1991-81198 A	19910322
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OS MARPAT 118:59712

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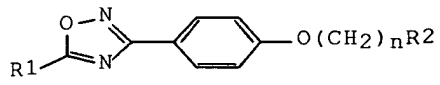


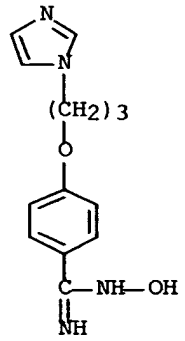
I

AB Title compds. [I; R1 = (cyclo)alkyl, haloalkyl, alkylamino, Ph; R2 = H, dialkylamino, (halo)phenyl, heteroaryl, etc.; n = 1-3] were prepared Thus, imidazole was condensed with 4-(NC)C6H4O(CH2)3Cl and the product condensed with HONH2 to give 4-[R2(CH2)3O]C6H4C(:NOH)NH2 (R2 = imidazolo) which was cyclocondensed with EtCOCl to give I (R2 = imidazolo, n = 3) (II; R1 = Et). II (R1 = CCl3) had IC50 of 0.03 μmol/L against MAO-B in vitro.

IT 145259-36-5P 145259-37-6P 145259-38-7P
145259-39-8P 145259-42-3P 145259-43-4P
145259-44-5P 145259-45-6P 145259-46-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of MAO-B inhibitors)

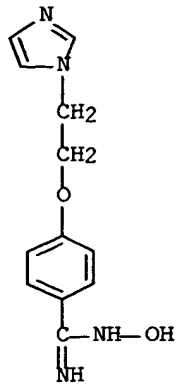
RN 145259-36-5 CAPLUS

CN Benzenecarboximidamide, N-hydroxy-4-[3-(1H-imidazol-1-yl)propoxy]- (9CI) (CA INDEX NAME)

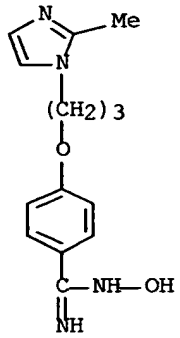


RN 145259-37-6 CAPLUS

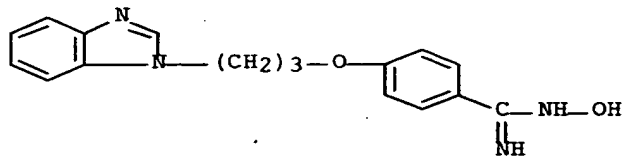
CN Benzenecarboximidamide, N-hydroxy-4-[2-(1H-imidazol-1-yl)ethoxy]- (9CI) (CA INDEX NAME)



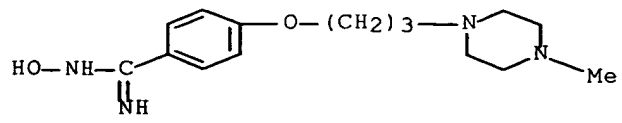
RN 145259-38-7 CAPLUS
CN Benzenecarboximidamide, N-hydroxy-4-[3-(2-methyl-1H-imidazol-1-yl)propoxy]- (9CI) (CA INDEX NAME)



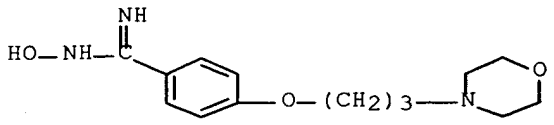
RN 145259-39-8 CAPLUS
CN Benzenecarboximidamide, 4-[3-(1H-benzimidazol-1-yl)propoxy]-N-hydroxy- (9CI) (CA INDEX NAME)



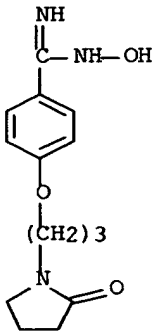
RN 145259-42-3 CAPLUS
CN Benzenecarboximidamide, N-hydroxy-4-[3-(4-methyl-1-piperazinyl)propoxy]- (9CI) (CA INDEX NAME)



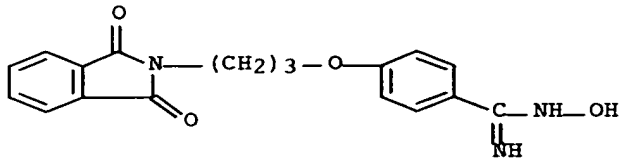
RN 145259-43-4 CAPLUS
CN Benzenecarboximidamide, N-hydroxy-4-[3-(4-morpholinyl)propoxy]- (9CI) (CA INDEX NAME)



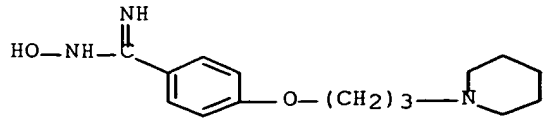
RN 145259-44-5 CAPLUS
CN Benzenecarboximidamide, N-hydroxy-4-[3-(2-oxo-1-pyrrolidinyl)propoxy]- (9CI) (CA INDEX NAME)



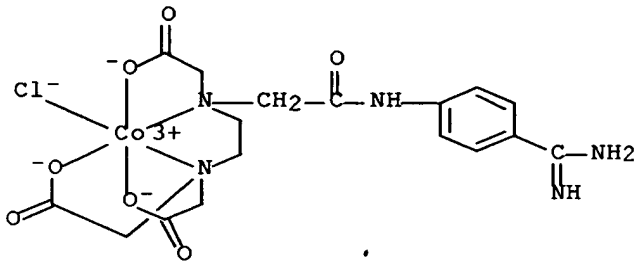
RN 145259-45-6 CAPLUS
CN Benzenecarboximidamide, 4-[3-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)propoxy]-N-hydroxy- (9CI) (CA INDEX NAME)



RN 145259-46-7 CAPLUS
CN Benzenecarboximidamide, N-hydroxy-4-[3-(1-piperidinyl)propoxy]- (9CI) (CA INDEX NAME)



L10 ANSWER 27 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1984:502857 CAPLUS Full-text
DN 101:102857
TI Synthesis of affinity-label chelates: a novel synthetic method of coupling ethylenediaminetetraacetic acid to amine functional groups
AU Haner, M.; Eidson, A. F.; Darnall, D. W.; Birnbaum, E. R.
CS Dep. Chem., New Mexico State Univ., Las Cruces, NM, 88003, USA
SO Archives of Biochemistry and Biophysics (1984), 231(2), 477-86
CODEN: ABBIA4; ISSN: 0003-9861
DT Journal
LA English
AB An affinity-label chelate for the enzyme trypsin was prepd. by a novel synthetic technique which takes advantage of the presence of a dangling carboxylate arm in [CoLCl]2- (H4L = EDTA). The dangling carboxylate group was coupled to the amino group of p-aminobenzamidine, an effective inhibitor of trypsin activity, via the carbodiimmide reaction to produce a trypsin affinity label at one end and a strong EDTA-like chelating agent at the other, coupled through an amide bond. The Co ion can be removed if desired by reduction with Fe2+ + ascorbate, and alternate metal ions inserted in its place. The reaction is general, and affinity labels which contain amino groups can be easily coupled via this procedure, allowing the introduction of a paramagnetic or fluorescent probe into a protein or nucleotide system. The same method was used to prepare a highly effective chelating gel which is capable of removing Ca and La ions from the binding protein parvalbumin.
IT 91514-62-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation, demetalation, acidity constant and hydrolysis of)
RN 91514-62-4 CAPLUS
CN Cobaltate(1-), [N-[2-[[4-(aminoiminomethyl)phenyl]amino]-2-oxoethyl]-N-[2-[bis(carboxymethyl)amino]ethyl]glycinato(3-)]chloro-, hydrogen, dihydrochloride, (OC-6-45)- (9CI) (CA INDEX NAME)



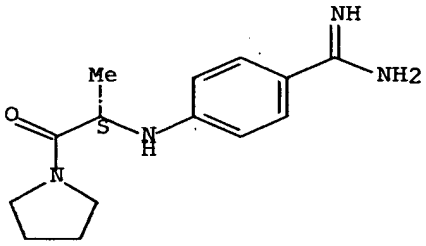
● 2 HCl

● H+

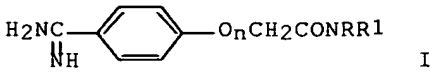
L10 ANSWER 28 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1982:177302 CAPLUS Full-text
DN 96:177302
TI Use of synthetic inhibitors in coagulation-physiological assays with peptide substrates

AU Stuerzebecher, Joerg; Klessen, C.
CS Inst. Pharmakol. Toxikol., Med. Akad. Erfurt, Erfurt, DDR-5060, Ger. Dem. Rep.
SO Folia Haematologica (Leipzig) (1982), 109(1), 157-60
CODEN: FOHEAW; ISSN: 0323-4347
DT Journal
LA German
AB The use of thrombin-specific (Nα-substituted 4-amidinophenylalaninepyrrolidide) and thrombin-kallikrein-specific (Nα-substituted 3-amidinophenylalaninepiperidide) inhibitors increased the specificity of spectrophotometric detns. of coagulation factor X and coagulation factor Xa, resp. In the presence of the inhibitor, results of coagulation factor Xa determination correlated with those of partial thromboplastin time in various clin. situations.
IT 81607-61-6D, derivs.
RL: ANST (Analytical study)
(in blood coagulation factor X spectrophotometric determination)
RN 81607-61-6 CAPLUS
CN Pyrrolidine, 1-[2-[[4-(aminoiminomethyl)phenyl]amino]-1-oxopropyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L10 ANSWER 29 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1981:597192 CAPLUS Full-text
DN 95:197192
TI Synthetic inhibitors of serine proteinases. Part 27. Inhibition of amides by 4-amidinophenylacetic acid and 4-amidinophenoxyacetic acid
AU Walsmann, P.; Eppner, B.; Markwardt, F.; Stuerzebecher, J.; Wagner, G.
CS Inst. Pharmakol. Toxikol., Med. Akad. Erfurt, Erfurt, Ger. Dem. Rep.
SO Pharmazie (1981), 36(6), 446-7
CODEN: PHARAT; ISSN: 0031-7144
DT Journal
LA German
GI



I

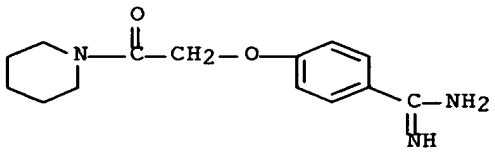
AB Structure-activity relations of the title compds. I (R = H, Me, or Ph; R1 = Me, Ph, etc.; or RR1 = pyrrolidinyl, morpholinyl, etc.; n = 0 or 1) with respect to plasmin [9001-90-5], thrombin [9002-04-4], and trypsin [9002-07-

7] inhibitory activities were studied. The antitrypsin and antithrombin activities of the primary aliphatic amides were generally similar, whereas the aromatic and the secondary amides had a higher affinity for thrombin with the exception of I (RR1 = morpholinyl; n = 0) [79294-74-9] and I (R and R1 = Me; n = 0) [79494-23-8]. With the primary amides, a comparison of the affinities of these competitive inhibitors for the resp. enzymes showed the following: Ki-trypsin < Ki-thrombin ≤ Ki-plasmin. With the secondary amides, the following comparison was observed: Ki-thrombin .simeq. Ki-trypsin < Ki-plasmin. Structure-activity relations for these results were discussed.

IT 79494-27-2
RL: BIOL (Biological study)
(plasmin and thrombin and trypsin inhibition by, structure in relation to)

RN 79494-27-2 CAPLUS

CN Piperidine, 1-[[4-(aminoiminomethyl)phenoxy]acetyl]- (9CI) (CA INDEX NAME)



L10 ANSWER 30 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1981:532444 CAPLUS Full-text

DN 95:132444

TI Synthesis of 4-amidinophenylacetic and 4-amidinophenoxyacetic amides

AU Wagner, G.; Eppner, Brigitte

CS Sekt. Biowiss., Karl-Marx-Univ. Leipzig, Leipzig, DDR-7010, Ger. Dem. Rep.

SO Pharmazie (1981), 36(5), 323-6
CODEN: PHARAT; ISSN: 0031-7144

DT Journal

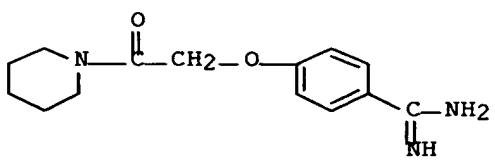
LA German

AB p-NCC6H4XCONRR1 (R = Me, Pr, Bu, Me2CH, α-naphthyl, Ph, R1 = H; R = R1 = Me, Ph; RR1N = morpholino, piperidino, pyrrolidino; X = CH2, OCH2) were treated with H2S and the p-H2NCSC6H4XCONRR1 methylated to give p-HN:C(SMe)C6H4XCONRR1.HI, which were treated with AcNH4 to give p-HN:C(NH2)C6H4XCONRR1.

IT 79149-06-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 79149-06-7 CAPLUS

CN Piperidine, 1-[[4-(aminoiminomethyl)phenoxy]acetyl]-, monohydriodide (9CI) (CA INDEX NAME)



● HI

L10 ANSWER 31 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1978:22426 CAPLUS Full-text
DN 88:22426
TI Pharmaceutical p-acyloximephenoxyacetic acids and their derivatives
IN Mieville, Andre
PA Laboratoires Fournier SA, Switz.
SO Ger. Offen., 20 pp. Division of Ger. Offen. 2,003,430.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2065956	A	19770714	DE 1970-2065956	19700127 <--
	DE 2065956	B2	19800604		
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PATENT FAMILY INFORMATION:

FAN 1971:3409

	PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
PI	DE 2003430	A	19700903	DE 1970-2003430		19700127
	DE 2003430	C3	19781207			
				CH 1969-1517	A	19690131
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NL 7900613	A	19790531	NL 1979-613		19790125
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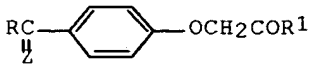
			CH 1969-13022	A	19690828

OS MARPAT 88:22426

GI



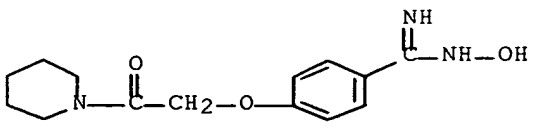
I, Z=NOH
II, Z=O

AB The title compds. I (R = H, Me, CH2Br; R1 = piperidino, morpholino, 4-methylpiperidino, 4-(chlorophenyl-1-piperazinyl, hexamethyleneimino, Et2NCH2CH2NH, OH, OEt) were prepared in 50-70% yields by refluxing the corresponding carbonyl compds. II with H2NOH.HCl and Na2CO3 in EtOH. II (R1 as above) were prepared from the esters II (R1 = OMe or OEt) or acid chlorides II (R1 = Cl). I (R = H, Me; R1 = NHOH) were prepared in 50-5% yields by refluxing NH2OH.HCl and Na in EtOH with 1 g-mol I (R = H,Me; R1 = OEt) or 0.5 g-mol II (R's the same). I are antitussives. Thus, I (R = Me, R1 = morpholino) had ED50 □LD50 of 0.040 (mouse), whereas that for codeine was 0.136.

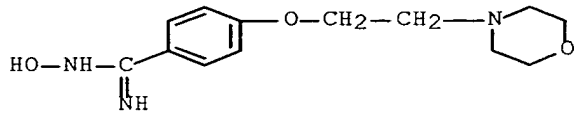
IT 29936-90-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 29936-90-1 CAPLUS

CN Piperidine, 1-[[4-[(hydroxyamino)iminomethyl]phenoxy]acetyl]- (9CI) (CA INDEX NAME)



L10 ANSWER 32 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1973:505148 CAPLUS Full-text
DN 79:105148
TI Syntheses of nitrogen heterocyclic compounds. III. Hypocholesterolemic 1,2,4-oxadiazole derivatives
AU Yurugi, Shojiro; Miyake, Akio; Fushimi, Tomiyoshi; Imamiya, Eiko; Matsumura, Haruki; Imai, Yoshio
CS Chem. Res. Lab., Takeda Chem. Ind., Ltd., Osaka, Japan
SO Chemical & Pharmaceutical Bulletin (1973), 21(8), 1641-50
CODEN: CPBTAL; ISSN: 0009-2363
DT Journal
LA English
OS CASREACT 79:105148
GI For diagram(s), see printed CA Issue.
AB 1,2,4-Oxadiazoles I, R = Ph, 3-pyridyl, p-Et2N(CH2)2OC6H4 etc.; R1 = NH(CH2)3OH, NHNHC(:NH)NH2, piperidino, OCH2Ph, etc. , hypocholesterolemics, were prepared by, e.g., cyclizing RC(:NOH)NH2 with CCl3COCl or (CCl3CO)2O to give I (R1 = CCl3) followed by reaction with H2N(CH2)3OH, H2NNHC(:NH)NH2, piperidine, PhCH2OH, etc.
IT 49773-15-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 49773-15-1 CAPLUS
CN Benzenecarboximidamide, N-hydroxy-4-[2-(4-morpholinyl)ethoxy]- (9CI) (CA INDEX NAME)



L10 ANSWER 33 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1971:3409 CAPLUS Full-text
DN 74:3409
TI Phenoxyalkylcarboxylic acid derivatives
IN Mieville, Andre
PA Orchimed S. A.
SO Ger. Offen., 29 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE	
PI DE 2003430	A	19700903	DE 1970-2003430		19700127	<--
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CH 515873	A	19711130	CH 1969-1517		19690131	<--
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BE 742484	A	19700514	BE 1969-742484		19691201	<--
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CA 960670	A1	19750107	CA 1970-73559		19700130	<--
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NL 7001424	A	19700804	NL 1970-1424		19700131	<--
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US 3914286	A	19751021	US 1970-8071		19700202	<--
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			US 1970-8071	A2	19700202	
JP 51009745	B	19760330	JP 1973-122125		19731030	<--
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SE 7504490	A	19750418	SE 1975-4490		19750418	<--
SE 414497	B	19800804				
SE 414497	C	19801120				
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NL 7900613	A	19790531	NL 1979-613		19790125	<--
			CH 1969-1517	A	19690131	
			CH 1969-13022	A	19690828	

PATENT FAMILY INFORMATION:

FAN 1978:22426

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2065956	A	19770714	DE 1970-2065956	19700127
	DE 2065956	B2	19800604		
	DE 2065956	C3	19810219		

			CH 1969-1517	A	19690131
			CH 1969-13022	A	19690828
CH 515873	A	19711130	CH 1969-1517		19690131
CH 543472	A	19731214	CH 1969-13022		19690828
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FR 2035821	A5	19701224	FR 1969-39954		19691120
FR 2035821	B1	19740809			
			CH 1969-1517	A	19690131
BE 742484	A	19700514	BE 1969-742484		19691201
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			CH 1969-13022	A	19690828
GB 1268321	A	19720329	GB 1970-1268321		19700122
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ES 376051	A1	19720516	ES 1970-376051		19700130
			CH 1969-1517	A	19690131
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BR 7016496	D0	19730412	BR 1970-216496		19700130
			CH 1969-1517	A	19690131
JP 50000023	B	19750106	JP 1970-8055		19700130
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CA 960670	A1	19750107	CA 1970-73559		19700130
			CH 1969-1517	A	19690131
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SE 385872	B	19760726	SE 1970-1206		19700130
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			CH 1969-13022	A	19690828
NL 7001424	A	19700804	NL 1970-1424		19700131
			CH 1969-1517	A	19690131
			CH 1969-13022	A	19690828
US 3914286	A	19751021	US 1970-8071		19700202
			CH 1969-1517	A	19690131
			CH 1969-13022	A	19690828
US 3907792	A	19750923	US 1973-326188		19730124
			CH 1969-1517	A	19690131
			CH 1969-13022	A	19690828
			US 1970-8071	A2	19700202
JP 51009745	B	19760330	JP 1973-122125		19731030
			CH 1969-1517	A	19690131
			CH 1969-13022	A	19690828
SE 7504490	A	19750418	SE 1975-4490		19750418
SE 414497	B	19800804			
SE 414497	C	19801120			
			CH 1969-1517	A	19690131
			CH 1969-13022	A	19690828
US 4058552	A	19771115	US 1975-600127		19750729
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			US 1970-8071	A2	19700202
			US 1973-326188	A2	19730124
US 4233298	A	19801111	US 1977-829964		19770901
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			CH 1969-13022	A	19690828
			US 1970-8071	A2	19700202
			US 1973-326188	A2	19730124
			US 1975-600127	A3	19750729
NL 7900613	A	19790531	NL 1979-613		19790125
			CH 1969-1517	A	19690131
			CH 1969-13022	A	19690828

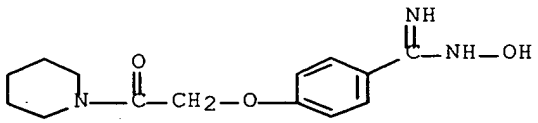
GI For diagram(s), see printed CA Issue.

AB The title, neurotropic and antiinflammatory compds. (I) were prepd. from a phenol and an ω-halo acid or ester. Thus, 4-PrCOC6H4OH and ClCMe2CO2H was refluxed 8 hr in aqueous NaOH to give 65% I (X = O, R = Pr, R1 = R2 = Me, R3 = H, n = 0). Approx. 25 analogs of I were prepared including I (R = R1 = R2 = H, X = :NOH, R3 = morpholino, n = 0) and I (R = R1 = R2 = H, X = :NOH, R3 = NHOH, n = 0).

IT 29936-90-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 29936-90-1 CAPLUS

CN Piperidine, 1-[[4-[(hydroxyamino)iminomethyl]phenoxy]acetyl]- (9CI) (CA INDEX NAME)



L10 ANSWER 34 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1961:143947 CAPLUS Full-text

DN 55:143947

OREF 55:27217a-f

TI Amidines

IN Sharp, Thomas Marvel; Solomon, William

PA Wellcome Foundation Ltd.

DT Patent

LA Unavailable

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 868552		19610517	GB	<--

AB The title compds. and their acid addn. salts, active against amoebiasis in exptl. animals, are prepared by standard reactions. Thus, 1 mole Na salt of p-HOC6- H4CN in 2250 ml. alc. and 350 ml. H2O is treated at reflux 6 hrs. with 1.99 equivs. 1,10-dibromodecane (I). After removal of most of the alc., water is added and the product extracted with 3 l. Et2O. The extract is dried (Na2SO4), the Et2O evaporated, the residue distilled to vapor temperature 200°/2.5 mm. to remove unreacted I, and the crude p-(10-bromodecyloxy)benzonitrile (201 g.) in 500 cc. alc. treated with 100 cc. Et2NH at reflux 6 hrs. The resultant p-(10- diethylaminodecyloxy)benzonitrile (II) is separated from nonbasic impurities by conventional acid-base ether extraction techniques and recrystd. as the HCl salt from acetone. Dry II.HCl (95 g.) is dissolved in 100 cc. absolute EtOH, the solution saturated with HCl at 20°, after removal of volatiles the residue dissolved in 100 cc. EtOH at 5°, mixed with 400 cc absolute EtOH saturated with dry NH3 at 20°, cooled to 5°, the mixture resatd. with dry NH3, kept at 20° 65 hrs., nearly all volatile material removed at 20°, the residue treated with 2.5 l. H2O containing excess NaOH, extracted with 1 l. Et2O, the extract dried, and the ether removed to give p-(10-diethylaminodecyloxy)benzamidine; monosulfate m. 224-9° (EtOH-H2O). Similarly are prepared substituted benzamidines (substituent and m.p. of sulfate given): p-Et2N(CH2)6O, 249°; p-Et2N(CH2)7O, 226-31°; p-Et2N(CH2)8O, 221-7°; p-Et2N(CH2)9O, 231-3°; p-Et2N(CH2)12O, 224-7°; p-EtNH(CH2)7O, 271°; p-PrNH- (CH2)7O, 279-87°; p-Pr2N(CH2)7O, .simeq.,200°; p-BuNH(CH2)7O, 280-7°; p-iso-BuNH(CH2)7O, 280-5°; p-(7-piperidinoheptyloxy), 270-3°; p-(7-

morpholinoheptyloxy), 229-33°; p-Me2N(CH2)8O, 215-20°; p-CH2:CHCH2NH- (CH2)8O, 218-23°; p-Bu2N(CH2)8O, 160-5°; p-(8-piperazinoctyloxy), 241-53°; p-[8-(N'-benzhydrylpiperazino)octyloxy], indefinite; 3-Me, 4-Et2N(CH2)10O, 215-19°; 3-Me, 4-Et2N(CH2)9O, 223-7°; 3-Cl, 4-Et2N(CH2)8,O, 199202°; 3-Cl, 4-Et2N(CH2)9O, 210-13°; 3-Br, 4-Et2N- (CH2)10O, 179-85°; 3-MeO, 4-Et2N(CH2)7O, 262-70°; 3-MeO, 4-Et2N(CH2)8O, 219-22°; 3-MeO, 4-Et2N(CH2)9O, 223-8°; 3-MeO, 4-Et2N(CH2)10O, 227-33°; p-Me2N(CH2)10O, 186-92°; p-EtNH(CH2)10O, 194-200°; p-Pr2N(CH2)10O, 181-6°; p-(10-pyrrolidinodecyloxy), 215-28°; p-(10-morpholinodecyloxy), 160-78°. Also prepared were 6(7-diethylaminoheptyloxy)-2- naphthamidine sulfate, m.258-62°, 6-(10-diethylaminodecyloxy)-2-naphthamidine sulfate, m. 232-4°, and 3,5-dimethyl-4-(10-diethylaminodecyloxy)- benzamidine di-HCl salt m. 160-5° (acetone).

IT 110273-67-1P, Benzamidine, p-(7-morpholinoheptyloxy)-, sulfate
113011-71-5P, Benzamidine, p-(10-morpholinodecyloxy)-, sulfate
113011-73-7P, Benzamidine, p-[10-(1-pyrrolidinyl)decyloxy]-, sulfate 115145-37-4P, Benzamidine, p-[8-(1-piperazinyl)octyloxy]-, sulfate 115163-83-2P, Benzamidine, p-(7-piperidinoheptyloxy)-, sulfate 122360-23-0P, Benzamidine, p-[8-(4-diphenylmethyl-1-piperazinyl)octyloxy]-, sulfate
RL: PREP (Preparation)
(preparation of)

RN 110273-67-1 CAPLUS
CN Benzamidine, p-(7-morpholinoheptyloxy)-, sulfate (6CI) (CA INDEX NAME)

CM 1

CRN 110273-66-0
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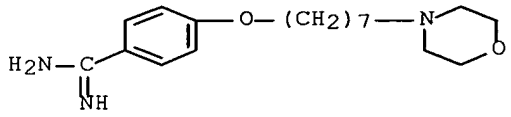

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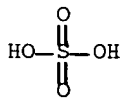

RN 113011-71-5 CAPLUS
CN Benzamidine, p-(10-morpholinodecyloxy)-, sulfate (6CI) (CA INDEX NAME)

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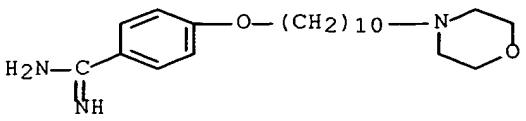

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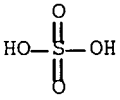

RN 113011-73-7 CAPLUS
CN Benzamidine, p-[10-(1-pyrrolidinyl)decyloxy]-, sulfate (6CI) (CA INDEX NAME)

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CRN 113011-72-6
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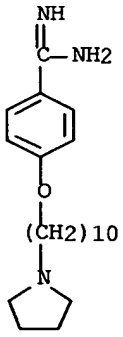

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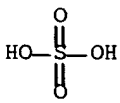

RN 115145-37-4 CAPLUS
CN Benzamidine, p-[8-(1-piperazinyl)octyloxy]-, sulfate (6CI) (CA INDEX NAME)

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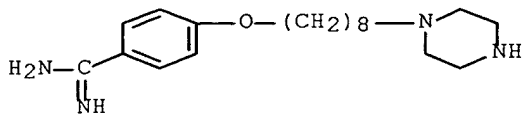

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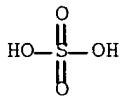

RN 115163-83-2 CAPLUS
CN Benzamidine, p-(7-piperidinoheptyloxy)-, sulfate (6CI) (CA INDEX NAME)

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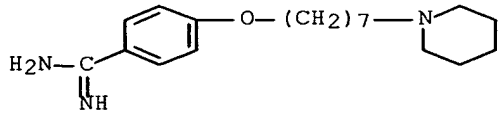
CRN 115163-82-1
CMF C19 H31 N3 O



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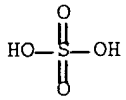

RN 122360-23-0 CAPLUS
CN Benzamidine, p-[8-(4-diphenylmethyl-1-piperazinyl)octyloxy]-, sulfate (6CI) (CA INDEX NAME)

CM 1

CRN 122360-22-9
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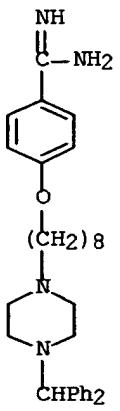

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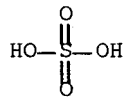

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L11 15 L9 NOT L10

=> dis l11 1-15 bib abs

L11 ANSWER 1 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:1096937 CAPLUS Full-text
DN 145:410627
TI Pharmaceutical composition and method using antifungal agent in

combination
IN Nomura, Nobuhiko; Nishikawa, Hiroshi; Fujino, Noritomo
PA Toyama Chemical Co., Ltd., Japan
SO PCT Int. Appl., 39pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2006109642	A1	20061019	WO 2006-JP307204	20060405

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRAI JP 2005-110784 A 20050407
OS MARPAT 145:410627
AB A pharmaceutical compn. contg. one or more antifungal agents selected from an arylamidine derivative, such as 4,4'-[1,4-piperidinediylbis(3,1-propanediyloxy)]bis-benzenecarboximidamide (I), an azole antifungal agent, a polyene antifungal agent, a candin antifungal agent, and a fluoropyrimidine antifungal agent, has a strong antifungal activity and is useful for the treatment of fungal infection. A method for using them in combination is useful as an excellent therapeutic method for fungal infection. Also disclosed is a pharmaceutical composition containing the arylamidine derivative and an immunosuppressing agent, which has a strong antifungal activity and is useful for the treatment of fungal infection and a skin disease, such as atopic dermatitis. For example, a combination of I and fluconazole showed synergistic inhibition against Cryptococcus neoformans in vitro.
RE.CNT 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 2 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:791023 CAPLUS Full-text
DN 145:230423
TI Preparation of benzamidine compounds as FXa inhibitors
IN Takayanagi, Masaru; Takehana, Shunji; Otani, Kayo; Saitou, Yuki
PA Ajinomoto Co., Inc., Japan
SO PCT Int. Appl., 87pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2006083003	A1	20060810	WO 2006-JP302202	20060202

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE,

SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC,
VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
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KG, KZ, MD, RU, TJ, TM
PRAI JP 2005-26949 A 20050202
OS MARPAT 145:230423
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

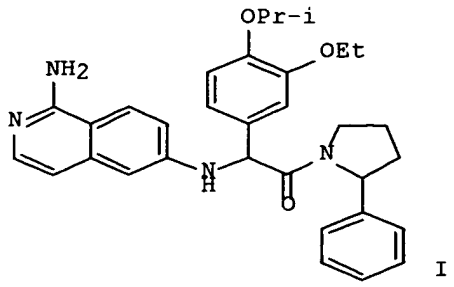
AB Title compds. I [ring A, B = aryl, heteroaryl, cycloalkyl, etc.; T = H, hydroxyl, alkoxy, etc.; U = H, (un)substituted alkyl, carboxyl, etc.; V = H, halo, hydroxyl, etc.; W = heteroaryl, Q1, etc.; R = alkyl, m = 1-3; X = optionally substituted nitrogen atom by alkyl, O, S, methylene; n = 1-3] and their pharmaceutically acceptable salts were prepared For example, reaction of 2-bromoethyl 4-[imino(pyrrolidin-1-yl)methyl]benzoate trifluoroacetic acid salt with 4-(benzyloxy)-3-hydroxybenzamidine trifluoroacetic acid salt, e.g., prepared from 3,4-dihydroxybenzonitrile in 2 steps, followed by Pd/C catalyzed debenzylation under H2 atmosphere afforded compound II in 26% yield. In blood-coagulation factor X (FXa) inhibition assays, the pIC50 value of compound II was 8.5. Compds. I are claimed useful as anticoagulants.
RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 3 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:700008 CAPLUS Full-text
DN 145:167552
TI Preparation of phenylglycinamide derivatives useful as anticoagulants
IN Zhang, Xiaojun; Priestley, Eldon Scott; Nirschl, Alexandra A.; Zou, Yan
PA Bristol-Myers Squibb Company, USA
SO PCT Int. Appl., 301 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2006076246	A2	20060720	WO 2006-US571	20060109
WO 2006076246	A3	20060928		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
US 2006166997	A1	20060727	US 2006-328479	20060109
PRAI US 2005-642751P	P	20050110		

OS MARPAT 145:167552

GI



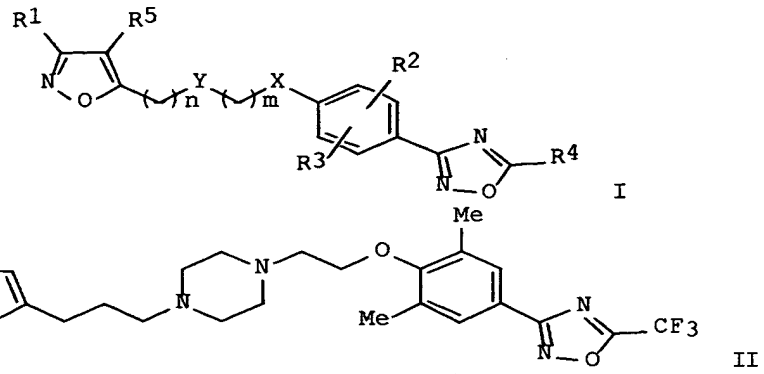
I

AB The invention relates to phenylglycinamide derivs. or analogs Z-W-CH(Y)CONRCRR1R2 [NRCR is a 4-8-membered heterocycle which may have addnl. heteroatoms N, O or S; Y is (un)substituted Ph or pyridyl; W is NH or O; Z is (un)substituted mono- or diazanaphthyl, 4-(aminomethyl)- or 4-(aminoiminomethyl)phenyl or 2- or 3-(aminomethyl)- or 2- or 3-(aminoiminomethyl)-2- or -3-pyridyl; R1 is H, CN, CO2H or ester, carbamoyl, tetrazolyl, or (un)substituted alkyl; R2 is (un)substituted Ph, naphthyl, or heterocyclyl] that inhibit serine proteases, especially factor VIIa, and which are useful for treating thromboembolic disorders. Thus, compound I.CF3CO2H was prepared as a mixture of diastereoisomers by condensation of 3-ethoxy-4-isopropoxyphenylboronic acid and 2-[[1-bis(1,1-dimethylethoxycarbonyl)amino]isoquinolin-6-ylamino]-2-(3-ethoxy-4-isopropoxyphenyl)acetic acid (prepns. given) with glyoxylic acid, followed by coupling with 2-phenylpyrrolidine and deprotection.

L11 ANSWER 4 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:633352 CAPLUS Full-text
DN 145:211044
TI Preparation of 1,2,4-oxadiazole derivatives as antiviral agents for treatment of picornavirus infection
IN Zhu, Chongquan; Wu, Yanjun; Zhang, Yihua; Cao, Qingxian
PA Jiangsu Wuzhong Suyao Medicine Development Co., Ltd., Peop. Rep. China
SO Faming Zhuanli Shenqing Gongkai Shuomingshu, 25 pp.
CODEN: CNXXEV
DT Patent
LA Chinese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	CN 1687060	A	20051026	CN 2005-10039135	20050428
PRAI	CN 2005-10039135		20050428		

GI



I

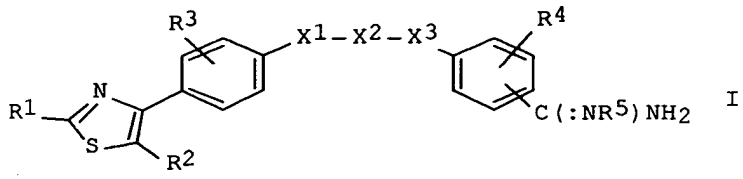
II

AB The title 1,2,4-oxadiazole derivs. I [wherein R1 = alkyl, alkoxy, hydroxy, cycloalkyl, carboxyl, etc.; R2 and R3 = independently hydrogen, alkyl, alkoxy, halogen, cyano, trifluoromethyl, or nitro; R4 = alkyl, alkoxy, hydroxy, cycloalkyl, etc.; R5 = hydrogen, halogen, or alkyl; X = O or S; Y = O, S, or NR6; R6 = alkyl, acyl, sulfonyl, pyridinyl, piperidinyl, etc.; n = 0-5; and m = 0-5] or pharmaceutically acceptable salts thereof are prepared as antiviral agents for treatment of picornavirus infection. For example, the compound II•2HCl was prepared in a multi-step synthesis in moderate yield. Some of the title compds. showed good antiviral activities.

L11 ANSWER 5 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:117229 CAPLUS Full-text
DN 144:212766
TI Preparation of thiazolyl-containing benzamidines for prevention and treatment of osteoporosis, bone fractures and allergic inflammatory diseases
IN Lee, Jin Soo; Ahn, Seok Hoon; Jin, Young Goo; Jin, Sang Mi; Park, Whui-Jung; Ku, Sae Kwang; Hwang, Yun Ha; Kim, Pan Soo; Yi, Sun Shin; Ryu, Jei Man
PA Dong Wha Pharmaceutical. Ind. Co., Ltd., S. Korea
SO PCT Int. Appl., 186 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2006014087	A1	20060209	WO 2005-KR2545	20050804
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
KR 2006017929	A	20060228	KR 2004-61481	20040804
KR 2006049301	A	20060518	KR 2005-71505	20050804

PRAI KR 2004-61481 A 20040804
OS MARPAT 144:212766
GI



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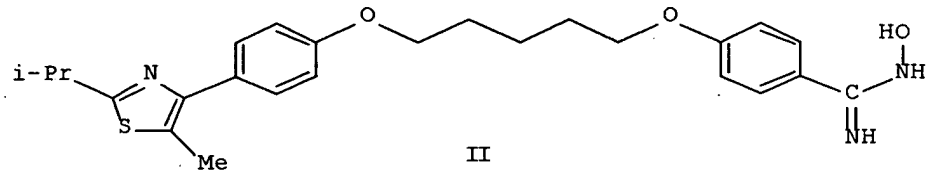


II

AB The present invention relates to thiazolyl-contg. benzamidines (shown as I; variables defined below; e.g. N-hydroxy-4-[5-[4-(5-methyl-2-isopropyl- 1,3-thiazol-4-yl)phenoxy]pentoxy]benzamidine (shown as II)), a process for the preparation thereof and pharmaceutical composition comprising the same. The novel benzamidine derivs. of the present invention are useful for the prevention and treatment of osteoporosis, bone fractures and allergic inflammatory diseases. For I: R1 is C1-C6 alkyl, C3-C6 cycloalkyl, Ph, benzyl, pyridinyl, guanidino, NR6R7, CH2NR6R7, N(CH2CH2)2Y, A-N(CH2)n (A is C1-C6 alkyl and n = 2-6), C1-C6 alkyl which is substituted by pyridine or N(CH2CH2)2Y wherein N(CH2CH2)2Y is (un)substituted by hydroxy, pyridinyl or N(CH2CH2)2Y which is substituted by C1-C6alkyl; R2 is H, C1-C6 alkyl, C3-C6 cycloalkyl, Ph, benzyl, C1-C6 alkyl which is substituted by hydroxy, C1-C6 alkoxy, halogen or C3-C6 cycloalkyl, C2-C6alkenyl. R3 and R4, each independently, = H, halogen, hydroxy, C1-C6 alkyl which is (un)substituted by halogen, C3-C6 cycloalkylamino, C1-C6 alkoxy, C1-C6 alkanoyloxy, C2-C6 alkenyloxy, phenyl-C1-C6 alkoxy, phenoxy, C2-C6 alkenoyloxy or phenyl-C1-C6 alkanoyloxy, C3-C6 cycloalkyloxy which is substituted by carboxy, esterified carboxy or amidated carboxy, aminooxy; R5 is H or hydroxy; Y is O, S, NR6, or CH2; X1 and X3, each independently, = O, S, NH, N-C1-C6 alkyl, N-C3-C6 cycloalkyl, N-benzyl, N-phenyl; X2 is C3-C7 alkylene, C1-C3 alkylene-alkenylene-C1-C3-alkylene, C1-C3 alkylene-O-C1-C3 alkylene, C1-C3 alkylene-S-C1-C3 alkylene, C1-C3 alkylene-NH-C1-C3 alkylene, C1-C3 alkylenephenylene-C1-C3 alkylene, C1-C3 alkylenepyridylene-C1-C3 alkylene, C1-C3 alkylenenaphthylene-C1-C3 alkylene, C3-C7 alkylene which is substituted by C1-C3 alkyl and hydroxy, C3-C7 alkylenecarbonyl, C3-C7 alkylene which is interrupted by piperazine; addnl. details including provisos are given in the claims. Percent inhibitory activity of >200 examples of I on osteoclastogenesis, bone-forming activity of 10 examples of I, inhibition of decrease of bone volume induced by ovariectomy in mice by 20 examples of I, decrease in the callus volume and increase of the callus osteoid volume of test substance-dosing groups compared to that of the vehicle control in a rib fracture-induced rat model by 5 examples of I, decrease of absolute and relative lung wts. compared to that of the vehicle control in a mouse model of asthma induced with ovalbumin by 7 examples of I, decrease of total leukocytes in peripheral blood and BALF compared to that of the vehicle control in an asthmatic model, cytotoxicity towards MC3T3-E1 and ST2 cells by 44 examples of I are tabulated. Methods of preparation are claimed and prepns. and/or characterization data for >200 examples of I are included. For example, II

was prepared (52 %) by addition of hydroxylamine hydrochloride to 4-[5-[4-(5-methyl-2-isopropyl-1,3-thiazol-4- yl)phenoxy]pentoxy]benzonitrile, which was prepared in 6 steps (90.3, 98, 70, 80, 95, 89 %) starting from 4-hydroxybenzonitrile and 1-bromo-5-chloropentane and involving intermediates 4-(5- chloropentoxy)benzonitrile, 1-(4-methoxyphenyl)-1-propanone, 1-(4-hydroxyphenyl)propan-1-one, 4-[5-[(4-propionylphenyl)oxy]pentoxy]benz onitrile, and 4-[5-[4-(2-bromopropionyl)phenoxy]pentoxy]benzonitrile.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

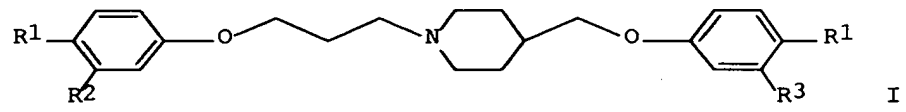
L11 ANSWER 6 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:103275 CAPLUS Full-text
DN 144:192117
TI Preparation of arylamidine derivatives as antifungal agents
IN Hayashi, Kazuya; Nomura, Nobuhiko
PA Toyama Chemical Co., Ltd., Japan
SO PCT Int. Appl., 64 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2006011499	A1	20060202	WO 2005-JP13702	20050727

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRAI JP 2004-219715 A 20040728
OS MARPAT 144:192117
GI



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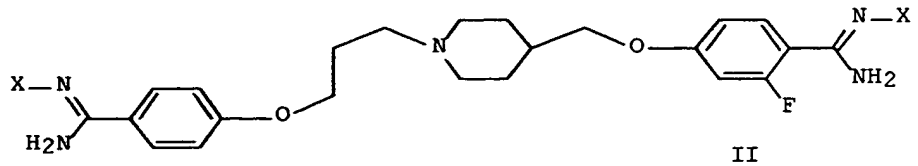


II

AB Title compds. I [R1 = (un)protected amidino; R2, R3 = H, halo] were prepd. For example, acetylation of compound II [X = OH], e.g., prepared from tert-Bu 4-bromomethyl-1-piperidinecarboxylate in 4 steps, followed by in-situ treatment

with Pd/C under H2 afforded compound II [X =H]. In antifungal activity test, the IC50 value of compound II hydrochloride [X = H] was 0.002 μg/mL. Compds. I are claimed useful as antifungal agents.

RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

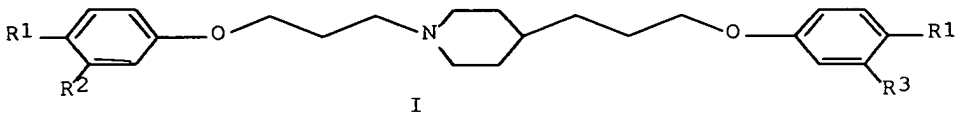
L11 ANSWER 7 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:31454 CAPLUS Full-text
DN 144:128857
TI Preparation of arylamidine derivatives as antifungal agents
IN Hayashi, Kazuya; Kunitani, Kazuto; Uehara, Sayuri; Morita, Teiichi
PA Toyama Chemical Co., Ltd., Japan
SO PCT Int. Appl., 85 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2006003881	A1	20060112	WO 2005-JP11809	20050628

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRAI JP 2004-193386 A 20040630
OS MARPAT 144:128857
GI



I

AB Title compds. I [R1 = (un)protected amidino; R2, R3 = H, halo] were prepd. For example, reaction of compound I [R1 = CN; R2, R3 = H], e.g., prepared from tert-Bu 4-(3-hydroxypropyl)-1-piperidinecarboxylate in 4 steps, with ethanol in the presence of HCl followed by treatment with ammonium acetate afforded compound I [R1 = amino(imino)methyl; R2, R3 = H]. In antifugal activity test against Candida albicans TIMM 1623, the IC50 value of compound I hydrochloride [R1 = amino(imino)methyl; R2, R3 = H] was ≤0.0039 μg/mL. Compds. I are claimed useful as antifungal agents. Formulations are given.

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 8 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2005:1223697 CAPLUS Full-text
DN 143:459938

TI Preparation of C-25 carbamate rifamycin derivatives with activity against drug-resistant microbes
IN Combrink, Keith; Harran, Susan; Denton, Daniel; Ma, Zhenkun
PA Cumbre Inc., USA
SO U.S. Pat. Appl. Publ., 90 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

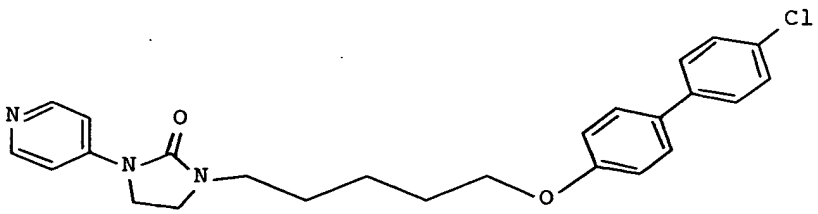
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2005256096	A1	20051117	US 2005-114384	20050426
PRAI US 2004-565497P	P	20040426		

OS MARPAT 143:459938
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Rifamycin C-25 carbamate derivs. of formula I [X = H, (substituted) NH2, morpholino, etc.; Y = O; XY = heterocyclic ring; Z = O, (substituted) NOH; R2, R3 = H, alkyl, aryl, heteroaryl, etc.; R2R3 = (substituted) heterocyclic ring, etc.], or its hydroquinone form, are prepared which have antimicrobial activity, including activity against drug-resistant microorganisms. More specifically, compds. of the current invention relate to C-25 carbamate derivs. of rifamycin having another functional group or pharmacophore covalently attached to this position through a carbamate linkage. The resulting compds. exert their antimicrobial activity through a dual-function mechanism and therefore exhibit reduced frequency of resistance. Thus, II was prepared The prepared compds. demonstrated activity against rifampin-resistant organisms.

L11 ANSWER 9 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2005:331777 CAPLUS Full-text
DN 143:43827
TI Design, Synthesis, and Antipicornavirus Activity of 1-[5-(4-Arylphenoxy)alkyl]-3-pyridin-4-ylimidazolidin-2-one Derivatives
AU Chang, Chih-Shiang; Lin, Ying-Ting; Shih, Shin-Ru; Lee, Chung-Chi; Lee, Yen-Chun; Tai, Chia-Liang; Tseng, Sung-Nien; Chern, Jyh-Haur
CS Division of Biotechnology and Pharmaceutical Research, National Health Research Institutes, Zhunan, 350, Taiwan
SO Journal of Medicinal Chemistry (2005), 48(10), 3522-3535
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
OS CASREACT 143:43827
GI



I

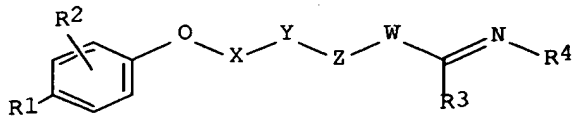
AB A series of pyridylimidazolidinone derivs. was synthesized and tested in vitro against enterovirus 71 (EV71). On the basis of DBPR103 (I), introduction of a Me group at the 2- or 3-position of the linker between the imidazolidinone and the biphenyl resulted in markedly improved antiviral activity toward EV71 with IC50 values of 5.0 nM and 9.3 nM, resp. Increasing the branched chain to Pr resulted in a progressive decrease in activity, while inserting different heteroatoms entirely rendered the compound only weakly active. The introduction of a bulky group (cyclohexyl, Ph, or benzyl) led to loss of activity against EV71. The 4-chlorophenyl moiety was replaced with bioisosteric groups such as oxadiazole or tetrazole dramatically improving anti-EV71 activity and selectivity indexes. Some of these compds. exhibited a strong activity against lethal EV71, and no apparent cellular toxicity was observed Three of the more potent imidazolidinone compds. were subjected to a large group of picornaviruses to determine their spectrum of antiviral activity.

RE.CNT 96 THERE ARE 96 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

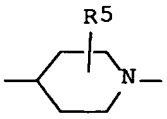
L11 ANSWER 10 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2005:322835 CAPLUS Full-text
DN 142:373691
TI Preparation of benzamidine derivatives and antimycotic agents containing them
IN Hayashi, Kazuya; Kunitani, Kazuto; Uehara, Sayuri; Mitsuyama, Junichi
PA Toyama Chemical Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 19 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 2005097299	A	20050414	JP 2004-257090	20040903
PRAI	JP 2003-313360	A	20030905		

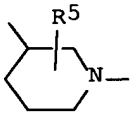
OS MARPAT 142:373691
GI



I



II



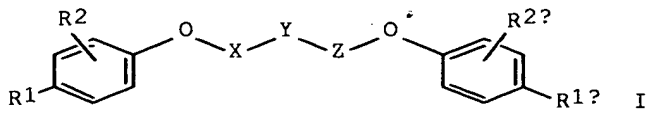
III

AB The derivs. I [R1 = (un)protected or (un)substituted amidino; R2 = H, halo, (un)substituted alkyl, cycloalkyl, alkoxy; R3 = H, (un)substituted alkyl, (un)protected or (un)substituted amino; R4 = H, OH, imino-protecting group; X = (un)substituted lower alkylene; Y = (un)substituted divalent cyclic amine residue; Z = (un)substituted alkylene; W = imino, piperidinediyl II, III; R5 = H, (un)substituted alkyl, (un)protected or (un)substituted amino, OH] or their salts are prepared Also claimed are antimycotic agents containing I or their salts. Thus, 4-[3-[4-[6-[[amino(imino)methyl]amino]hexyl]-1-piperazinyl]propoxy]benzamidine difumarate, prepared from 4-[3-(1-piperazinyl)propoxy]benzonitrile and Br(CH2)6NHCO2CMe3 with 6 steps, inhibited growth of Candida albicans at IC50 ≤0.0039 μg/mL. Effect of the compound on systemic infection of mice with C. albicans was also shown.

L11 ANSWER 11 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2005:322834 CAPLUS Full-text
DN 142:373545
TI Preparation of arylamidine derivatives or their salts and antimycotic agents containing them
IN Hayashi, Kazuya; Todo, Keisuke; Mitsuyama, Junichi
PA Toyama Chemical Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 35 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 2005097298	A	20050414	JP 2004-256894	20040903
PRAI	JP 2003-313353	A	20030905		

OS MARPAT 142:373545
GI



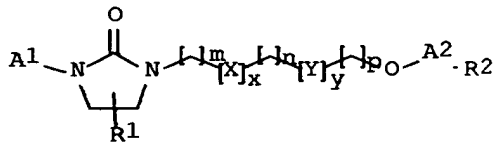
I

AB The derivs I [R1, R1a = (un)protected or (un)substituted amidino; R2, R2a = H, halo, (un)substituted alkyl, cycloalkyl, alkoxy; X, Z = (un)substituted C2-6 alkylene; Y = divalent N-containing heterocyclic group residue, aniline residue] or their salts are prepared Also claimed are antimycotic agents containing I or their salts. Thus, (1S,4S)-(+)-2,5-diazabicyclo[2.2.1]heptane, prepared from its HBr salt, was reacted with 4-NCC6H4O(CH2)3Cl in DMSO at 85-90° for 8 h and the resulting 4-[3-[(1S,4S)-5-[3-(4-cyanophenoxy)propyl]-2,5-diazabicyclo[2.2.1]hept-2-yl]propoxy]benzonitrile was treated with HCl then AcONH4 to give 4-[3-[(1S,4S)-5-[3-[4-[amino(imino)methyl]phenoxy]propyl]-2,5-diazabicyclo[2.2.1]hept-2-yl]propoxy]benzamidine hydrochloride. This inhibited growth of Candida albicans at IC50 ≤0.0039 μg/mL. Therapeutic effect of the compound to systemic infection of mice with C. albicans was also shown.

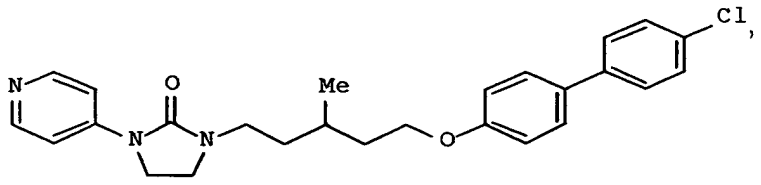
L11 ANSWER 12 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:490448 CAPLUS Full-text
DN 141:54337
TI Preparation of imidazolidinones for treating enterovirus infection
IN Chern, Jyh-Haur; Shih, Shin-Ru; Chen, Chiung-Tong; Chang, Chih-Shiang; Lee, Chung-Chi; Lee, Yen-Chun; Tai, Chia-Liang
PA National Health Research Institutes, Taiwan
SO U.S. Pat. Appl. Publ., 33 pp., Cont.-in-part of U.S. Ser. No. 191,941.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2004116476	A1	20040617	US 2003-717786	20031119
	US 7129359	B2	20061031		
	US 2003087936	A1	20030508	US 2002-191941	20020709
	US 6706739	B2	20040316		
PRAI	US 2002-191941	A2	20020709		
	US 2001-313878P	P	20010821		

OS MARPAT 141:54337
GI



I



II

AB The title compds. [I; R1, R2 = H, halo, alkyl, aryl, etc.; A1, A2 = aryl, aralkyl, heteroaryl; X, Y = S, SO, substituted CH2, etc.; m, n, p = 0-5; x, y = 0-1 (at least one of x and y = 1); with provisos], useful in treating enterovirus infection, were prepared Thus, reacting 1-(4-pyridyl)-2-imidazolidinone with 4-(5-bromo-3-methylpentyloxy)-4'- chlorobiphenyl in the presence of NaH in DMF afforded 71% II which showed antiviral activity against enterovirus, in particular, EV71, coxsackieviruses A9, and A24. The pharmaceutical composition comprising the compound I is claimed.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 13 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:374691 CAPLUS Full-text
DN 141:221518
TI Trypanocidal activity of melamine-based nitroheterocycles
AU Stewart, Mhairi L.; Jimenez Bueno, Gorka; Baliani, Alessandro; Klenke, Burkhard; Brun, Reto; Brock, Janice M.; Gilbert, Ian H.; Barrett, Michael P.
CS Division of Infection and Immunity, Institute of Biomedical and Life Sciences, University of Glasgow, Glasgow, G12 8QQ, UK
SO Antimicrobial Agents and Chemotherapy (2004), 48(5), 1733-1738
CODEN: AMACCQ; ISSN: 0066-4804
PB American Society for Microbiology
DT Journal
LA English
OS CASREACT 141:221518
AB A series of nitroheterocyclic compds. were designed with linkages to melamine or benzamidine groups that are known substrates of the P2 aminopurine and other transporters in African trypanosomes of the brucei group. Several compds. showed in vitro trypanotoxicity with 50% inhibitory concns. in the submicromolar range. Although most compds. interacted with the P2 transporter, as judged by their ability to inhibit adenosine transport via this carrier, uptake through this route was not necessary for activity since TbAT1-null mutant parasites, deficient in this transporter, retained sensitivity to these drugs. One compound, a melamine-linked nitrofuran, also showed pronounced activity against parasites in mice. Studies into the mode of action of this compound indicated that neither reductive, nor oxidative, stress were related to its trypanocidal activity ruling out a genotoxic effect in T. brucei, distinguishing it from some other, mammalian cell toxic, trypanocidal nitroheterocycles.

RE.CNT 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 14 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:300984 CAPLUS Full-text
DN 141:23496
TI Parallel Solution-Phase Synthesis of Conformationally Restricted Congeners of Pentamidine and Evaluation of Their Antiplasmodial Activities
AU Mayence, Annie; Vanden Eynde, Jean Jacques; Krogstad, Fran M.; Krogstad, Donald J.; Cushion, Melanie T.; Huang, Tien L.
CS College of Pharmacy, Division of Basic Pharmaceutical Sciences, Xavier University of Louisiana, New Orleans, LA, 70125, USA
SO Journal of Medicinal Chemistry (2004), 47(10), 2700-2705
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
OS CASREACT 141:23496
AB Conformationally restricted bisbenzamidines and related congeners have been synthesized and evaluated for activity against two Plasmodium falciparum

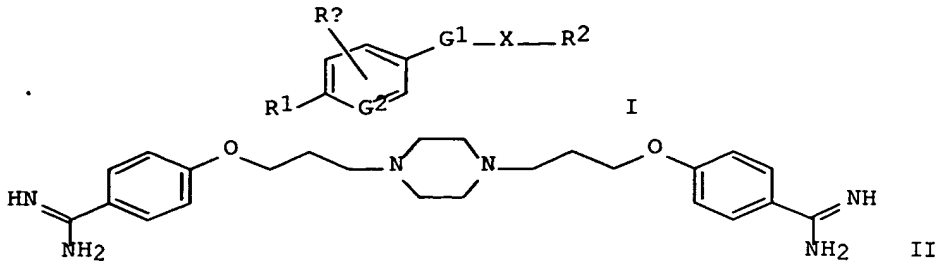
strains. The most active compds., bisbenzamidines linked by a 1,4-piperazinediyl core, had IC50 values between 3 and 18 nM against both chloroquine-susceptible and -resistant parasites and IC50 values for cytotoxicity greater than 5 μM, using the A549 human lung epithelial cell line. DNA binding affinity, as estimated by ΔTm, did not correlate with either antiparasite effects or cytotoxicity. Each of the active bisbenzamidines interfered with the formation of hemozoin in cell-free systems.

RE.CNT 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 15 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2003:719439 CAPLUS Full-text
DN 139:245783
TI Preparation of arylamidine derivatives as fungicides
IN Hayashi, Kazuya; Ojima, Katsuji; Hori, Kozo; Okujo, Hiroyuki; Mitsuyama, Junichi; Kunitani, Kazuto; Tohdo, Keisuke
PA Toyama Chemical Co., Ltd., Japan
SO PCT Int. Appl., 173 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003074476	A1	20030912	WO 2003-JP2506	20030304
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2477212	A1	20030912	CA 2003-2477212	20030304
	AU 2003211692	A1	20030916	AU 2003-211692	20030304
	EP 1481966	A1	20041201	EP 2003-743600	20030304
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	BR 2003008207	A	20041221	BR 2003-8207	20030304
	US 2005113424	A1	20050526	US 2003-506422	20030304
	CN 1642906	A	20050720	CN 2003-807452	20030304
	NZ 534962	A	20050729	NZ 2003-534962	20030304
	IN 2004KN01208	A	20060512	IN 2004-KN1208	20040819
	ZA 2004006717	A	20050824	ZA 2004-6717	20040824
	NO 2004003914	A	20040920	NO 2004-3914	20040920
PRAI	JP 2002-60618	A	20020306		
	WO 2003-JP2506	W	20030304		

OS MARPAT 139:245783
GI



I

II

AB The title arylamidine derivs. with general formula of I [wherein X = (un)substituted alkylene or alkenylene; G1 = O, S, or imino; G2 = CH or N; Ra = H, halo, (un)substituted alkyl, cycloalkyl, or alkoxy; R1 = (un)substituted amidino; R2 = (un)substituted NH2, etc.] and salts thereof are prepared as fungicides. For example, the compound II•xHCl was prepared in a multi-step synthesis. II showed IC50 of 0.0039 μg/mL against synthetic amino acid medium fungal (SAAMF) in agar.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> log y
STN INTERNATIONAL LOGOFF AT 10:12:39 ON 05 FEB 2007

```
* * * * * * * * * *   Welcome to STN International   * * * * * * * * * *
 * * * * * * * * * * * * * * STN  Columbus  * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 11:35:06 ON 12 FEB 2007

=> file reg
Uploading C:\Program Files\Stnexp\Queries\amino10506422.str
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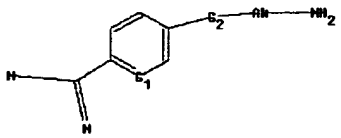
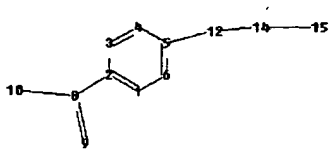




```
chain nodes :
8  9  10  12  14  15
ring nodes :
1  2  3  4  5  6
chain bonds :
2-8  5-12  8-9  8-10  12-14  14-15
ring bonds :
1-2  1-6  2-3  3-4  4-5  5-6
exact/norm bonds :
1-2  1-6  2-3  2-8  3-4  4-5  5-6  5-12  8-9  8-10  12-14  14-15
isolated ring systems :
containing 1 :


G1:C,N

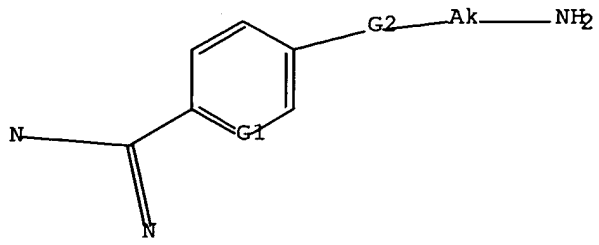
G2:O,S,N

Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  8:CLASS  9:CLASS  10:CLASS
12:CLASS  14:CLASS  15:CLASS



L1      STRUCTURE UPLOADED

=> dis l1
L1 HAS NO ANSWERS
L1              STR
```



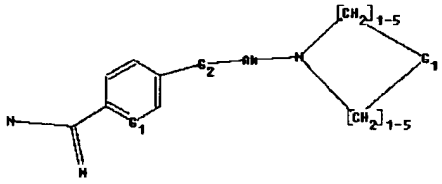
G1 C,N
G2 O,S,N

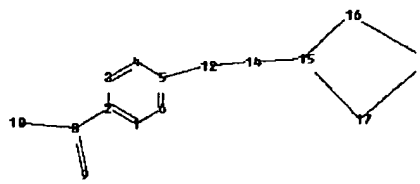
Structure attributes must be viewed using STN Express query preparation.

=> s l1 sam
L2 15 SEA SSS SAM L1

=> s l1 full
L3 249 SEA SSS FUL L1

=> Uploading C:\Program Files\Stnexp\Queries\for310506422.str





chain nodes :
8 9 10 12 14
ring nodes :
1 2 3 4 5 6 15 16 17 18
chain bonds :
2-8 5-12 8-9 8-10 12-14 14-15
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 15-16 15-17 16-18 17-18
exact/norm bonds :
1-2 1-6 2-3 2-8 3-4 4-5 5-6 5-12 8-9 8-10 12-14 14-15 15-16 15-17 16-18 17-18
isolated ring systems :
containing 1 :

G1:C,N

G2:O,S,N

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 8:CLASS 9:CLASS 10:CLASS

12:CLASS 14:CLASS 15:Atom 16:Atom 17:Atom 18:Atom

L4 STRUCTURE UPLOADED

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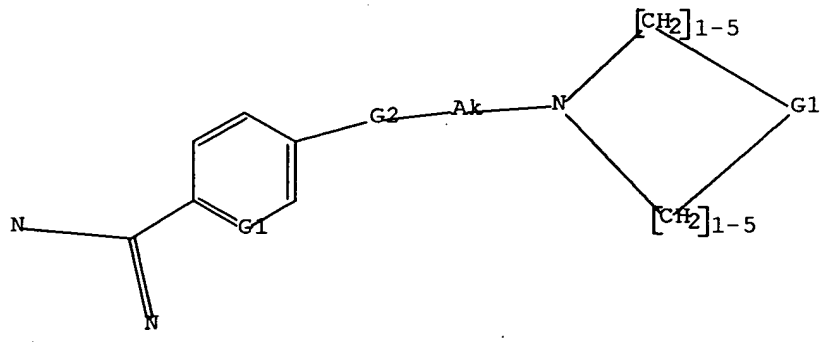
L4 HAS NO ANSWERS
L4 STR



G1 C,N
G2 O,S,N

Structure attributes must be viewed using STN Express query preparation.

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L5 12 SEA SSS SAM L4

=> s l4 full
L6 300 SEA SSS FUL L4

=> file caplus

=> s l6
L7 31 L6

=> s l7 and pd< mar 2003
23623826 PD< MAR 2003
(PD<20030300)
L8 21 L7 AND PD< MAR 2003

=> dis l8 1-21 bib abs hitstr

L8 ANSWER 1 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2001:886830 CAPLUS Full-text
DN 136:20093
TI Synthesis of cyclic and bicyclic diamino histamine-3 receptor antagonists
IN Bennani, Youssef L.; Black, Lawrence A.; Dwight, Wesley J.; Faghih, Ramin; Gentles, Robert G.; Liu, Huaqing; Phelan, Kathleen M.; Vasudevan, Anil; Zhang, Henry Q.
PA Abbott Laboratories, USA
SO U.S. Pat. Appl. Publ., 82 pp.
CODEN: USXXCO

DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2001049367	A1	20011206	US 2001-799450	20010305 <--
	US 6559140	B2	20030506		
PRAI	US 2000-187933P	P	20000309		

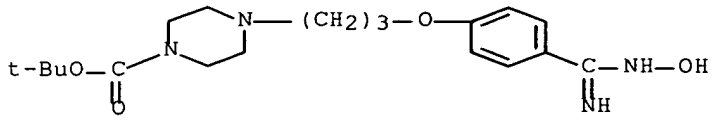
OS MARPAT 136:20093
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I - IV [G1 = R5Q1; G3 = R5Q1; L1 = absent, cycloalkyl, cycloalkylalkylene; L2 = absent, alkylene, with the proviso that at least one of L1 or L2 is not absent; n = 1 - 2; Q1 = absent, C(O), C(S), CH2, SO2, C(NR9); Q2 = O, SO0-2, acetylene; R1-3 = H, alkyl; R4 = alkoxy, alkyl, amino, aryl, aryloxy, cycloalkyl, cycloalkoxy, heteroaryl, heterocycloalkyl, etc.; R5 = H, R4; with the proviso that Q1 is not absent in compds. of formula I and when Q1 = CO in I, R4 is not alkyl; and with the proviso that when R5 = H, Q1 = absent or C(O); R6-7 H, alkyl, alkenyl, alkynyl, alkoxy, amino, azido, carboxaldehyde, carboxy, cyano, halo, hydroxy, etc.; R8 = alkyl, alkanoyl, alkoxy, alkoxycarbonyl, amino, aryl, arylalkyl, aryloyl, arylsulfonyl, carboxamido, cyano, cyanoalkyl, cycloalkyl, etc.; R9 = H, alkyl, alkoxy, aryl, etc.] were prepared Examples include over 180 synthetic examples and HT3 receptor binding assay results for over 70 example compds. E.g., cyclopropyl[4-[3-(1- piperazinyl)propoxy]phenyl]methanone (preparation given) was coupled to Boc-L-alanine (CH2Cl2, EDCI, iPr2NEt, DMAP, room temperature, 18 h) to give the corresponding amide. This amide was deprotected (CH2Cl2, TFA, room temperature, 24 h) to give example compound V isolated as the salt of L-tartaric acid. V had Ki = 19.6 nM for the H3 receptor. Compds. I - IV are useful in the treatment of diseases which are alleviated by H3 receptor activity.

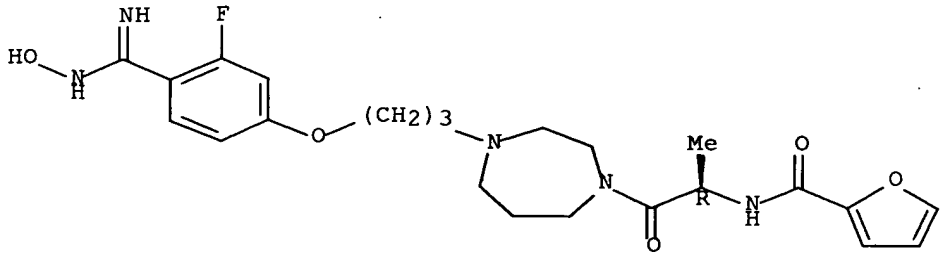
IT 360553-49-7P 360553-60-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; cyclic and bicyclic diamino histamine-3 receptor antagonists)

RN 360553-49-7 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[3-[4-[(hydroxyamino)iminomethyl]phenoxy]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 360553-60-2 CAPLUS
CN 2-Furancarboxamide, N-[(1R)-2-[4-[3-[3-fluoro-4-[(hydroxyamino)iminomethyl]phenoxy]propyl]hexahydro-1H-1,4-diazepin-1-yl]-1-methyl-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

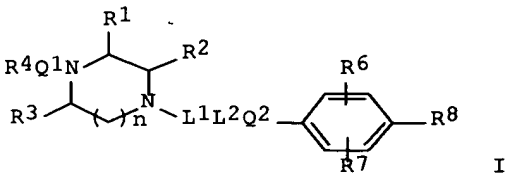


L8 ANSWER 2 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2001:676758 CAPLUS Full-text
DN 135:242249
TI Preparation of piperazines, homopiperazines, and related compounds as histamine H3 receptor antagonists.
IN Bennani, Youssef L.; Black, Lawrence A.; Dwight, Wesley J.; Faghih, Ramin; Gentles, Robert G.; Liu, Huaqing; Phelan, Kathleen M.; Vasudevan, Anil; Zhang, Henry Q.
PA Abbott Laboratories, USA
SO PCT Int. Appl., 211 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001066534	A2	20010913	WO 2001-US6885	20010305 <--
WO 2001066534	A3	20031016		

W: CA, JP, MX
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR

PRAI US 2000-521973 A 20000309
OS MARPAT 135:242249
GI



I

AB Title compds. [I; L1 = null, (substituted) cycloalkyl, cycloalkylalkylene; L2 = null, alkylene, arylalkylene; ≥1 of L1, L2 is present; Q1 = CO, CS, CH2, SO2, etc.; Q2 = O, S, SO, SO2, C.tplbond.C; R1-R3 = H, alkyl; R4 = alkoxy, alkyl, amino, (substituted) aryl, aryloxy, cycloalkyl, cycloalkoxy, etc.; R6, R7 = H, alkyl, alkenyl, alkynyl, alkoxy, amino, N3, CHO, etc.; adjoining R6R7 = OCH2CO; R8 = alkyl, alkanoyl, alkoxy, alkoxycarbonyl, amino, (substituted) aryl, aralkyl, aroyl, etc.; n = 1, 2], were prepared Thus, tert-Bu (1S)-2-[4-[3-[4- (cyclopropylcarbonyl)phenoxy]propyl]-1-piperazinyl]-1-methyl-2-oxoethylcarbamate (preparation given) in CH2Cl2 was stirred with CF3CO2H at 0° to room temperature to give 83% to give [4-[3-[4-[(2S)-2- aminopropanoyl]-1-

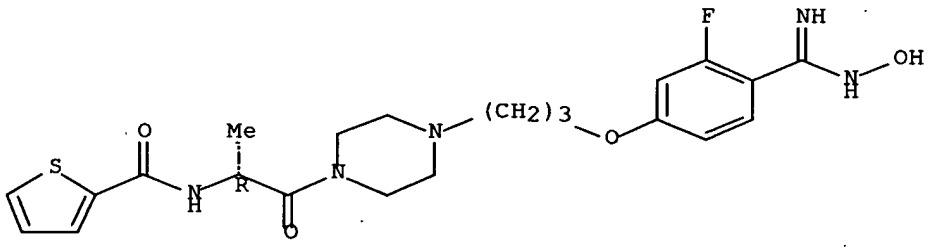
piperazinyl]propoxy]phenyl](cyclopropyl)methanone. This showed IC50 = 19.6 nM in a histamine H3 receptor binding assay.

IT 360554-00-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of piperazines, homopiperazines, and related compds. as histamine H3 receptor antagonists)

RN 360554-00-3 CAPLUS

CN 2-Thiophenecarboxamide, N-[(1R)-2-[4-[3-[3-fluoro-4-[(hydroxyamino)iminomethyl]phenoxy]propyl]-1-piperazinyl]-1-methyl-2-oxoethyl]- (9CI) (CA INDEX NAME)

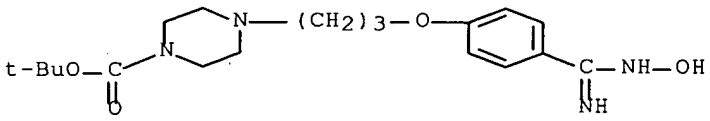
Absolute stereochemistry.



IT 360553-49-7P 360553-50-0P 360553-60-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of piperazines, homopiperazines, and related compds. as histamine H3 receptor antagonists)

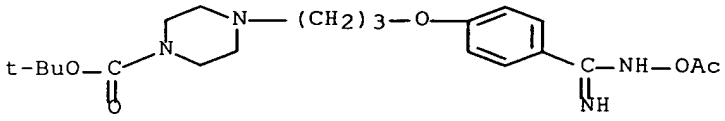
RN 360553-49-7 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[3-[4-[(hydroxyamino)iminomethyl]phenoxy]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 360553-50-0 CAPLUS

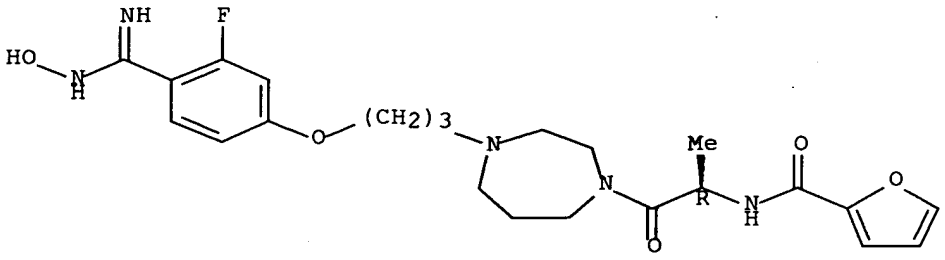
CN 1-Piperazinecarboxylic acid, 4-[3-[4-[[(acetyloxy)amino]iminomethyl]phenoxy]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 360553-60-2 CAPLUS

CN 2-Furancarboxamide, N-[(1R)-2-[4-[3-[3-fluoro-4-[(hydroxyamino)iminomethyl]phenoxy]propyl]hexahydro-1H-1,4-diazepin-1-yl]-1-methyl-2-oxoethyl]- (9CI) (CA INDEX NAME)

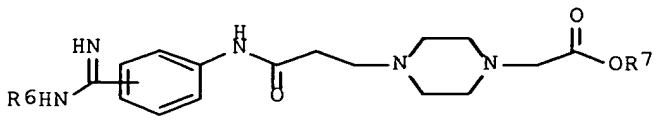
Absolute stereochemistry.



L8 ANSWER 3 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2001:545679 CAPLUS Full-text
DN 135:137523
TI Preparation of piperazinylpropionylaminophenylamidines as inhibitors of cell aggregation and cell-matrix interaction.
IN Himmelsbach, Frank; Guth, Brian
PA Boehringer Ingelheim Pharma K.-G., Germany
SO PCT Int. Appl., 28 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001053280	A1	20010726	WO 2001-EP372	20010113 <--
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	DE 10002510	A1	20010726	DE 2000-10002510	20000121 <--
	CA 2397533	A1	20010726	CA 2001-2397533	20010113 <--
	EP 1255744	A1	20021113	EP 2001-903646	20010113 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	JP 2003520791	T	20030708	JP 2001-553755	20010113
	US 2003096824	A1	20030522	US 2002-181576	20021021
	US 6838460	B2	20050104		
PRAI	DE 2000-10002510	A	20000121		
	WO 2001-EP372	W	20010113		

OS MARPAT 135:137523
GI



I

AB Title compds. (I; R6 = OH, alkoxycarbonyl, arylcarbonyl, aralkoxycarbonyl; R7 = H, alkyl, cycloalkyl, phenylalkyl, etc.), were prepared Thus, 4-[2-[(4-amidinophenyl)aminocarbonyl]ethyl]-1- (ethoxycarbonyl)methylpiperazine triacetate (preparation given) in acetone/H2O at 0° was treated with K2CO3 and octyl chloroformate followed by stirring overnight at room temperature to give 45% 4-[2-[(4- octyloxycarbonylamidinophenyl)aminocarbonyl]ethyl]-1-(ethoxycarbonyl)methylpiperazine. The corresponding hexyloxy compound at 1 mg/kg orally in monkeys gave after 4 h a plasma concentration of 4-[2-[(4-amidinophenyl)aminocarbonyl]ethyl]-1-carboxymethylpiperazine of 316 nM.

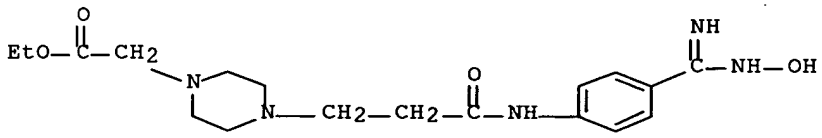
IT 351417-11-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of piperazinylpropionylaminophenylamidines as inhibitors of cell aggregation and cell-matrix interaction)

RN 351417-11-3 CAPLUS

CN 1-Piperazineacetic acid, 4-[3-[[4-[(hydroxyamino)iminomethyl]phenyl]amino]-3-oxopropyl]-, ethyl ester (9CI) (CA INDEX NAME)



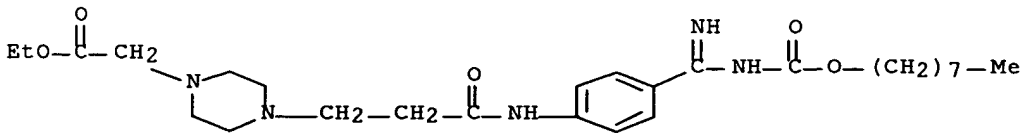
IT 351417-07-7P 351417-08-8P 351417-09-9P 351417-10-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of piperazinylpropionylaminophenylamidines as inhibitors of cell aggregation and cell-matrix interaction)

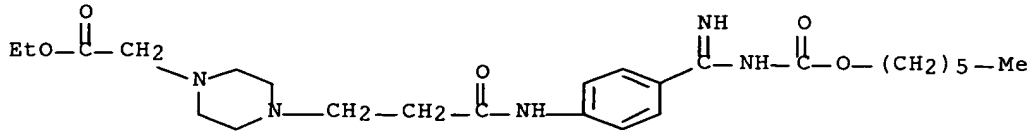
RN 351417-07-7 CAPLUS

CN 1-Piperazineacetic acid, 4-[3-[[4-[imino[[(octyloxy)carbonyl]amino]methyl]phenyl]amino]-3-oxopropyl]-, ethyl ester (9CI) (CA INDEX NAME)

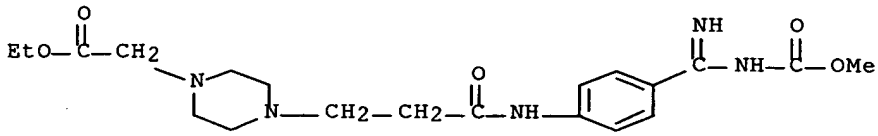


RN 351417-08-8 CAPLUS

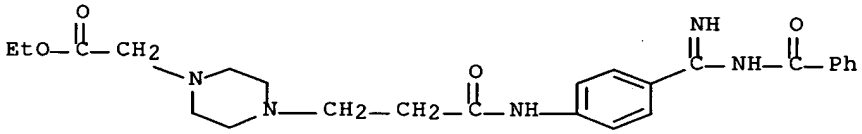
CN 1-Piperazineacetic acid, 4-[3-[[4-[[[(hexyloxy)carbonyl]amino]iminomethyl]phenyl]amino]-3-oxopropyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 351417-09-9 CAPLUS
CN 1-Piperazineacetic acid, 4-[3-[[4-[imino[(methoxycarbonyl)amino]methyl]phenyl]amino]-3-oxopropyl]-, ethyl ester (9CI) (CA INDEX NAME)



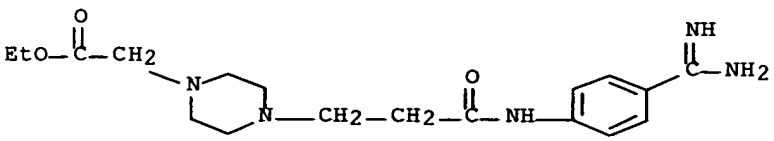
RN 351417-10-2 CAPLUS
CN 1-Piperazineacetic acid, 4-[3-[[4-[(benzoylamino)iminomethyl]phenyl]amino]-3-oxopropyl]-, ethyl ester (9CI) (CA INDEX NAME)



IT 351417-14-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of piperazinylpropionylaminophenylamidines as inhibitors of cell aggregation and cell-matrix interaction)
RN 351417-14-6 CAPLUS
CN 1-Piperazineacetic acid, 4-[3-[[4-(aminoiminomethyl)phenyl]amino]-3-oxopropyl]-, ethyl ester, triacetate (9CI) (CA INDEX NAME)

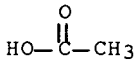
CM 1

CRN 351417-13-5
CMF C18 H27 N5 O3



CM 2

CRN 64-19-7
CMF C2 H4 O2

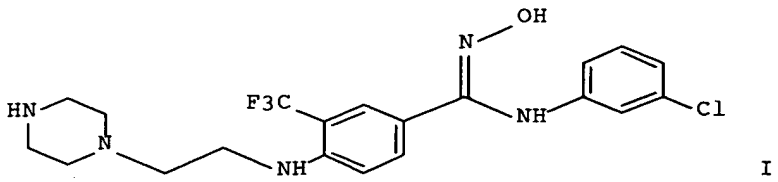


RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 4 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2001:526050 CAPLUS Full-text
DN 135:107149
TI Synthesis, antibacterial activity and RNA polymerase inhibition of phenylamidine derivs.
IN Li, Leping; Chen, Xiaoqui; Fan, Pingchen; Mihalic, Jeffrey Thomas; Cutler, Serena
PA Tularik Inc., USA
SO PCT Int. Appl., 104 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001051456	A2	20010719	WO 2001-US1219	20010112 <--
	WO 2001051456	A3	20011220		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2397575	A1	20010719	CA 2001-2397575	20010112 <--
	US 2002045749	A1	20020418	US 2001-759633	20010112 <--
	US 6780858	B2	20040824		
	EP 1246795	A2	20021009	EP 2001-914329	20010112 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	JP 2003519676	T	20030624	JP 2001-551838	20010112
	US 2004235911	A1	20041125	US 2004-877408	20040625
	US 7053234	B2	20060530		
	US 2006270651	A1	20061130	US 2006-344111	20060201
	US 7148259	B1	20061212		
PRAI	US 2000-175892P	P	20000113		
	US 2001-759633	A1	20010112		
	WO 2001-US1219	W	20010112		
	US 2004-877408	A3	20040625		

OS MARPAT 135:107149
GI



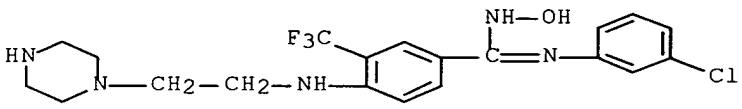
I

AB Synthesis of hydroxyamidines, e.g. (I) and related compds. are disclosed which are suitable as antibacterial agents by their inhibition of RNA polymerase. Antibacterial activity against S. aureus and E. coli are given.

IT 350487-97-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis, antibacterial activity and RNA polymerase inhibition of phenyl- and heterocyclylhydroxyamidine derivs.)

RN 350487-97-7 CAPLUS

CN Benzenecarboximidamide, N-(3-chlorophenyl)-N'-hydroxy-4-[[2-(1-piperazinyl)ethyl]amino]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



L8 ANSWER 5 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1999:394051 CAPLUS Full-text

DN 131:44847

TI Preparation of heterocyclylbenzamidines as blood-coagulation factor Xa inhibitors

IN Dorsch, Dieter; Juraszyk, Horst; Wurziger, Hanns; Gante, Joachim; Mederski, Werner; Buchstaller, Hans-Peter; Anzali, Soheila; Bernotat-Danielowski, Sabine; Melzer, Guido

PA Merck Patent G.m.b.H., Germany

SO Ger. Offen., 36 pp.
CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI DE 19755268	A1	19990617	DE 1997-19755268	19971212 <--
CA 2313651	A1	19990624	CA 1998-2313651	19981127 <--
WO 9931092	A1	19990624	WO 1998-EP7673	19981127 <--

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW

RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,

	CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	AU 9919647	A	19990705	AU 1999-19647	19981127 <--
	AU 744002	B2	20020214		
	BR 9813477	A	20001024	BR 1998-13477	19981127 <--
	EP 1056743	A1	20001206	EP 1998-964455	19981127 <--
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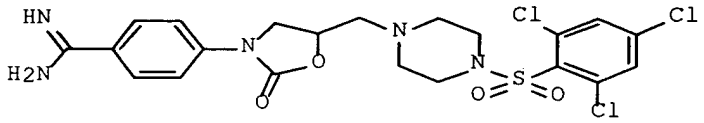
	JP 2002508370	T	20020319	JP 2000-539016	19981127 <--
	HU 200004353	A2	20020328	HU 2000-4353	19981127 <--
	RU 2203897	C2	20030510	RU 2000-118792	19981127
	IN 1998CA02144	A	20050311	IN 1998-CA2144	19981208
	ZA 9811339	A	19990708	ZA 1998-11339	19981210 <--
	NO 2000002958	A	20000811	NO 2000-2958	20000609 <--
PRAI	DE 1997-19755268	A	19971212		
	WO 1998-EP7673	W	19981127		

OS MARPAT 131:44847

GI



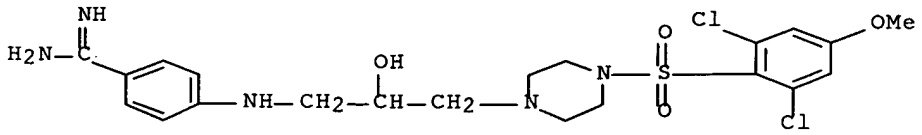
I

AB R1Z1Z2CH2CH(OR3)CH2Z3Z4R4 [R1 = (acyl- or hydroxy-substituted) C(:NH)NH2, 5-methyl-1,2,4-oxadiazol-3-yl, etc.; R3 = H, alkyl, CH2Ph, etc.; R4 = (cyclo)alkyl, phenyl(alkyl), heterocyclyl(alkyl), etc.; Z1 = (un)substituted phenylene; Z2 = O or NR5; R5 = H, alkyl, CH2Ph; R3R5 = CO; Z3 = O, NR5, piperazine-1,4-diyl, etc.; Z4 = bond, CO, SO2, CO2, CONR5] were prepared as blood-coagulation factor Xa inhibitors (no data). Thus, 3-[4-(5-methyl-1,2,4-oxadiazol-3-yl)phenyl]-2-oxooxazolidine-5-ylmethyl methanesulfonate (preparation described) was aminated by Boc-piperazine and the deprotected product amidated by 2,4,6-trichlorobenzenesulfonyl chloride to give, after hydrogenation, title compound I.HOAc.

IT 227325-04-4P 227325-05-5P 227325-07-7P
227325-08-8P 227325-09-9P 227325-11-3P
227325-13-5P 227325-15-7P 227325-17-9P
227325-19-1P 227325-21-5P 227325-23-7P
227325-25-9P 227325-27-1P 227325-29-3P
227325-31-7P 227325-33-9P 227326-61-6P
227326-63-8P 227326-65-0P 227326-79-6P
227326-81-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterocyclylbenzamidines as blood-coagulation factor Xa inhibitors)

RN 227325-04-4 CAPLUS

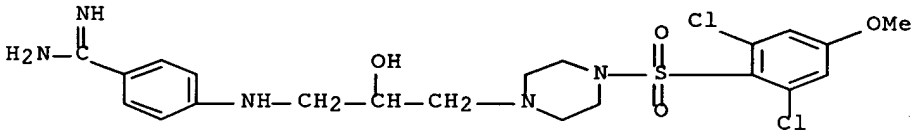
CN Benzenecarboximidamide, 4-[[3-[4-[(2,6-dichloro-4-methoxyphenyl)sulfonyl]-1-piperazinyl]-2-hydroxypropyl]amino]- (9CI) (CA INDEX NAME)



RN 227325-05-5 CAPLUS
CN Benzenecarboximidamide, 4-[[3-[4-[(2,6-dichloro-4-methoxyphenyl)sulfonyl]-1-piperazinyl]-2-hydroxypropyl]amino]-, monoacetate (salt) (9CI) (CA INDEX NAME)

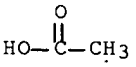
CM 1

CRN 227325-04-4
CMF C21 H27 Cl2 N5 O4 S



CM 2

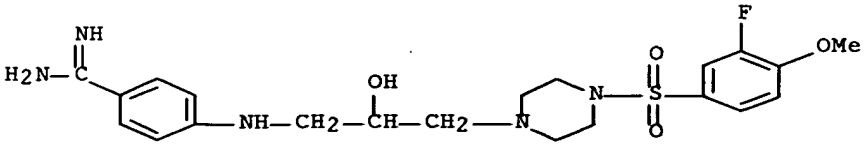
CRN 64-19-7
CMF C2 H4 O2



RN 227325-07-7 CAPLUS
CN Benzenecarboximidamide, 4-[[3-[4-[(3-fluoro-4-methoxyphenyl)sulfonyl]-1-piperazinyl]-2-hydroxypropyl]amino]-, monoacetate (salt) (9CI) (CA INDEX NAME)

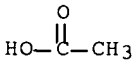
CM 1

CRN 227325-06-6
CMF C21 H28 F N5 O4 S



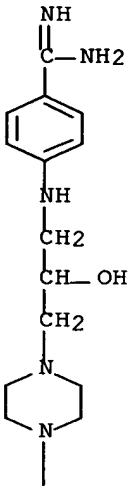
CM 2

CRN 64-19-7
CMF C2 H4 O2

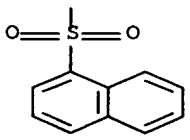


RN 227325-08-8 CAPLUS
CN Benzenecarboximidamide, 4-[[2-hydroxy-3-[4-(1-naphthalenylsulfonyl)-1-piperazinyl]propyl]amino]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

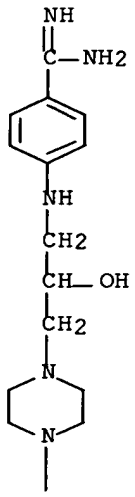


RN 227325-09-9 CAPLUS
CN Benzenecarboximidamide, 4-[[2-hydroxy-3-[4-(1-naphthalenylsulfonyl)-1-piperazinyl]propyl]amino]-, monoacetate (salt) (9CI) (CA INDEX NAME)

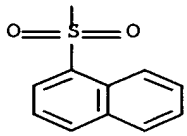
CM 1

CRN 227325-08-8
CMF C24 H29 N5 O3 S

PAGE 1-A

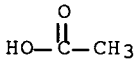


PAGE 2-A



CM 2

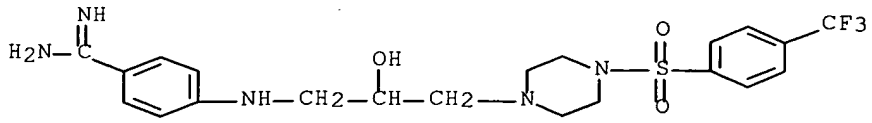
CRN 64-19-7
CMF C2 H4 O2



RN 227325-11-3 CAPLUS
CN Benzenecarboximidamide, 4-[[2-hydroxy-3-[4-[[4-(trifluoromethyl)phenyl]sulfonyl]-1-piperazinyl]propyl]amino]-, monoacetate (salt) (9CI) (CA INDEX NAME)

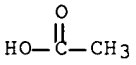
CM 1

CRN 227325-10-2
CMF C21 H26 F3 N5 O3 S



CM 2

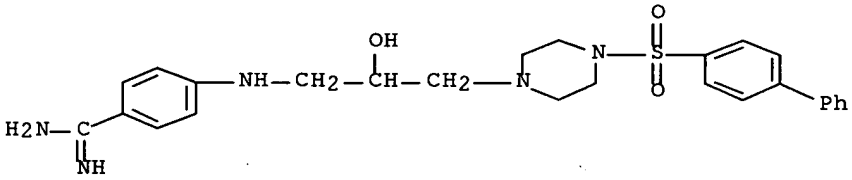
CRN 64-19-7
CMF C2 H4 O2



RN 227325-13-5 CAPLUS
CN Benzenecarboximidamide, 4-[[3-[4-([1,1'-biphenyl]-4-ylsulfonyl)-1-piperazinyl]-2-hydroxypropyl]amino]-, monoacetate (salt) (9CI) (CA INDEX NAME)

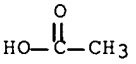
CM 1

CRN 227325-12-4
CMF C26 H31 N5 O3 S



CM 2

CRN 64-19-7
CMF C2 H4 O2

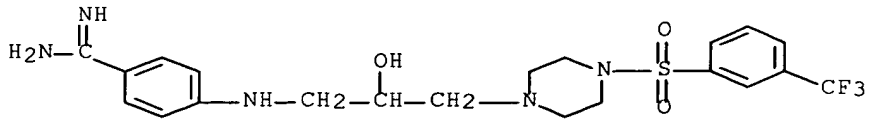


RN 227325-15-7 CAPLUS
CN Benzenecarboximidamide, 4-[[2-hydroxy-3-[4-[[3-(trifluoromethyl)phenyl]sulfonyl]-1-piperazinyl]propyl]amino]-, monoacetate (salt) (9CI) (CA INDEX NAME)

CM 1

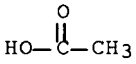
CRN 227325-14-6

CMF C21 H26 F3 N5 O3 S



CM 2

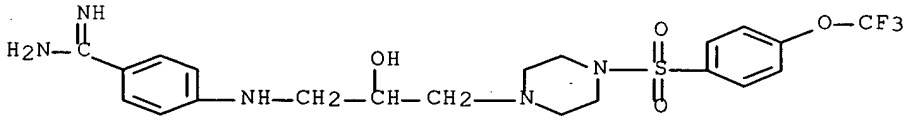
CRN 64-19-7
CMF C2 H4 O2



RN 227325-17-9 CAPLUS
CN Benzenecarboximidamide, 4-[[2-hydroxy-3-[4-[[4-(trifluoromethoxy)phenyl]sulfonyl]-1-piperazinyl]propyl]amino]-, monoacetate (salt) (9CI) (CA INDEX NAME)

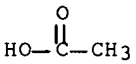
CM 1

CRN 227325-16-8
CMF C21 H26 F3 N5 O4 S



CM 2

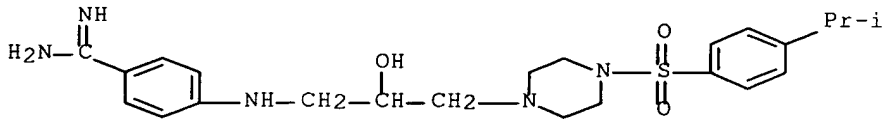
CRN 64-19-7
CMF C2 H4 O2



RN 227325-19-1 CAPLUS
CN Benzenecarboximidamide, 4-[[2-hydroxy-3-[4-[[4-(1-methylethyl)phenyl]sulfonyl]-1-piperazinyl]propyl]amino]-, monoacetate (salt) (9CI) (CA INDEX NAME)

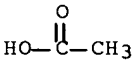
CM 1

CRN 227325-18-0
CMF C23 H33 N5 O3 S



CM 2

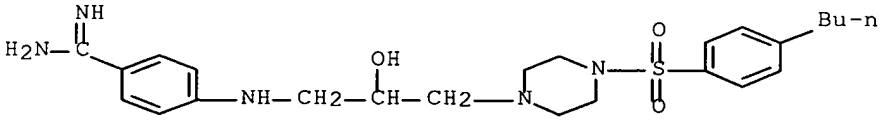
CRN 64-19-7
CMF C2 H4 O2



RN 227325-21-5 CAPLUS
CN Benzenecarboximidamide, 4-[[3-[4-[(4-butylphenyl)sulfonyl]-1-piperazinyl]-2-hydroxypropyl]amino]-, monoacetate (salt) (9CI) (CA INDEX NAME)

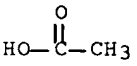
CM 1

CRN 227325-20-4
CMF C24 H35 N5 O3 S



CM 2

CRN 64-19-7
CMF C2 H4 O2

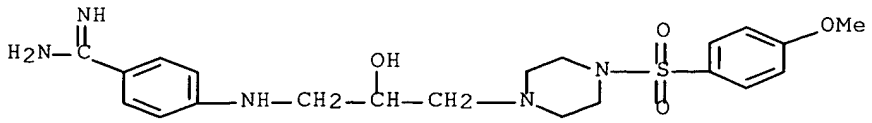


RN 227325-23-7 CAPLUS
CN Benzenecarboximidamide, 4-[[2-hydroxy-3-[4-[(4-methoxyphenyl)sulfonyl]-1-piperazinyl]propyl]amino]-, monoacetate (salt) (9CI) (CA INDEX NAME)

CM 1

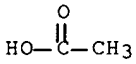
CRN 227325-22-6

CMF C21 H29 N5 O4 S



CM 2

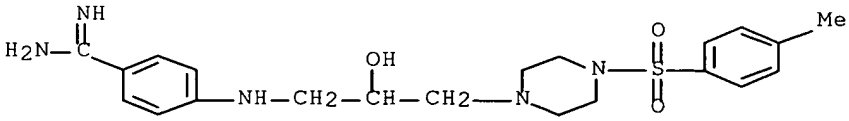
CRN 64-19-7
CMF C2 H4 O2



RN 227325-25-9 CAPLUS
CN Benzenecarboximidamide, 4-[[2-hydroxy-3-[4-[(4-methylphenyl)sulfonyl]-1-piperazinyl]propyl]amino]-, monoacetate (salt) (9CI) (CA INDEX NAME)

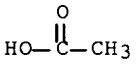
CM 1

CRN 227325-24-8
CMF C21 H29 N5 O3 S



CM 2

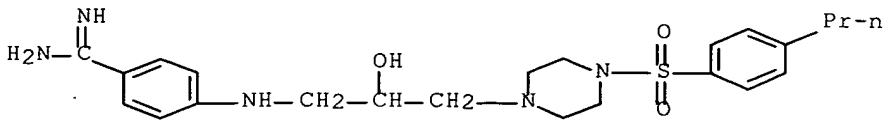
CRN 64-19-7
CMF C2 H4 O2



RN 227325-27-1 CAPLUS
CN Benzenecarboximidamide, 4-[[2-hydroxy-3-[4-[(4-propylphenyl)sulfonyl]-1-piperazinyl]propyl]amino]-, monoacetate (salt) (9CI) (CA INDEX NAME)

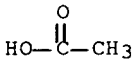
CM 1

CRN 227325-26-0
CMF C23 H33 N5 O3 S



CM 2

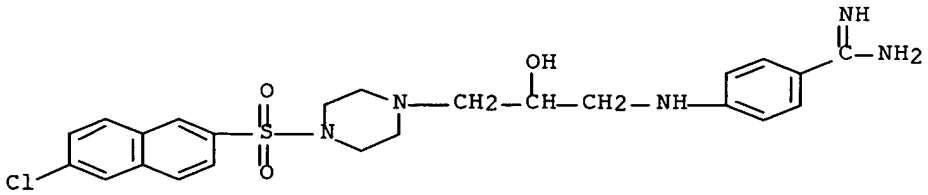
CRN 64-19-7
CMF C2 H4 O2



RN 227325-29-3 CAPLUS
CN Benzenecarboximidamide, 4-[[3-[4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-piperazinyl]-2-hydroxypropyl]amino]-, monoacetate (salt) (9CI) (CA INDEX NAME)

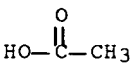
CM 1

CRN 227325-28-2
CMF C24 H28 Cl N5 O3 S



CM 2

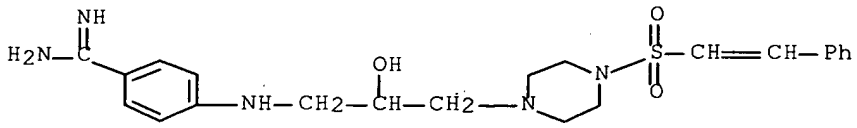
CRN 64-19-7
CMF C2 H4 O2



RN 227325-31-7 CAPLUS
CN Benzenecarboximidamide, 4-[[2-hydroxy-3-[4-[(2-phenylethenyl)sulfonyl]-1-piperazinyl]propyl]amino]-, monoacetate (salt) (9CI) (CA INDEX NAME)

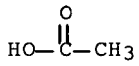
CM 1

CRN 227325-30-6
CMF C22 H29 N5 O3 S



CM 2

CRN 64-19-7
CMF C2 H4 O2

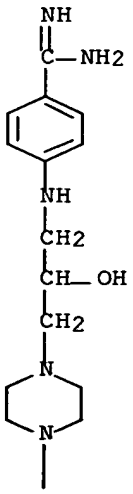


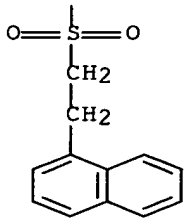
RN 227325-33-9 CAPLUS
CN Benzenecarboximidamide, 4-[[2-hydroxy-3-[4-[[2-(1-naphthalenyl)ethyl]sulfonyl]-1-piperazinyl]propyl]amino]-, monoacetate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 227325-32-8
CMF C26 H33 N5 O3 S

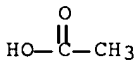
PAGE 1-A





CM 2

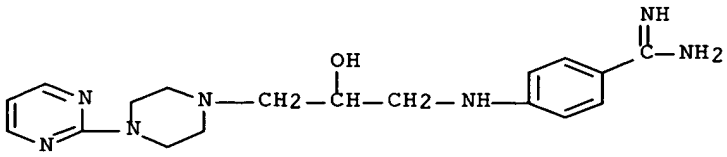
CRN 64-19-7
CMF C2 H4 O2



RN 227326-61-6 CAPLUS
CN Benzenecarboxamidamide, 4-[[2-hydroxy-3-[4-(2-pyrimidinyl)-1-piperazinyl]propyl]amino]-, monoacetate (salt) (9CI) (CA INDEX NAME)

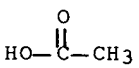
CM 1

CRN 227326-60-5
CMF C18 H25 N7 O



CM 2

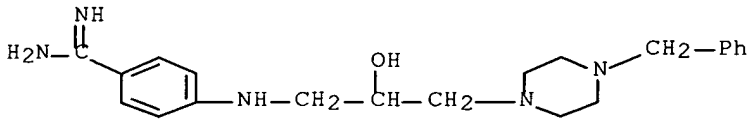
CRN 64-19-7
CMF C2 H4 O2



RN 227326-63-8 CAPLUS
CN Benzenecarboxamidamide, 4-[[2-hydroxy-3-[4-(phenylmethyl)-1-piperazinyl]propyl]amino]-, monoacetate (salt) (9CI) (CA INDEX NAME)

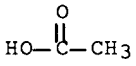
CM 1

CRN 227326-62-7
CMF C21 H29 N5 O



CM 2

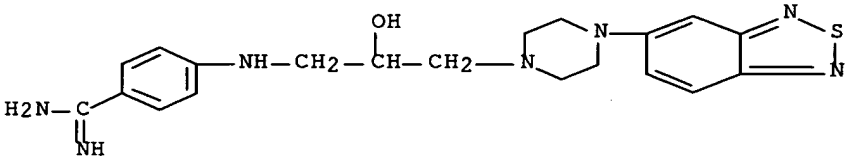
CRN 64-19-7
CMF C2 H4 O2



RN 227326-65-0 CAPLUS
CN Benzenecarboximidamide, 4-[[3-[4-(2,1,3-benzothiadiazol-5-yl)-1-piperazinyl]-2-hydroxypropyl]amino]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

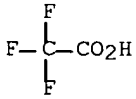
CM 1

CRN 227326-64-9
CMF C20 H25 N7 O S



CM 2

CRN 76-05-1
CMF C2 H F3 O2

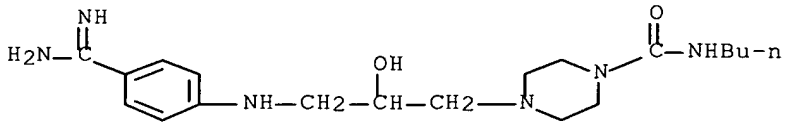


RN 227326-79-6 CAPLUS
CN 1-Piperazinecarboxamide, 4-[3-[[4-(aminoiminomethyl)phenyl]amino]-2-

hydroxypropyl]-N-butyl-, monoacetate (salt) (9CI) (CA INDEX NAME)

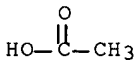
CM 1

CRN 227326-78-5
CMF C19 H32 N6 O2



CM 2

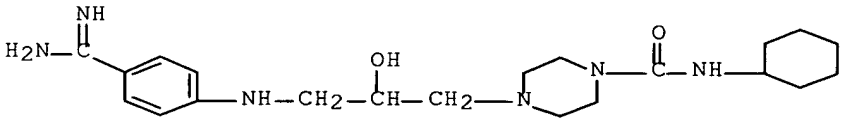
CRN 64-19-7
CMF C2 H4 O2



RN 227326-81-0 CAPLUS
CN 1-Piperazinecarboxamide, 4-[3-[[4-(aminoiminomethyl)phenyl]amino]-2-hydroxypropyl]-N-cyclohexyl-, monoacetate (salt) (9CI) (CA INDEX NAME)

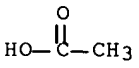
CM 1

CRN 227326-80-9
CMF C21 H34 N6 O2



CM 2

CRN 64-19-7
CMF C2 H4 O2



L8 ANSWER 6 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1999:375282 CAPLUS Full-text

DN 131:44656
TI Preparation of N-(4-amidinophenyl)phenylglycineamides as factor VIIa/tissue factor inhibitors
IN Grobke, Katrin; Ji, Yu-hua; Wallbaum, Sabine; Weber, Lutz
PA F. Hoffmann-La Roche A.-G., Switz.
SO Eur. Pat. Appl., 46 pp.
CODEN: EPXXDW
DT Patent
LA German
FAN.CNT 1

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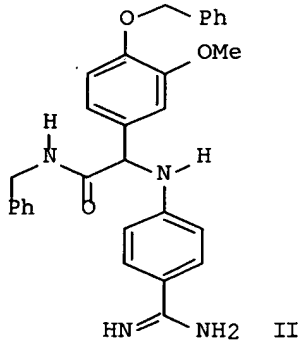
	HR 980614	B1	20030228	HR 1998-614	19981204	<--
	TW 544446	B	20030801	TW 1999-88102291	19990212	
	HK 1020941	A1	20031107	HK 1999-106072	19991223	
PRAI	EP 1997-121285	A	19971204			
	EP 1998-121374	A	19981110			

OS MARPAT 131:44656
GI



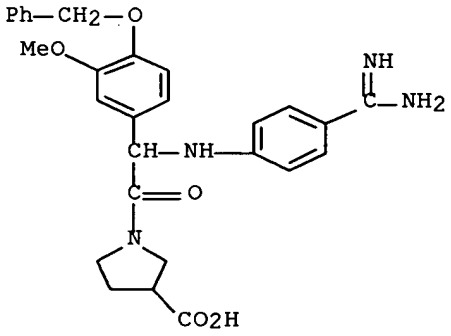
II

AB RR1NCOCHR2NHZC(:NG1)NHG2 [I; 1 of G1,G2 = H and the other = H, OH, alkyl, alkoxy, etc.; R = (un)substituted alkyl, cycloalkyl, aryl; R1 = H or alkyl; R2 = (un)substituted Ph or -pyridyl; Z = (3-hydroxy) 1,4-phenylene] were prepared Thus, 3,4-(MeO)(PhCH2O)C6H3CHO, 4-(H2N)C6H4C(:NH)NH2, and PhCH2NC were condensed to give, after acidification, title compound II.HCl. Data for biol. activity of I were given.

IT 227022-13-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-(4-amidinophenyl)phenylglycineamides as factor VIIa/tissue factor inhibitors)

RN 227022-13-1 CAPLUS

CN 3-Pyrrolidinecarboxylic acid, 1-[[[4-(aminoiminomethyl)phenyl]amino][3-methoxy-4-(phenylmethoxy)phenyl]acetyl]- (9CI) (CA INDEX NAME)



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 7 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1999:233904 CAPLUS Full-text

DN 130:282084

TI Benzamidine derivatives as factor Xa inhibitors

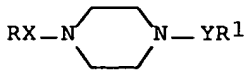
IN Dorsch, Dieter; Juraszyk, Horst; Wurziger, Hanns; Bernotat-Danielowski, Sabine; Melzer, Guido

PA Merck Patent G.m.b.H., Germany

SO PCT Int. Appl., 79 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9916751	A1	19990408	WO 1998-EP5898	19980916 <--
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	DE 19743435	A1	19990408	DE 1997-19743435	19971001 <--
	CA 2305568	A1	19990408	CA 1998-2305568	19980916 <--
	AU 9895407	A	19990423	AU 1998-95407	19980916 <--
	AU 736080	B2	20010726		
	EP 1025086	A1	20000809	EP 1998-948982	19980916 <--
	EP 1025086	B1	20030625		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
	BR 9812699	A	20000822	BR 1998-12699	19980916 <--
	JP 2001518467	T	20011016	JP 2000-513837	19980916 <--
	HU 200004306	A2	20011128	HU 2000-4306	19980916 <--
	SK 282799	B6	20021203	SK 2000-447	19980916 <--
	RU 2194044	C2	20021210	RU 2000-110737	19980916 <--
	AT 243681	T	20030715	AT 1998-948982	19980916
	IN 1998CA01737	A	20050311	IN 1998-CA1737	19980925
	ZA 9808937	A	19990331	ZA 1998-8937	19980930 <--
	NO 2000001687	A	20000331	NO 2000-1687	20000331 <--
	US 6492368	B1	20021210	US 2000-509729	20000331 <--
PRAI	DE 1997-19743435	A	19971001		
	WO 1998-EP5898	W	19980916		

OS MARPAT 130:282084
GI



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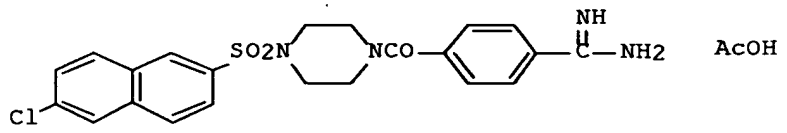


II

AB Title compds. I [X = bond, CO, (un)substituted CH2, CH2CH2, CH2CO, CH2CH2CO, CH:CHCO, NHCO; Y = (un)substituted CH2, SO2, CO, CO2, CONH; R = (un)substituted Ph; R1 = H, (un)substituted alkyl, oxaalkyl, thiaalkyl, alkenyl, cycloalkyl, aryl, aryloxy, heterocyclic, aralkenyl] are inhibitors of coagulation factor Xa and can be used for preventing or treating thromboembolic disorders (no data). Thus, 4-(5-methyl-1,2,4- oxadiazol-3-

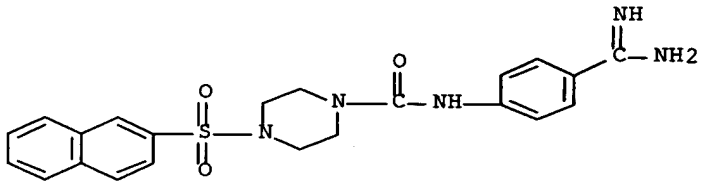
yl)benzoic acid was converted to the acid chloride, treated with N-tert.-butoxycarbonylpiperazine, and deblocked to give [4-(5-methyl-1,2,4-oxadiazol-3-yl)phenyl]piperazin-1-ylmethanone which was treated with 6-chloro-2-naphthalenesulfonyl chloride and reduced to give the benzamidine II.

IT 222544-35-6P 222544-37-8P 222544-39-0P
222544-41-4P 222544-43-6P 222544-45-8P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperazinylbenzamidine derivs. as factor Xa inhibitors)

RN 222544-35-6 CAPLUS
CN 1-Piperazinecarboxamide, N-[4-(aminoiminomethyl)phenyl]-4-(2-naphthalenylsulfonyl)-, monoacetate (9CI) (CA INDEX NAME)

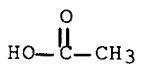
CM 1

CRN 222544-34-5
CMF C22 H23 N5 O3 S



CM 2

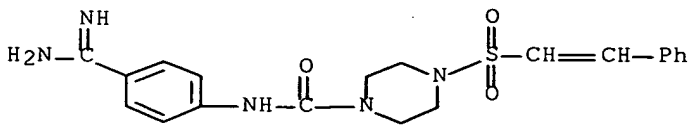
CRN 64-19-7
CMF C2 H4 O2



RN 222544-37-8 CAPLUS
CN 1-Piperazinecarboxamide, N-[4-(aminoiminomethyl)phenyl]-4-[(2-phenylethenyl)sulfonyl]-, monoacetate (9CI) (CA INDEX NAME)

CM 1

CRN 222544-36-7
CMF C20 H23 N5 O3 S



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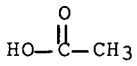
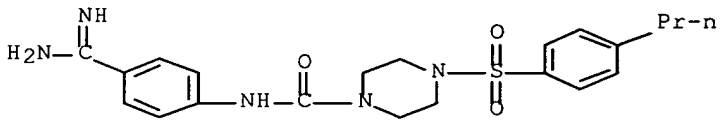
CRN 64-19-7
CMF C2 H4 O2



RN 222544-39-0 CAPLUS
CN 1-Piperazinecarboxamide, N-[4-(aminoiminomethyl)phenyl]-4-[(4-propylphenyl)sulfonyl]-, monoacetate (9CI) (CA INDEX NAME)

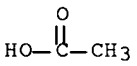
CM 1

CRN 222544-38-9
CMF C21 H27 N5 O3 S



CM 2

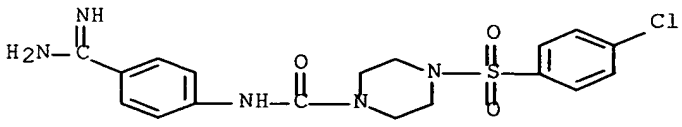
CRN 64-19-7
CMF C2 H4 O2



RN 222544-41-4 CAPLUS
CN 1-Piperazinecarboxamide, N-[4-(aminoiminomethyl)phenyl]-4-[(4-chlorophenyl)sulfonyl]-, monoacetate (9CI) (CA INDEX NAME)

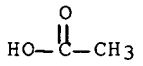
CM 1

CRN 222544-40-3
CMF C18 H20 Cl N5 O3 S



CM 2

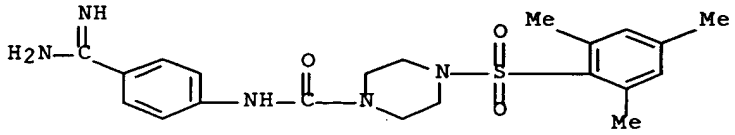
CRN 64-19-7
CMF C2 H4 O2



RN 222544-43-6 CAPLUS
CN 1-Piperazinecarboxamide, N-[4-(aminoiminomethyl)phenyl]-4-[(2,4,6-trimethylphenyl)sulfonyl]-, monoacetate (9CI) (CA INDEX NAME)

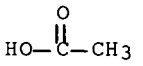
CM 1

CRN 222544-42-5
CMF C21 H27 N5 O3 S



CM 2

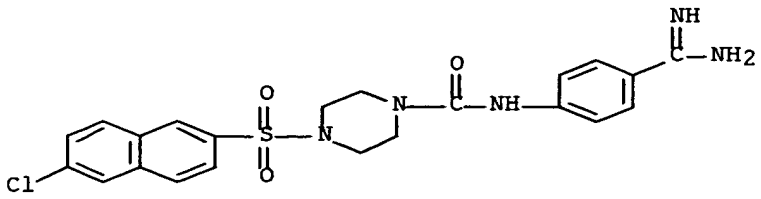
CRN 64-19-7
CMF C2 H4 O2



RN 222544-45-8 CAPLUS
CN 1-Piperazinecarboxamide, N-[4-(aminoiminomethyl)phenyl]-4-[(6-chloro-2-naphthalenyl)sulfonyl]-, monoacetate (9CI) (CA INDEX NAME)

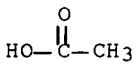
CM 1

CRN 222544-44-7
CMF C22 H22 Cl N5 O3 S



CM 2

CRN 64-19-7
CMF C2 H4 O2

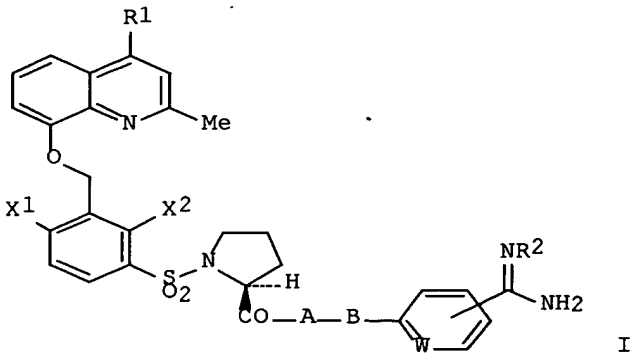


RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 8 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1998:87728 CAPLUS Full-text
DN 128:154381
TI Preparation of N-benzenesulfonyl-L-proline derivatives as bradykinin B2 agonists
IN Dodey, Pierre; Bondoux, Michel; Houziaux, Patrick; Barth, Martine; Ou, Khan
PA Fournier Industrie et Sante, Fr.; Dodey, Pierre; Bondoux, Michel; Houziaux, Patrick; Barth, Martine; Ou, Khan
SO PCT Int. Appl., 72 pp.
CODEN: PIXXD2
DT Patent
LA French
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9803503	A1	19980129	WO 1997-FR1377	19970723 <--
	W: AU, BG, BR, CA, CN, CZ, EE, HU, IL, JP, KR, MX, NO, NZ, PL, RO, RU, SG, SK, TR, UA, US, VN				
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	FR 2751650	A1	19980130	FR 1996-9327	19960724 <--
	FR 2751650	B1	19981009		
	CA 2261743	A1	19980129	CA 1997-2261743	19970723 <--
	AU 9738536	A	19980210	AU 1997-38536	19970723 <--
	EP 925295	A1	19990630	EP 1997-935612	19970723 <--
	EP 925295	B1	20011004		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, RO				
	JP 2000514818	T	20001107	JP 1998-506663	19970723 <--
	AT 206419	T	20011015	AT 1997-935612	19970723 <--
	PT 925295	T	20020328	PT 1997-935612	19970723 <--
	ES 2167768	T3	20020516	ES 1997-935612	19970723 <--
	PL 186396	B1	20040130	PL 1997-331347	19970723
	US 6071917	A	20000606	US 1999-230334	19990125 <--
PRAI	FR 1996-9327	A	19960724		
	WO 1997-FR1377	W	19970723		

OS MARPAT 128:154381
GI



I

AB N-benzenesulfonyl-L-proline derivs. I [X1, X2 = halo, alkoxy; R1 = H, trifluoroalkyl, alkyl; R2 = H, OH; A = NR3(CH2)n (R3 = H, Me and n = 0-3), 1,4-piperazinediyl, hexahydro-1,4-diazepine-1,4-diyl, NH(CH2)nCH(CH2CH2)2N [n = 0-3, CH(CH2CH2)2N = 1,4-piperazinediyl]; B = bond, CO, COCH2, COCH2O, COCH:CH, SO2; W = CH, N] or their salts were prepared as bradykinin B2 agonists. Thus, I.2HCl (X1 = X2 = Cl, R1 = Me, R2 = H, A = NHCH2, B = bond, W = CH; the amidino group is in the 3-position) was prepared from N-[[3-[(2,4-dimethylquinolin-8-yl)oxymethyl]-2,4-dichlorophenyl]sulfonyl]-L-proline by sequential reaction with H2S, MeI, NH4OAc, and HCl. The product inhibited binding of [3H] bradykinin to the B2 receptor in guinea pigs (100% activity).

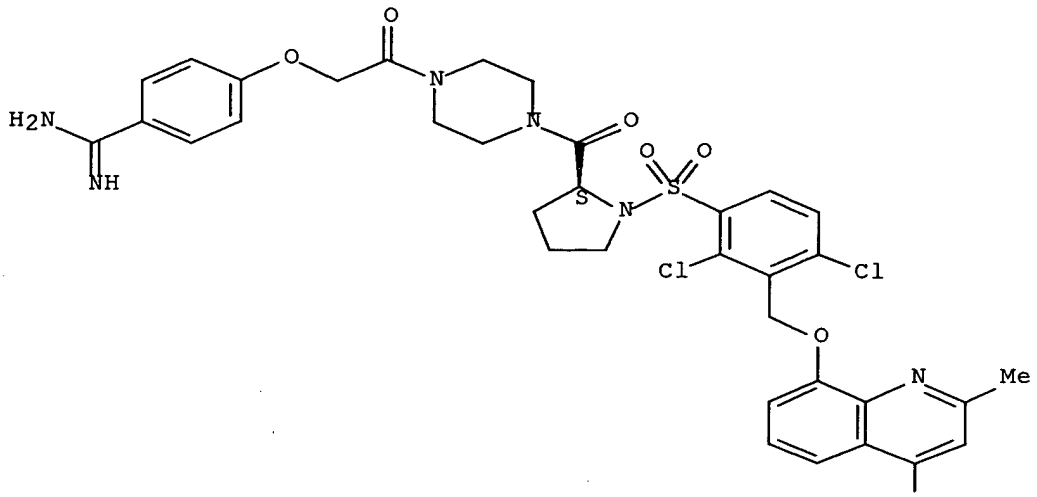
IT 202602-40-2P 202602-44-6P 202602-49-1P
202602-52-6P 202602-53-7P 202602-56-0P
202602-62-8P 202602-66-2P 202720-61-4P
202720-64-7P 202720-67-0P 202720-69-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzenesulfonylproline derivs. as bradykinin B2 agonists)

RN 202602-40-2 CAPLUS

CN Piperazine, 1-[[4-(aminoiminomethyl)phenoxy]acetyl]-4-[[(2S)-1-[[2,4-dichloro-3-[[(2,4-dimethyl-8-quinolinyl)oxy]methyl]phenyl]sulfonyl]-2-pyrrolidinyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

PAGE 1-A

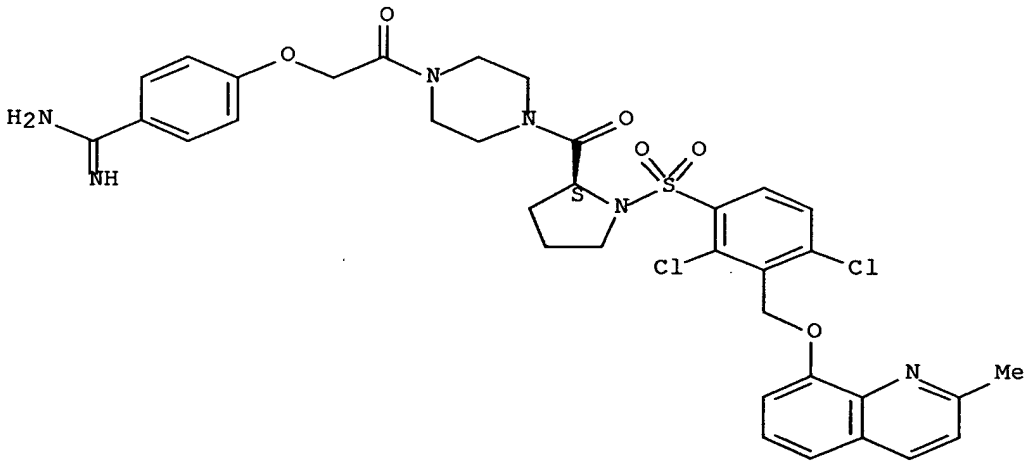


PAGE 2-A

Me

RN 202602-44-6 CAPLUS
CN Piperazine, 1-[[4-(aminoiminomethyl)phenoxy]acetyl]-4-[[(2S)-1-[[2,4-dichloro-3-[[(2-methyl-8-quinolinyl)oxy]methyl]phenyl]sulfonyl]-2-pyrrolidinyl]carbonyl]- (9CI) (CA INDEX NAME)

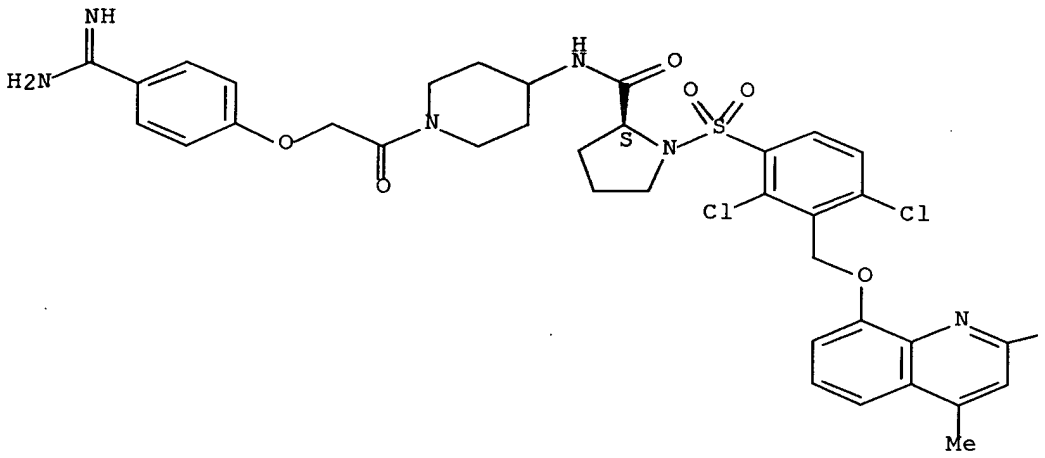
Absolute stereochemistry. Rotation (-).



RN 202602-49-1 CAPLUS
CN 2-Pyrrolidinecarboxamide, N-[1-[[4-(aminoiminomethyl)phenoxy]acetyl]-4-piperidinyl]-1-[[2,4-dichloro-3-[[(2,4-dimethyl-8-quinolinyl)oxy]methyl]phenyl]sulfonyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

Me

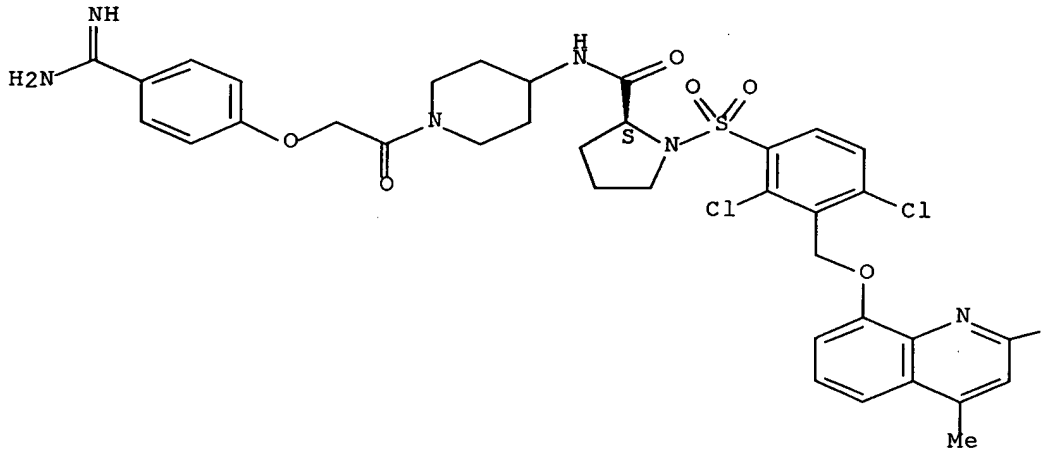
RN 202602-52-6 CAPLUS
CN 2-Pyrrolidinecarboxamide, N-[1-[[4-(aminoiminomethyl)phenoxy]acetyl]-4-piperidinyl]-1-[[2,4-dichloro-3-[[(2,4-dimethyl-8-quinolinyl)oxy]methyl]phenyl]sulfonyl]-, (S)-, dimethanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 202602-49-1
CMF C37 H40 Cl2 N6 O6 S

Absolute stereochemistry.

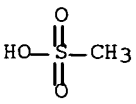
PAGE 1-A



PAGE 1-B

CM 2

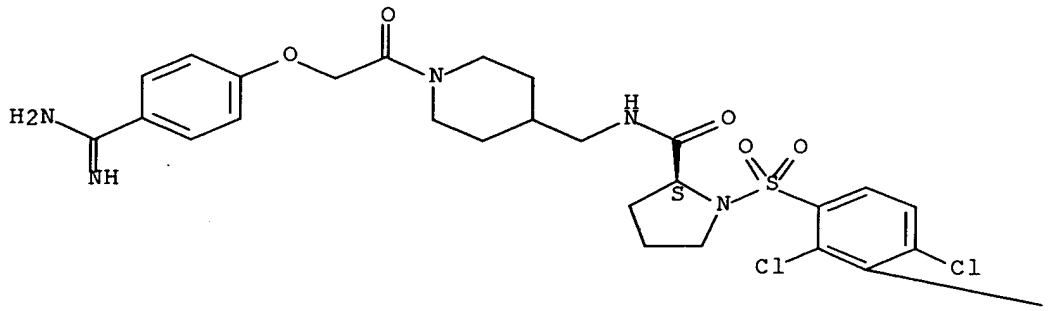
CRN 75-75-2
CMF C H4 O3 S



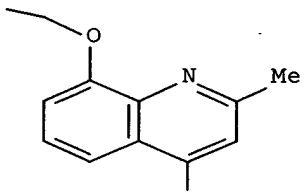
RN 202602-53-7 CAPLUS
CN 2-Pyrrolidinecarboxamide, N-[[1-[[4-(aminoiminomethyl)phenoxy]acetyl]-4-piperidinyl]methyl]-1-[[2,4-dichloro-3-[[(2,4-dimethyl-8-quinolinyl)oxy]methyl]phenyl]sulfonyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



PAGE 2-B

Me

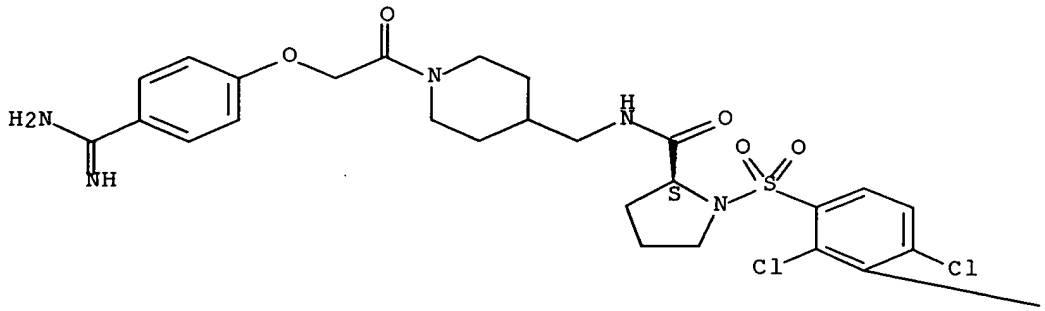
RN 202602-56-0 CAPLUS
CN 2-Pyrrolidinecarboxamide, N-[[1-[[4-(aminoiminomethyl)phenoxy]acetyl]-4-piperidinyl]methyl]-1-[[2,4-dichloro-3-[[(2,4-dimethyl-8-quinolinyl)oxy]methyl]phenyl]sulfonyl]-, (S)-, dimethanesulfonate (9CI) (CA INDEX NAME)

CM 1

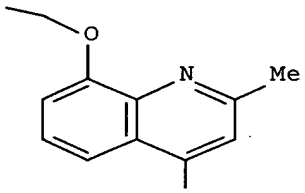
CRN 202602-53-7
CMF C38 H42 Cl2 N6 O6 S

Absolute stereochemistry.

PAGE 1-A



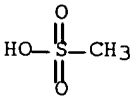
PAGE 1-B



PAGE 2-B

CM 2

CRN 75-75-2
CMF C H4 O3 S

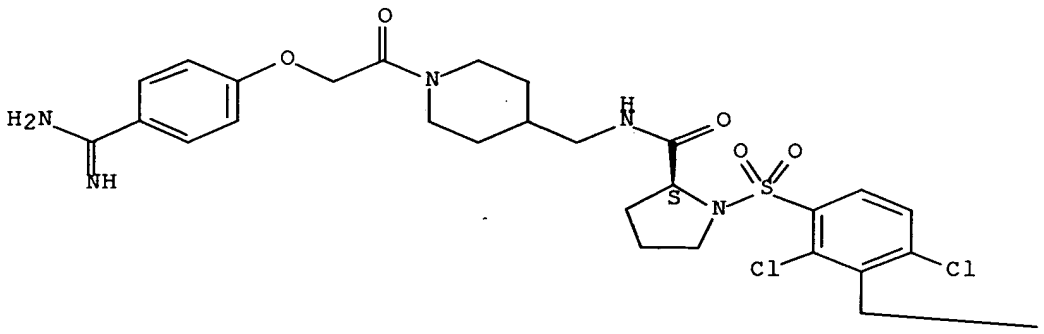


RN 202602-62-8 CAPLUS

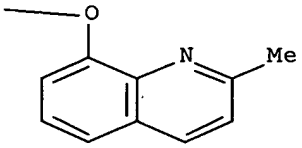
CN 2-Pyrrolidinecarboxamide, N-[[1-[[4-(aminoiminomethyl)phenoxy]acetyl]-4-piperidinyl]methyl]-1-[[2,4-dichloro-3-[[(2-methyl-8-quinolinyl)oxy]methyl]phenyl]sulfonyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

PAGE 1-A



PAGE 1-B

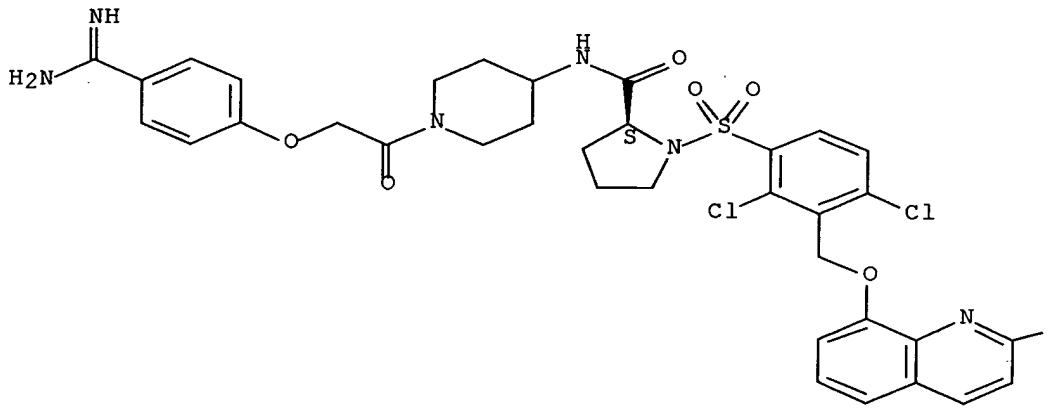


RN 202602-66-2 CAPLUS

CN 2-Pyrrolidinecarboxamide, N-[1-[[4-(aminoiminomethyl)phenoxy]acetyl]-4-piperidinyl]-1-[[2,4-dichloro-3-[[(2-methyl-8-quinolinyl)oxy]methyl]phenyl]sulfonyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

PAGE 1-A



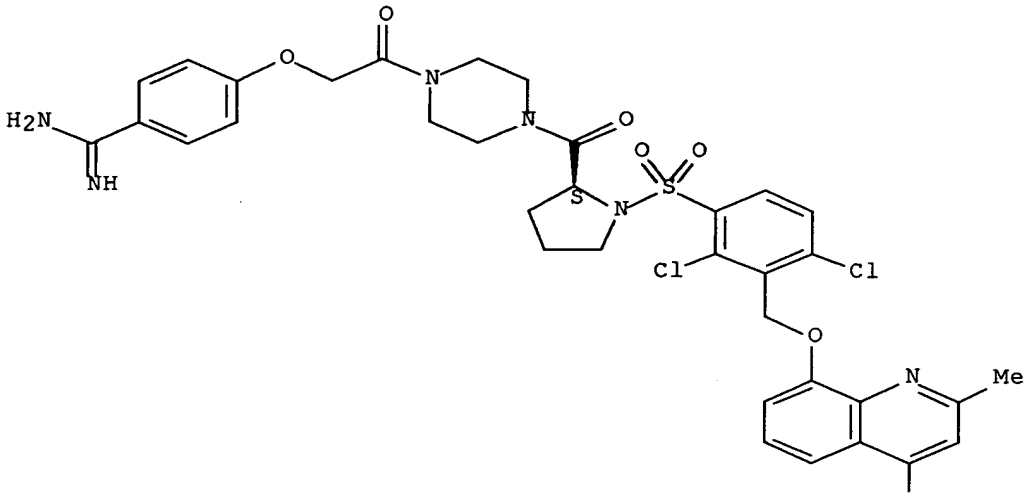
PAGE 1-B

Me

RN 202720-61-4 CAPLUS
CN Piperazine, 1-[[4-(aminoiminomethyl)phenoxy]acetyl]-4-[[1-[[2,4-dichloro-3-[[(2,4-dimethyl-8-quinolinyl)oxy]methyl]phenyl]sulfonyl]-2-pyrrolidinyl]carbonyl]-, dihydrochloride, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

PAGE 1-A



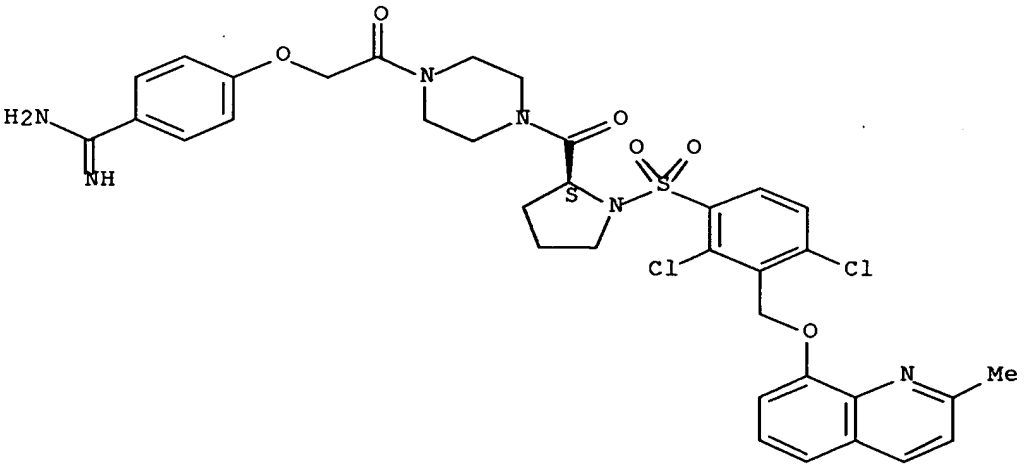
PAGE 2-A

●2 HCl

RN 202720-64-7 CAPLUS
CN Piperazine, 1-[[4-(aminoiminomethyl)phenoxy]acetyl]-4-[[1-[[2,4-dichloro-3-[[(2-methyl-8-quinolinyl)oxy]methyl]phenyl]sulfonyl]-2-pyrrolidinyl]carbonyl]-, dihydrochloride, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

PAGE 1-A



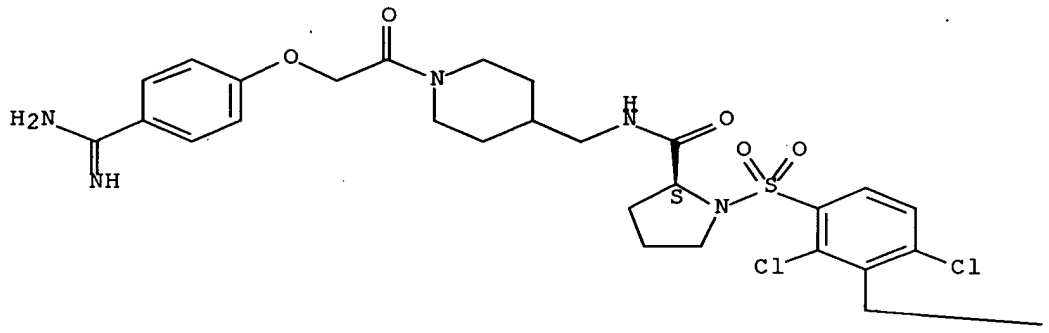
PAGE 2-A

●2 HCl

RN 202720-67-0 CAPLUS
CN 2-Pyrrolidinecarboxamide, N-[[1-[[4-(aminoiminomethyl)phenoxy]acetyl]-4-piperidinyl]methyl]-1-[[2,4-dichloro-3-[[(2-methyl-8-quinolinyl)oxy]methyl]phenyl]sulfonyl]-, dihydrochloride, (S)- (9CI) (CA INDEX NAME)

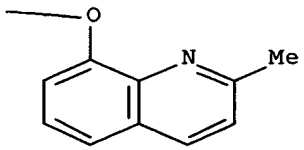
Absolute stereochemistry. Rotation (-).

PAGE 1-A



PAGE 1-B

●2 HCl



RN 202720-69-2 CAPLUS
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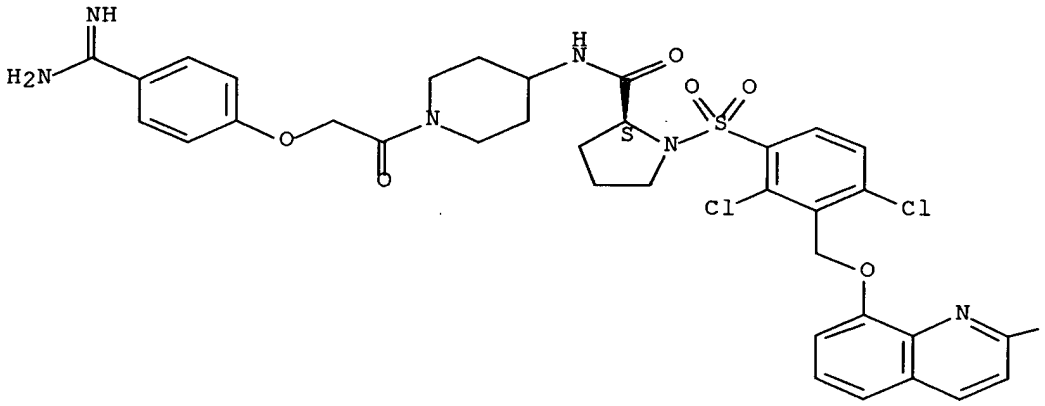
Absolute stereochemistry. Rotation (-).

PAGE 1-A



PAGE 1-B

●2 HCl

Me

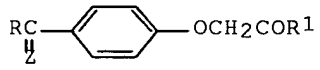
RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 9 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1996:644905 CAPLUS Full-text
DN 125:292448
TI Novel aromatic urea derivatives with DNA-binding ability
AU Fukutomi, Ryuuta; Kagechika, Hiroyuki; Hashimoto, Yuichi; Shudo, Koichi
CS Fac. Pharmaceutical Sciences, Univ. Tokyo, Tokyo, 113, Japan
SO Chemical & Pharmaceutical Bulletin (1996), 44(10), 1983=1985
CODEN: CPBTAL; ISSN: 0009-2363
PB Pharmaceutical Society of Japan
DT Journal
LA English
AB Several arom. urea derivs. were designed and synthesized as DNA-targeting agents. N,N'-Dimethyl-N,N'-bis[(4-amidylphenyl)aminocarbonyl]-2,6-diaminopyridine (1)and 1,3-bis[5-(glycylamino)pyrid-2-yl]urea (3) showed remarkable DNA-binding abilities as determined by ultrafiltration assay using calf thymus DNA, their potencies being equal to and half that of netropsin,

	JP 51009745	B	19760330	JP 1973-122125	19731030 <--
	SE 7504490	A	19750418	SE 1975-4490	19750418 <--
	SE 414497	B	19800804		
	SE 414497	C	19801120		
	US 4058552	A	19771115	US 1975-600127	19750729 <--
	US 4233298	A	19801111	US 1977-829964	19770901 <--
	NL 7900613	A	19790531	NL 1979-613	19790125 <--
PRAI	CH 1969-1517	A	19690131		
	CH 1969-13022	A	19690828		
	US 1970-8071	A2	19700202		
	US 1973-326188	A2	19730124		
	US 1975-600127	A3	19750729		

OS MARPAT 88:22426

GI



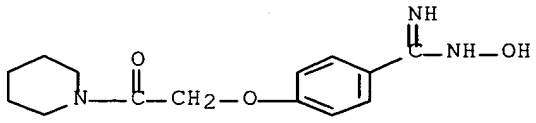
I, Z=NOH
II, Z=O

AB The title compds. I (R = H, Me, CH2Br; R1 = piperidino, morpholino, 4-methylpiperidino, 4-(chlorophenyl-1-piperazinyl, hexamethyleneimino, Et2NCH2CH2NH, OH, OEt) were prepared in 50-70% yields by refluxing the corresponding carbonyl compds. II with H2NOH.HCl and Na2CO3 in EtOH. II (R1 as above) were prepared from the esters II (R1 = OMe or OEt) or acid chlorides II (R1 = Cl). I (R = H, Me; R1 = NHOH) were prepared in 50-5% yields by refluxing NH2OH.HCl and Na in EtOH with 1 g-mol I (R = H,Me; R1 = OEt) or 0.5 g-mol II (R's the same). I are antitussives. Thus, I (R = Me, R1 = morpholino) had ED50 □LD50 of 0.040 (mouse), whereas that for codeine was 0.136.

IT 29936-90-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 29936-90-1 CAPLUS

CN Piperidine, 1-[[4-[(hydroxyamino)iminomethyl]phenoxy]acetyl]- (9CI) (CA INDEX NAME)



L8 ANSWER 20 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1971:3409 CAPLUS Full-text

DN 74:3409

TI Phenoxyalkylcarboxylic acid derivatives

IN Mieville, Andre

PA Orchimed S. A.

SO Ger. Offen., 29 pp.
CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2003430	A	19700903	DE 1970-2003430	19700127 <--
	DE 2003430	C3	19781207		
	CH 515873	A	19711130	CH 1969-1517	19690131 <--
	CH 543472	A	19731214	CH 1969-13022	19690828 <--
	FR 2035821	A5	19701224	FR 1969-39954	19691120 <--
	FR 2035821	B1	19740809		
	BE 742484	A	19700514	BE 1969-742484	19691201 <--
	GB 1268321	A	19720329	GB 1970-1268321	19700122 <--
	ES 376051	A1	19720516	ES 1970-376051	19700130 <--
	BR 7016496	D0	19730412	BR 1970-216496	19700130 <--
	JP 50000023	B	19750106	JP 1970-8055	19700130 <--
	CA 960670	A1	19750107	CA 1970-73559	19700130 <--
	SE 385872	B	19760726	SE 1970-1206	19700130 <--
	NL 7001424	A	19700804	NL 1970-1424	19700131 <--
	US 3914286	A	19751021	US 1970-8071	19700202 <--
	US 3907792	A	19750923	US 1973-326188	19730124 <--
	JP 51009745	B	19760330	JP 1973-122125	19731030 <--
	SE 7504490	A	19750418	SE 1975-4490	19750418 <--
	SE 414497	B	19800804		
	SE 414497	C	19801120		
	US 4058552	A	19771115	US 1975-600127	19750729 <--
	US 4233298	A	19801111	US 1977-829964	19770901 <--
	NL 7900613	A	19790531	NL 1979-613	19790125 <--
PRAI	CH 1969-1517	A	19690131		
	CH 1969-13022	A	19690828		
	US 1970-8071	A2	19700202		
	US 1973-326188	A2	19730124		
	US 1975-600127	A3	19750729		

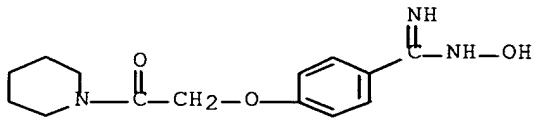
GI For diagram(s), see printed CA Issue.

AB The title, neurotropic and antiinflammatory compds. (I) were prepd. from a phenol and an ω-halo acid or ester. Thus, 4-PrCOC6H4OH and ClCMe2CO2H was refluxed 8 hr in aqueous NaOH to give 65% I (X = O, R = Pr, R1 = R2 = Me, R3 = H, n = 0). Approx. 25 analogs of I were prepared including I (R = R1 = R2 = H, X = :NOH, R3 = morpholino, n = 0) and I (R = R1 = R2 = H, X = :NOH, R3 = NHOH, n = 0).

IT 29936-90-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 29936-90-1 CAPLUS

CN Piperidine, 1-[[4-[(hydroxyamino)iminomethyl]phenoxy]acetyl]- (9CI) (CA INDEX NAME)



L8 ANSWER 21 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1961:143947 CAPLUS Full-text

DN 55:143947

OREF 55:27217a-f

TI Amidines

IN Sharp, Thomas Marvel; Solomon, William
PA Wellcome Foundation Ltd.
DT Patent
LA Unavailable
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 868552		19610517	GB	<--

AB The title compds. and their acid addn. salts, active against amoebiasis in exptl. animals, are prepared by standard reactions. Thus, 1 mole Na salt of p-HOC6- H4CN in 2250 ml. alc. and 350 ml. H2O is treated at reflux 6 hrs. with 1.99 equivs. 1,10-dibromodecane (I). After removal of most of the alc., water is added and the product extracted with 3 l. Et2O. The extract is dried (Na2SO4), the Et2O evaporated, the residue distilled to vapor temperature 200°/2.5 mm. to remove unreacted I, and the crude p-(10-bromodecyloxy)benzonitrile (201 g.) in 500 cc. alc. treated with 100 cc. Et2NH at reflux 6 hrs. The resultant p-(10- diethylaminodecyloxy)benzonitrile (II) is separated from nonbasic impurities by conventional acid-base ether extraction techniques and recrystd. as the HCl salt from acetone. Dry II.HCl (95 g.) is dissolved in 100 cc. absolute EtOH, the solution saturated with HCl at 20°, after removal of volatiles the residue dissolved in 100 cc. EtOH at 5°, mixed with 400 cc absolute EtOH saturated with dry NH3 at 20°, cooled to 5°, the mixture resatd. with dry NH3, kept at 20° 65 hrs., nearly all volatile material removed at 20°, the residue treated with 2.5 l. H2O containing excess NaOH, extracted with 1 l. Et2O, the extract dried, and the ether removed to give p-(10-diethylaminodecyloxy)benzamidine; monosulfate m. 224-9° (EtOH-H2O). Similarly are prepared substituted benzamidines (substituent and m.p. of sulfate given): p-Et2N(CH2)6O, 249°; p-Et2N(CH2)7O, 226-31°; p-Et2N(CH2)8O, 221-7°; p-Et2N(CH2)9O, 231-3°; p-Et2N(CH2)12O, 224-7°; p-EtNH(CH2)7O, 271°; p-PrNH- (CH2)7O, 279-87°; p-Pr2N(CH2)7O, .simeq.,200°; p-BuNH(CH2)7O, 280-7°; p-iso-BuNH(CH2)7O, 280-5°; p-(7-piperidinoheptyloxy), 270-3°; p-(7-morpholinoheptyloxy), 229-33°; p-Me2N(CH2)8O, 215-20°; p-CH2:CHCH2NH- (CH2)8O, 218-23°; p-Bu2N(CH2)8O, 160-5°; p-(8-piperazinoctyloxy), 241-53°; p-[8-(N'-benzhydrylpiperazino)octyloxy], indefinite; 3-Me, 4-Et2N(CH2)10O, 215-19°; 3-Me, 4-Et2N(CH2)9O, 223-7°; 3-Cl, 4-Et2N(CH2)8,O, 199202°; 3-Cl, 4-Et2N(CH2)9O, 210-13°; 3-Br, 4-Et2N- (CH2)10O, 179-85°; 3-MeO, 4-Et2N(CH2)7O, 262-70°; 3-MeO, 4-Et2N(CH2)8O, 219-22°; 3-MeO, 4-Et2N(CH2)9O, 223-8°; 3-MeO, 4-Et2N(CH2)10O, 227-33°; p-Me2N(CH2)10O, 186-92°; p-EtNH(CH2)10O, 194-200°; p-Pr2N(CH2)10O, 181-6°; p-(10-pyrrolidinodecyloxy), 215-28°; p-(10-morpholinodecyloxy), 160-78°. Also prepared were 6(7-diethylaminoheptyloxy)-2- naphthamidine sulfate, m.258-62°, 6-(10-diethylaminodecyloxy)-2-naphthamidine sulfate, m. 232-4°, and 3,5-dimethyl-4-(10-diethylaminodecyloxy)- benzamidine di-HCl salt m. 160-5° (acetone).

IT 113011-73-7P, Benzamidine, p-[10-(1-pyrrolidinyl)decyloxy]-, sulfate 115145-37-4P, Benzamidine, p-[8-(1-piperazinyl)octyloxy]-, sulfate 115163-83-2P, Benzamidine, p-(7-piperidinoheptyloxy)-, sulfate 122360-23-0P, Benzamidine, p-[8-(4-diphenylmethyl-1-piperazinyl)octyloxy]-, sulfate
RL: PREP (Preparation)
(preparation of)

RN 113011-73-7 CAPLUS
CN Benzamidine, p-[10-(1-pyrrolidinyl)decyloxy]-, sulfate (6CI) (CA INDEX NAME)

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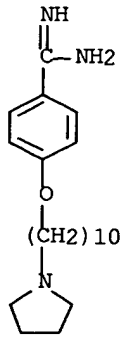
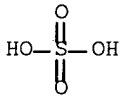
CRN 113011-72-6
CMF C21 H35 N3 O



CM 2

CRN 7664-93-9
CMF H2 O4 S



RN 115145-37-4 CAPLUS
CN Benzamidine, p-[8-(1-piperazinyl)octyloxy]-, sulfate (6CI) (CA INDEX NAME)

CM 1

CRN 115145-36-3
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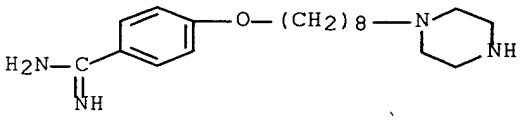
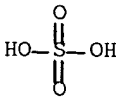


CM 2

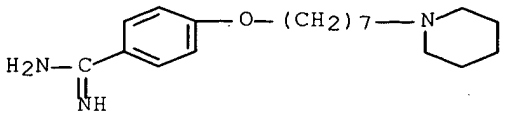
CRN 7664-93-9
CMF H2 O4 S



RN 115163-83-2 CAPLUS
CN Benzamidine, p-(7-piperidinoheptyloxy)-, sulfate (6CI) (CA INDEX NAME)

CM 1

CRN 115163-82-1
CMF C19 H31 N3 O



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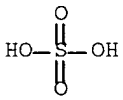
CRN 7664-93-9
CMF H2 O4 S



RN 122360-23-0 CAPLUS
CN Benzamidine, p-[8-(4-diphenylmethyl-1-piperazinyl)octyloxy]-, sulfate (6CI) (CA INDEX NAME)

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CRN 122360-22-9
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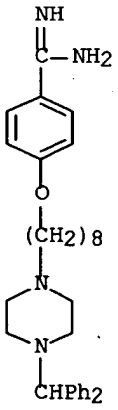
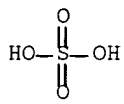


CM 2

CRN 7664-93-9
CMF H2 O4 S



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L1 STRUCTURE UPLOADED
L2 15 S L1 SAM
L3 249 S L1 FULL
L4 STRUCTURE UPLOADED
L5 12 S L4 SAM
L6 300 S L4 FULL

FILE 'CAPLUS' ENTERED AT 11:36:40 ON 12 FEB 2007
L7 31 S L6
L8 21 S L7 AND PD< MAR 2003

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L9 10 L7 NOT L8

=> s l3
L10 55 L3

=> s l10 and pd<mar 2003
23623826 PD<MAR 2003
(PD<20030300)
L11 48 L10 AND PD<MAR 2003

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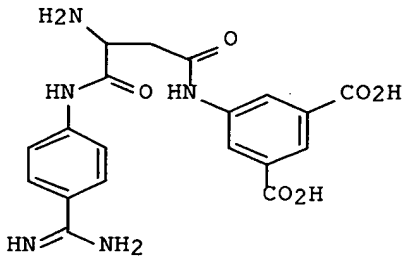
L11 ANSWER 1 OF 48 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2002:640628 CAPLUS Full-text
DN 137:135063
TI Prourokinase and urokinase affinity ligands
IN Li, Rongxiu; Zhou, Xianwan; Xiao, Nengqing; Liu, Hailan; Wang, Shujing
PA Zhonglu Bio-Engineering Co., Ltd., Peop. Rep. China
SO Faming Zhuanli Shenqing Gongkai Shuomingshu, 16 pp.
CODEN: CNXXEV
DT Patent
LA Chinese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	CN 1298869	A	20010613	CN 1999-124231	19991209 <--
	CN 1100036	B	20030129		
PRAI	CN 1999-124231		19991209		

OS MARPAT 137:135063
GI



I

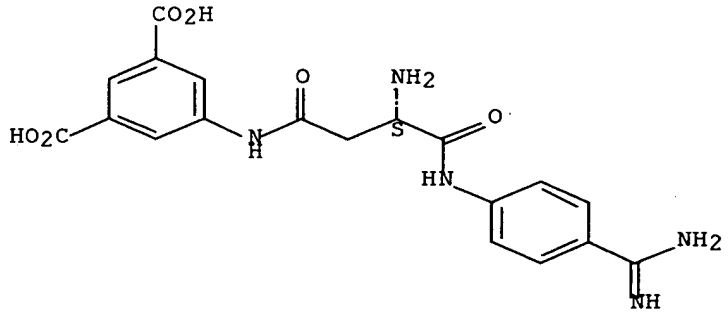
AB Compns. such as I, 5-[3-amino-5-(4-amidinobenzyl)cyclohexylmethyl]isophtha lic acid, 5-[4-chloro-6-(4-amidinophenylamino)-s-triazine-2- ylamino]isophthalic acid, or 5-[3-amino-5-(4-amidinophenyl)pentyl]isophtha lic acid were prepared The inhibitory effect of the compds. on urokinase activity is studied. The compds. are used as affinity ligand for purification of human prourokinase or urokinase or as antitumor agent.

IT 436084-42-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prourokinase and urokinase affinity ligands)

RN 436084-42-3 CAPLUS

CN 1,3-Benzenedicarboxylic acid, 5-[[(3S)-3-amino-4-[[4-(aminoiminomethyl)phenyl]amino]-1,4-dioxobutyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L11 ANSWER 2 OF 48 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2002:484891 CAPLUS Full-text

DN 137:32954

TI Synthesis of affinity ligand PU07 used for pro-urokinase and urokinase purification and inhibition

IN Li, Rongxiu; Zhou, Xianwan; Xiao, Nengqing

PA Shanghai Biology Engineering Research Center, Chinese Academy of Sciences, Peop. Rep. China

SO Faming Zhuanli Shenqing Gongkai Shuomingshu, 12 pp.
CODEN: CNXXEV

DT Patent
LA Chinese
FAN.CNT 1

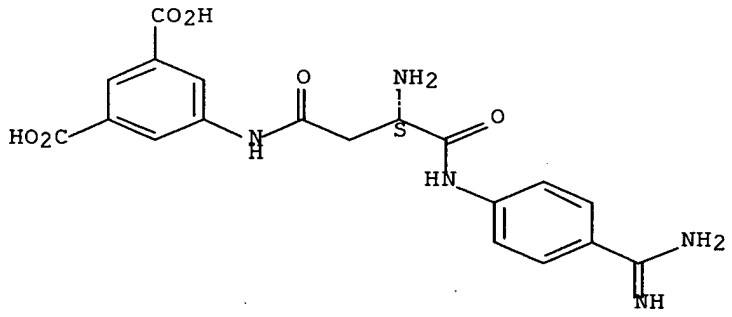
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	CN 1301694	A	20010704	CN 1999-125745	19991224 <--
PRAI	CN 1999-125745		19991224		

AB The affinity ligand is the org. compd. contg. alk. group (R1) and two acid groups (R2 and R3). The alkaline group is amino, substituted amino, amidino, or substituted amidino. The acid group is carboxyl, sulfo group, or phosphoric group. The affinity ligand is preferably 5-[3-amino-4-(4-amidinophenylamino)-4-oxobutanoylamino]isophthalic acid, 5-[3-amino-5-(4-amidinobenzyl)cyclohexylmethyl]isophthalic acid, or 5-[3-amino-5-(4-amidinophenyl)pentyl]isophthalic acid,. Affinity ligand is prepared by reacting N2- Boc-aspartic acid with acetic anhydride, 4-amino benzamidine, 4-nitrophenol, 5-aminoisophthalic acid, and trifluoroacetic acid, sequentially. The affinity ligand is used as inhibitor for prourokinase or urokinase and for preparation of high-purity prourokinase or urokinase.

IT 436084-42-3DP, conjugates with agarose
RL: BSU (Biological study, unclassified); NUU (Other use, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis of affinity ligand PU07 used for pro-urokinase and urokinase purification and inhibition)

RN 436084-42-3 CAPLUS
CN 1,3-Benzenedicarboxylic acid, 5-[[(3S)-3-amino-4-[[4-(aminoiminomethyl)phenyl]amino]-1,4-dioxobutyl]amino]- (9CI) (CA INDEX NAME)

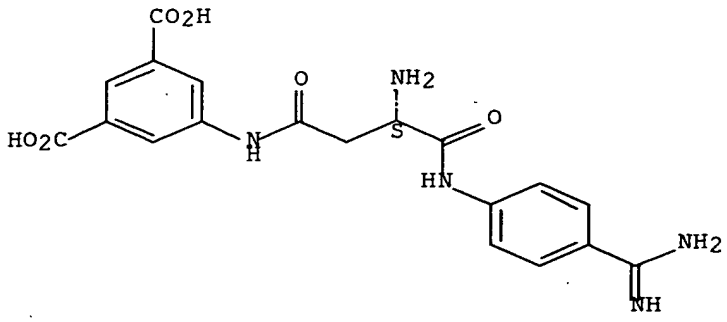
Absolute stereochemistry.



IT 436084-42-3P
RL: BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of affinity ligand PU07 used for pro-urokinase and urokinase purification and inhibition)

RN 436084-42-3 CAPLUS
CN 1,3-Benzenedicarboxylic acid, 5-[[(3S)-3-amino-4-[[4-(aminoiminomethyl)phenyl]amino]-1,4-dioxobutyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



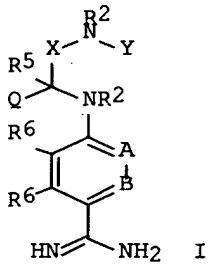
L11 ANSWER 3 OF 48 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2000:493304 CAPLUS Full-text
DN 133:101383
TI Chemical synthesis of serine protease inhibitors and their use to treat clotting disorders
IN Aliagas-Martin, Ignacio; Artis, Dean R.; Dina, Michael S.; Flygare, John A.; Goldsmith, Richard A.; Munroe, Regina A.; Olivero, Alan G.; Pastor, Richard; Rawson, Thomas E.; Robarge, Kirk D.; Sutherlin, Daniel P.; Weese, Kenneth J.; Zhou, Zihe; Zhu, Yan
PA Genentech, Inc., USA
SO PCT Int. Appl., 123 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000041531	A2	20000720	WO 2000-US673	20000111 <--
	WO 2000041531	A3	20010816		
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2356934	A1	20000720	CA 2000-2356934	20000111 <--
	EP 1144373	A2	20011017	EP 2000-911572	20000111 <--
	EP 1144373	A3	20020102		
	EP 1144373	B1	20051019		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	HU 200105077	A2	20020529	HU 2001-5077	20000111 <--
	JP 2002534449	T	20021015	JP 2000-593153	20000111 <--
	NZ 512736	A	20031128	NZ 2000-512736	20000111
	AU 771776	B2	20040401	AU 2000-33451	20000111
	RU 2252935	C2	20050527	RU 2001-121197	20000111
	AT 307111	T	20051115	AT 2000-911572	20000111
	ES 2251363	T3	20060501	ES 2000-911572	20000111
	US 6472393	B1	20021029	US 2000-509104	20000321 <--
	ZA 2001005268	A	20020626	ZA 2001-5268	20010626 <--
	NO 2001003462	A	20010912	NO 2001-3462	20010712 <--
	US 2003212071	A1	20031113	US 2002-224114	20020819
	US 6919369	B2	20050719		

	US 2005186198	A1	20050825	US 2005-88511	20050324
PRAI	US 1999-115772P	P	19990113		
	US 1999-152029P	P	19990901		
	WO 2000-US673	W	20000111		
	US 2000-509104	A1	20000321		
	US 2002-224114	A1	20020819		

OS MARPAT 133:101383

GI



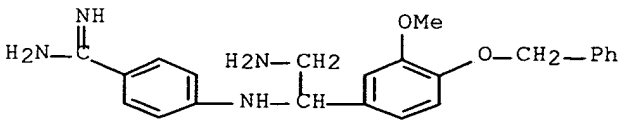
I

AB Compds. having structure I (A,B = CH,CR3, N; X = C:O, (CR4aR4b)m and m = 1,2; Y = S(O)nR1, S(O)nNR2R2, S(O)nOR2 and n = 1,2, or COR1, CSR1, COOR1, CONR2R2; Q,R1 = (substituted)alkyl, aralkyl, heteroalkyl, etc.; R2 = H, (substituted)alkyl, COR7, CNHR7, or the two NR2 groups together form the group NCON; R3 = C1-6-alkyl or -alkoxy, OH; R4a,R5 = H, (substituted)alkyl, alkoxyalkyl, haloalkyl, etc.; R4b = H, (substituted)alkyl; R6 = H, C1-6-alkyl or -alkyl-OR7, etc.; R7 = H, C1-6-alkyl) are useful to inhibit serine protease enzymes, such as TF/factor VIIa, factor Xa, thrombin, and kallikrein. The compds preferably inhibit TF/factor VIIa about 1-3 orders of magnitude better than they inhibit factor Xa, thrombin, and/or kallikrein. These compds. may be used in methods of preventing and/or treating clotting disorders.

IT 284043-50-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(chemical synthesis of serine protease inhibitors and their use to treat clotting disorders)

RN 284043-50-1 CAPLUS

CN Benzenecarboximidamide, 4-[[2-amino-1-[3-methoxy-4-(phenylmethoxy)phenyl]ethyl]amino]- (9CI) (CA INDEX NAME)



L11 ANSWER 4 OF 48 CAPLUS COPYRIGHT 2007 ACS on STN

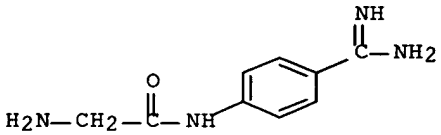
AN 1999:396478 CAPLUS Full-text

DN 131:199996

TI New RGD amphiphilic cyclic peptide and new RGD-mimetic constrained diketopiperazines

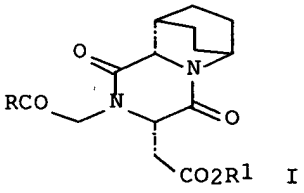
AU Pons, Jean-Francois; Sow, Mamadou; Lamaty, Frederic; Fauchere, Jean-Luc; Molla, Annie; Viallefont, Philippe; Lazaro, Rene

CS LAPP CNRS ESA 5075, Universite Montpellier II, Montpellier, 34095, Fr.
SO Peptides: Frontiers of Peptide Science, Proceedings of the American Peptide Symposium, 15th, Nashville, June 14-19, 1997 (1999), Meeting Date 1997, 176-177. Editor(s): Tam, James P.; Kaumaya, Pravin T. P. Publisher: Kluwer, Dordrecht, Neth.
CODEN: 67UCAR
DT Conference
LA English
AB A symposium with five refs. Prepn. and activity of one diketopiperazine RGD mimetic was given.
IT 240820-46-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of in the synthesis of RGD-mimetic constrained diketopiperazines)
RN 240820-46-6 CAPLUS
CN Acetamide, 2-amino-N-[4-(aminoiminomethyl)phenyl]- (9CI) (CA INDEX NAME)



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 5 OF 48 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1998:282727 CAPLUS Full-text
DN 129:16379
TI A constrained diketopiperazine as a new scaffold for the synthesis of peptidomimetics
AU Pons, Jean-Francois; Fauchere, Jean-Luc; Lamaty, Frederic; Molla, Annie; Lazaro, Rene
CS Laboratoire Aminoacides Peptides Proteines, Universite Montpellier II, Montpellier, F-34095, Fr.
SO European Journal of Organic Chemistry (1998), (5), 853-859
CODEN: EJOCFK; ISSN: 1434-193X
PB Wiley-VCH Verlag GmbH
DT Journal
LA English
GI



I

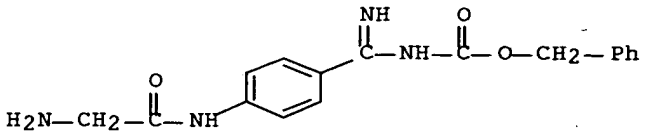
AB As a new scaffold for peptidomimetic synthesis, the highly constrained, bifunctional diketopiperazine I (R = OH, R1 = Me) was prepared by smooth N-

alkylation with BrCH2CO2CMe3. As a first application, the authors describe herein the synthesis of new peptidomimetics of the Arg-Gly-Asp (RGD) sequence. The product I [R = 4-(HN:CNH2)C6H4NHCOCH2NH, R1 = H], which shows a selective platelet-aggregation inhibiting activity, can be used as a lead for the preparation of more potent products.

IT 207726-12-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and platelet-aggregation inhibiting activity of diketopiperazine-based peptidomimetics)

RN 207726-12-3 CAPLUS

CN Carbamic acid, [[4-[(aminoacetyl)amino]phenyl]iminomethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



L11 ANSWER 6 OF 48 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1997:267295 CAPLUS Full-text

DN 126:251170

TI Method for preparing combinatorial libraries of piperazines

IN Goodfellow, Val S.; McLeod, Donald A.; Gerrity, James Ivan; Laudeman, Christopher P.; Burkard, Michael R.

PA Cortech, Inc., USA

SO PCT Int. Appl., 54 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

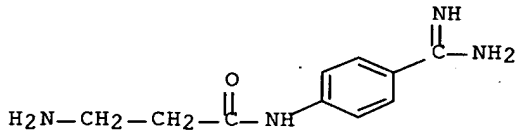
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9710222	A1	19970320	WO 1996-US14569	19960911 <--
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI				
	US 5811241	A	19980922	US 1995-527407	19950913 <--
	AU 9671570	A	19970401	AU 1996-71570	19960911 <--
PRAI	US 1995-527407	A	19950913		
	WO 1996-US14569	W	19960911		

OS MARPAT 126:251170

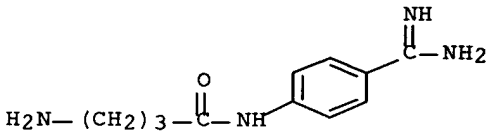
AB Combinatorial libraries of N-substituted 1,4-piperazines and N-substituted 1,4-piperazinediones were prepared for assay in prespecified ligand binding or enzymic activity screens (no data). The piperazines were prepared by reductive alkylation on resin-bound amino acods, followed by bromoacetylation and amination, hydrolytic removal from the resin with cyclization to the piperazinedione, and reduction to the piperazine.

IT 188631-92-7 188631-97-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of combinatorial libraries of piperazinediones and

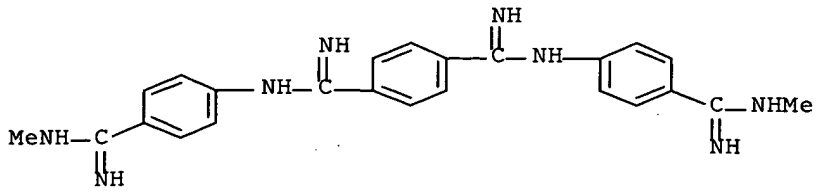
piperazines)
RN 188631-92-7 CAPLUS
CN Propanamide, 3-amino-N-[4-(aminoiminomethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 188631-97-2 CAPLUS
CN Butanamide, 4-amino-N-[4-(aminoiminomethyl)phenyl]- (9CI) (CA INDEX NAME)

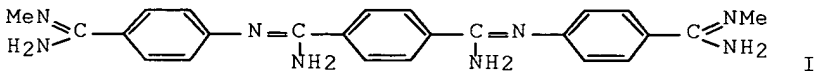


L11 ANSWER 7 OF 48 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1992:143455 CAPLUS Full-text
DN 116:143455
TI Terephthalamidine: past and future
AU Fisherman, Jason S.; Cline, Eileen M.; Plowman, Jacqueline; Quinn, Frank R.; Hawkins, Michael J.
CS Div. Cancer Treat., Natl. Cancer Inst., Bethesda, MD, 20892, USA
SO Investigational New Drugs (1991), 9(4), 295-303
CODEN: INNDDK; ISSN: 0167-6997
DT Journal; General Review
LA English
AB Terephthalamidine is one of 800 terephthalanilides and related compds. which were synthesized and tested preclin. in the late 1950's and early 1960's. Based upon their activity against murine leukemias, some of these agents were tested briefly in clin. trials at that time. Despite the observation of responses, the compds. were dropped because of severe and unusual neurotoxicity. More recently, terephthalamidine has been screened for antitumor activity and chosen for further clin. investigation by the NCI's Project for the Review of Old Drugs (P.R.O.D.) because of its novel structure and spectrum of preclin. activity. The current availability of a plasma assay for the drug permits further study of its clin. pharmacokinetics and pharmacodynamics and, perhaps, the development of improved scheduling strategies.
IT 2053-23-8, NSC 57155
RL: ADV (Adverse effect, including toxicity); BIOL (Biological study)
(antitumor activity and toxicity of)
RN 2053-23-8 CAPLUS
CN 1,4-Benzenedicarboximidamide, N,N''-bis[4-[imino(methylamino)methyl]phenyl]-, tetrahydrochloride (9CI) (CA INDEX NAME)



●4 HCl

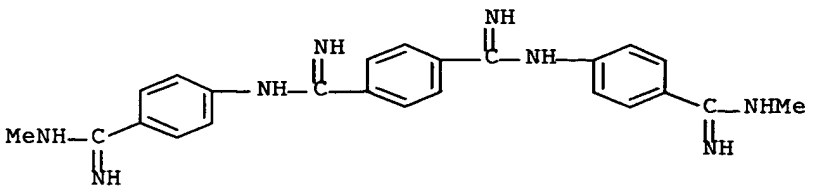
L11 ANSWER 8 OF 48 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1988:179462 CAPLUS Full-text
DN 108:179462
TI High-performance liquid chromatographic determination of terephthalamidine in plasma
AU Waud, William R.; Hill, Donald L.
CS South. Res. Inst., Birmingham, AL, 35255-5305, USA
SO Journal of Chromatography (1988), 425(1), 220-6
CODEN: JOCRAM; ISSN: 0021-9673
DT Journal
LA English
GI



I

AB Terephthalamidine (I) was detd. in mouse plasma by HPLC on a μBondapak C18 column with acetonitrile solvent containing 25 mM Na heptanesulfonate as ion-pairing agent and 14 mM TEA in aqueous solution pH 3.0; detection was achieved at 280 nm. The recovery of terephthalamidine from mouse plasma was 90.3% for concns. of drug from 0.3 to 30 μg/mL. The lower limit of drug quantitation was 0.3 μg/mL of plasma.

IT 2053-23-8
RL: ANT (Analyte); ANST (Analytical study)
(determination of, in plasma by HPLC)
RN 2053-23-8 CAPLUS
CN 1,4-Benzenedicarboximidamide, N,N''-bis[4-[imino(methylamino)methyl]phenyl]-, tetrahydrochloride (9CI) (CA INDEX NAME)

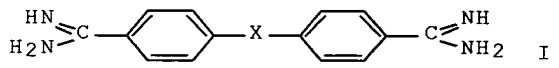


●4 HCl

L11 ANSWER 9 OF 48 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1986:206932 CAPLUS Full-text
DN 104:206932
TI Antiprotozoal diamidines
IN Glazer, Edward A.
PA Pfizer Inc., USA
SO U.S., 13 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 4546113	A	19851008	US 1983-484803	19830414 <--
	US 4624958	A	19861125	US 1985-770328	19850828 <--
	US 4732907	A	19880322	US 1986-889540	19860725 <--
PRAI	US 1983-484803	A3	19830414		
	US 1985-770328	A3	19850828		

OS CASREACT 104:206932; MARPAT 104:206932
GI

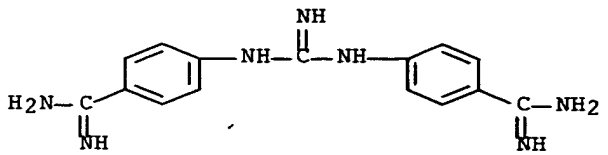


I

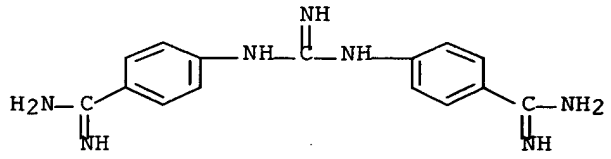
AB Eighteen title compds., including bis(amidinophenyl)propenes I (X = CH2CH:CH, CH2CMe:CH), were prepared Thus, 4-NCC6H4Ac and Me2CO3 were condensed to give 68.6% 4-NCC6H4COCH2CO2Me, which was alkylated by 4-NCC6H4CH2Br to give 53.6% RCOCH(CH2R)CO2Me (R = 4-NCC6H4). Hydrolysis and decarboxylation of the latter gave 71-75% RCOCH2CH2R (R = as given), which was reduced by NaBH4 to give RCH(OH)CH2CH2R. Dehydration of the alc. gave RCH:CHCH2R (II; R = as given), which reacted with EtOH-HCl to give II 2HCl [R = 4-EtOC(:NH)C6H4]). Ammonolysis of the imidate with NH3-EtOH gave I (X = CH:CHCH2; III) as the dihydrochloride. At 50 mg/kg s.c. in lethally infected mice, III gave ≥80% protection against Trypanosome congolense and Babesia rodhaini.

IT 80498-63-1P 101341-00-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of, as protozoacide)

RN 80498-63-1 CAPLUS
CN Benzenecarboximidamide, 4,4'-(carbonimidoyldiimino)bis- (9CI) (CA INDEX NAME)

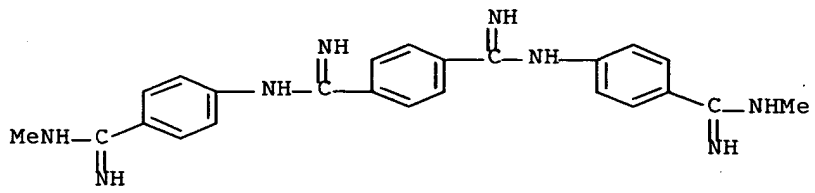


RN 101341-00-8 CAPLUS
CN Benzenecarboximidamide, 4,4'-(carbonimidoyldiimino)bis-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

L11 ANSWER 10 OF 48 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1986:161460 CAPLUS Full-text
DN 104:161460
TI Toxicities derived from anti-tumor screening data
AU Quinn, Frank R.; Milne, George W. A.
CS Div. Cancer Treat., Natl. Cancer Inst., Bethesda, MD, 20892, USA
SO Fundamental and Applied Toxicology (1986), 6(2), 270-7
CODEN: FAATDF; ISSN: 0272-0590
DT Journal
LA English
AB The National Cancer Institute, in its search for effective anticancer agents, has determined quant. as well as qual. toxicities for a large number of chems. Probit anal. was used to derive lethalities (LD50s) from data obtained in the process of testing anti-cancer agents in mice. These data were compared with those derived from testing those same agents in normal mice and it was found that a correlation exists between the 2 toxicities. Toxicities derived from NCI testing in normal animals were compared with published values and a similar correlation was found. LD50s were derived for all compds. tested in normal mice as well as those tested in mice bearing L1210 and P388 lymphocytic leukemias. Over 32,000 LD50s were derived for 22,597 unique compds.
IT 2053-23-8
RL: PRP (Properties)
(toxicity of, to normal and tumor-bearing mice)
RN 2053-23-8 CAPLUS
CN 1,4-Benzenedicarboximidamide, N,N''-bis[4-[imino(methylamino)methyl]phenyl]-, tetrahydrochloride (9CI) (CA INDEX NAME)

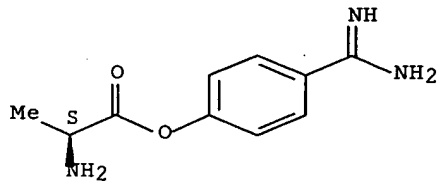


●4 HCl

L11 ANSWER 11 OF 48 CAPLUS COPYRIGHT 2007 ACS on STN

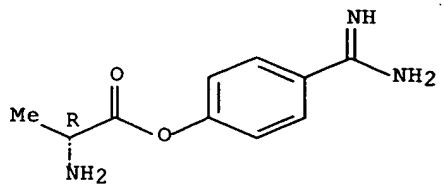
AN 1985:592059 CAPLUS Full-text
DN 103:192059
TI Development of "inverse substrates" for trypsin. Application to the studies on the structure and function of enzyme and to the design for biologically active compounds
AU Tanizawa, Kazutaka
CS Fac. Pharm. Sci., Hokkaido Univ., Sapporo, 060, Japan
SO Yakugaku Zasshi (1985), 105(5), 430-41
CODEN: YKKZAJ; ISSN: 0031-6903
DT Journal
LA Japanese
AB Esters of p-amidinophenol undergo efficient and specific tryptic hydrolysis. These esters are characterized by their linkage, i.e., the site-specific groups for the enzyme (charged amidinium) is not involved in their carbonyl groups, but in the leaving portion. Thus, these esters are named inverse substrates with respect to their structure and kinetic properties. Also, these esters are hydrolyzed by various proteases which show trypsin-like specificity. A facile procedure for the preparation of acyl-enzymes carrying nonspecific residue is described, and the potential usefulness of the inverse substrates concept is proposed.
IT 76223-66-0 76223-68-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with trypsin, kinetics of)
RN 76223-66-0 CAPLUS
CN L-Alanine, 4-(aminoiminomethyl)phenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



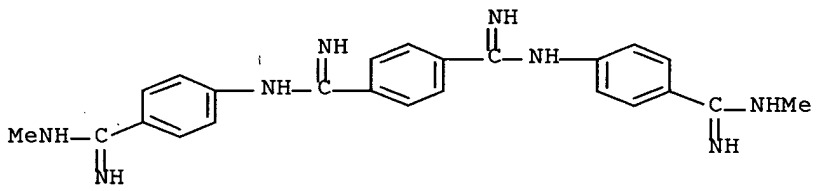
RN 76223-68-2 CAPLUS
CN D-Alanine, 4-(aminoiminomethyl)phenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L11 ANSWER 12 OF 48 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1985:17175 CAPLUS Full-text
DN 102:17175
TI Antitumor phthalanilides active in acute and chronic Trypanosoma brucei brucei murine infections
AU Nathan, Henry C.; Bacchi, Cyrus J.; Nichol, Charles A.; Duch, David S.; Mullaney, Elizabeth A.; Hutner, Seymour H.

CS Biol. Dep., Pace Univ., New York, NY, 10038, USA
SO American Journal of Tropical Medicine and Hygiene (1984), 33(5), 845-50
CODEN: AJTHAB; ISSN: 0002-9637
DT Journal
LA English
AB A series of phthalanilides and related compds. were tested on a short-term, fulminating, mouse infection of T. brucei brucei (EATRO 110 isolate). The most effective compound was 4,4'-bis(4-methylimidazolin-2-yl)-terephthalanilide [4315-44-0] which had a cure rate of 75% at 0.1 mg/kg and 100% at 0.5 mg/kg when administered as 3 single daily i.p. injections starting 24 h post-infection. Several related phthalanilides and similarly substituted ureas showed definite but lower activity. In tests with a chronic neurotropic T. brucei brucei isolate (TREU 667), cure rates greater than 90% were obtained with 10 or 25 mg/kg 4,4'-bis(4- methylimidazolin-2-yl)terephthalanilide. Cured animals survived for at least 200 days after infection with no evidence of recrudescence of parasitemia or of toxicity; blood or brain homogenates of cured animals were non-infective to immunosuppressed animals. These studies indicate that this series of compds., previously studied as antitumor agents, should be re-examined as potential trypanocides. Structure-activity relations are also discussed.
IT 2053-23-8
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(trypanosomicidal activity of)
RN 2053-23-8 CAPLUS
CN 1,4-Benzenedicarboximidamide, N,N''-bis[4-[imino(methylamino)methyl]phenyl]-, tetrahydrochloride (9CI) (CA INDEX NAME)



●4 HCl

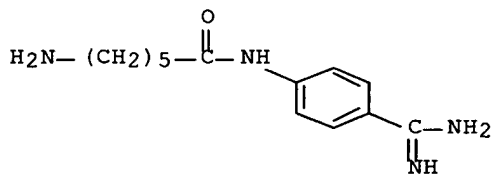
L11 ANSWER 13 OF 48 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1984:188226 CAPLUS Full-text
DN 100:188226
TI Preparative and analytical applications of CDI-mediated affinity chromatography
AU Hearn, Milton T. W.; Smith, Paul K.; Mallia, A. Krishna; Hermanson, Greg T.
CS St. Vincent's Sch. Med. Res., Univ. Melbourne, Melbourne, Australia
SO Affinity Chromatogr. Biol. Recognit., [Proc. Int. Symp.], 5th (1983), 191-6. Editor(s): Chaiken, Irwin M.; Wilchek, Meir; Parikh, Indu. Publisher: Academic, Orlando, Fla.
CODEN: 51ILA9
DT Conference
LA English
AB The use of 1,1'-carbonyldiimidazole (CDI)-activated gels for the affinity chromatog. purification of biol active compds. is discussed, the selection of

optimal immobilization levels with several biospecific ligands was examined, and examples are given of the use of CDI-activated supports for the preparative affinity purification of several enzymes and proteins (e.g., trypsin, protease, avidin, fibronectin).

IT 61444-20-0
RL: ANST (Analytical study)
(immobilization of, on carbonyldiimidazole-activated Sepharose, trypsin binding in relation to)

RN 61444-20-0 CAPLUS

CN Hexanamide, 6-amino-N-[4-(aminoiminomethyl)phenyl]- (9CI) (CA INDEX NAME)



L11 ANSWER 14 OF 48 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1983:88995 CAPLUS Full-text

DN 98:88995

TI Substituted 4-amidinophenyl carboxylates and an anticomplement agent containing them

IN Fujii, Setsuro; Yaegashi, Takashi; Nakayama, Toyoo; Sakurai, Youjiro; Nunomura, Shigeki; Okutome, Toshiyuki

PA Torii and Co., Ltd., Japan

SO Fr. Demande, 132 pp.
CODEN: FRXXBL

DT Patent

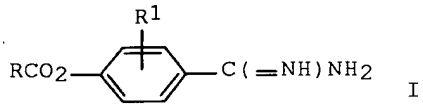
LA French

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	FR 2500825	A1	19820903	FR 1982-3258	19820226	<--
	FR 2500825	B1	19850823			
	JP 57142957	A	19820903	JP 1981-27974	19810227	<--
	JP 63060739	B	19881125			
	JP 58041855	A	19830311	JP 1981-140650	19810907	<--
	JP 02010823	B	19900309			
	GB 2095239	A	19820929	GB 1982-5699	19820226	<--
	GB 2095239	B	19850306			
	DE 3207033	A1	19820930	DE 1982-3207033	19820226	<--
	DE 3207033	C2	19840913			
	US 4514416	A	19850430	US 1984-611937	19840521	<--
	US 4570006	A	19860211	US 1984-664261	19841024	<--
PRAI	JP 1981-27974	A	19810227			
	JP 1981-140650	A	19810907			
	US 1982-350963	A1	19820222			
	US 1984-611937	A1	19840521			

OS CASREACT 98:88995; MARPAT 98:88995

GI



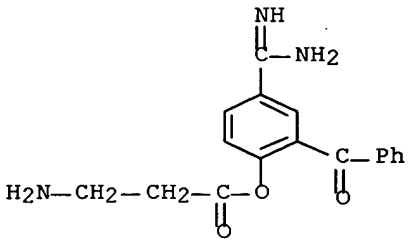
I

AB Benzamidines I [R = alkyl, alkenyl, cycloalkyl, cycloalkylalkyl, amino- or guanidinoalkyl, 4-(aminomethyl)- or 4-(guanidinomethyl)cyclohexyl, (un)substituted Ph or phenylalkyl; R1 = alkyl, alkoxy, CO2H, carbalkoxy, halo, NO2, SO3H, PhCO, PhCONH, 4-[H2NC(:NH)]C6H4CONH] were prepared, and they exhibited complement inhibitor activity (formulations are given). Thus, 4,3-HO(PhCO)C6H3C(:NH)NH2.MeSO3H reacted with AcCl and pyridine to give the resp. I (R' = Me, R1 = PhCO).

IT 84436-74-8P 84436-77-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and complement inhibitor activity of)

RN 84436-74-8 CAPLUS

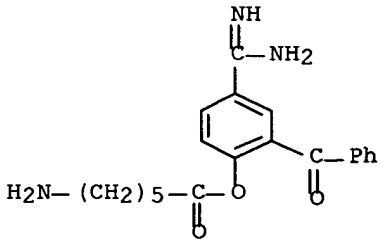
CN β-Alanine, 4-(aminoiminomethyl)-2-benzoylphenyl ester, dihydrobromide (9CI) (CA INDEX NAME)



●2 HBr

RN 84436-77-1 CAPLUS

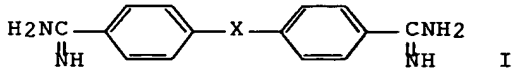
CN Hexanoic acid, 6-amino-, 4-(aminoiminomethyl)-2-benzoylphenyl ester, dihydrobromide (9CI) (CA INDEX NAME)



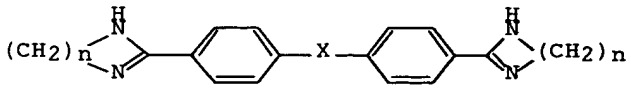
●2 HBr

L11 ANSWER 15 OF 48 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1982:135352 CAPLUS Full-text
DN 96:135352
TI Leishmania donovani, Plasmodium berghei, Trypanosoma rhodesiense: antiprotozoal effects of some amidine types
AU Steck, Edgar A.; Kinnamon, Kenneth E.; Rane, Dora S.; Hanson, William L.
CS Div. Exp. Ther., Walter Reed Army Inst. Res., Washington, DC, 20012, USA
SO Experimental Parasitology (1981), 52(3), 404-13
CODEN: EXPAAA; ISSN: 0014-4894
DT Journal
LA English
GI

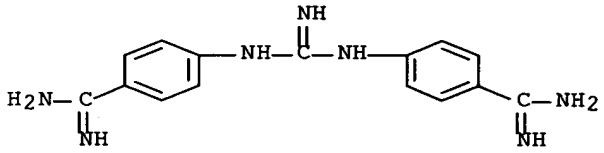


I



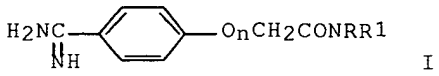
II

AB A series of 39 diamidines and cyclic congeners I [X = O, O(CH2)5O, S(CH2)5S, OC6H4O, furan, etc.] and II [X = O(CH2)5O, S(CH2)5S, furan, etc.; n = 2 or 3] was investigated for antiprotozoal effects in standard animal models. The test systems employed were the following: L. donovani in hamsters, P. berghei (trophozoite) in mice, and T. rhodesiense in mice. None of the compds. exhibited appreciable antimalaria or antileishmanial activity. One compound, WR 199,385 [2,5-bis(4-guanylphenyl)furan] [73819-26-8] had antitrypanosomal activity in the same range as pentamidine, and was deemed worthy of further study.
IT 80498-63-1
RL: PRP (Properties)
(antiprotozoal effect of)
RN 80498-63-1 CAPLUS
CN Benzenecarboximidamide, 4,4'-(carbonimidoyldiimino)bis- (9CI) (CA INDEX NAME)



L11 ANSWER 16 OF 48 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1981:597192 CAPLUS Full-text
DN 95:197192
TI Synthetic inhibitors of serine proteinases. Part 27. Inhibition of amides by 4-amidinophenylacetic acid and 4-amidinophenoxyacetic acid
AU Walsmann, P.; Eppner, B.; Markwardt, F.; Stuerzebecher, J.; Wagner, G.
CS Inst. Pharmakol. Toxikol., Med. Akad. Erfurt, Erfurt, Ger. Dem. Rep.
SO Pharmazie (1981), 36(6), 446-7
CODEN: PHARAT; ISSN: 0031-7144
DT Journal

LA German
GI

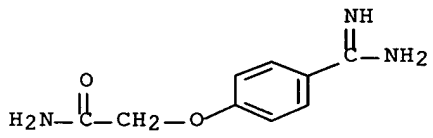


I

AB Structure-activity relations of the title compds. I (R = H, Me, or Ph; R1 = Me, Ph, etc.; or RR1 = pyrrolidinyl, morpholinyl, etc.; n = 0 or 1) with respect to plasmin [9001-90-5], thrombin [9002-04-4], and trypsin [9002-07-7] inhibitory activities were studied. The antitrypsin and antithrombin activities of the primary aliphatic amides were generally similar, whereas the aromatic and the secondary amides had a higher affinity for thrombin with the exception of I (RR1 = morpholinyl; n = 0) [79294-74-9] and I (R and R1 = Me; n = 0) [79494-23-8]. With the primary amides, a comparison of the affinities of these competitive inhibitors for the resp. enzymes showed the following: Ki-trypsin < Ki-thrombin ≤ Ki-plasmin. With the secondary amides, the following comparison was observed: Ki-thrombin .simeq. Ki-trypsin < Ki-plasmin. Structure-activity relations for these results were discussed.

IT 79494-31-8D, derivs.
RL: BIOL (Biological study)
(plasmin and thrombin and trypsin inhibition by, structure in relation to)

RN 79494-31-8 CAPLUS
CN Acetamide, 2-[4-(aminoiminomethyl)phenoxy]- (9CI) (CA INDEX NAME)

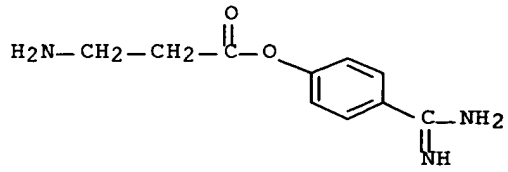


L11 ANSWER 17 OF 48 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1981:134908 CAPLUS Full-text
DN 94:134908
TI Inverse substrate. XIII. Analysis of latent properties of trypsin. Acyl trypsins derived from enantiomeric pairs of "inverse substrates"
AU Fujioka, Toshiyuki; Tanizawa, Kazutaka; Kanaoka, Yuichi
CS Fac. Pharm. Sci., Hokkaido Univ., Hokkaido, 060, Japan
SO Journal of Biochemistry (Tokyo, Japan) (1981), 89(2), 637-43
CODEN: JOBIAO; ISSN: 0021-924X
DT Journal
LA English
AB The kinetic behavior of trypsin towards p-amidinophenyl esters derived from a variety of amino acids and peptides, including D-amino acids, was analyzed. Deacylation rates of acyl trypsins carrying D-amino acid residues were determined for the 1st time by the use of these inverse substrates. The steric requirements of the catalytic site region of trypsin were analyzed by studying the deacylation reaction of enantiomeric pairs of inverse substrates.

The effects of chiral ligands on the deacylation process were also studied in connection with the chiral requirements of the active site.

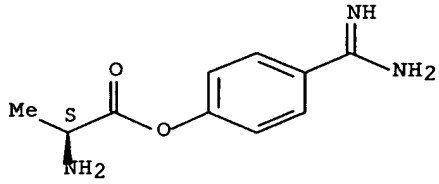
IT 76223-64-8 76223-66-0 76223-68-2
76223-70-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(hydrolysis of, by trypsin, kinetics and stereospecificity of)

RN 76223-64-8 CAPLUS
CN β-Alanine, 4-(aminoiminomethyl)phenyl ester (9CI) (CA INDEX NAME)



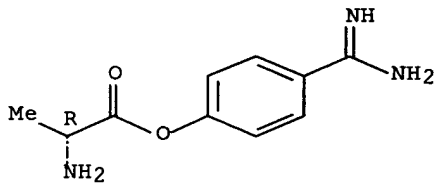
RN 76223-66-0 CAPLUS
CN L-Alanine, 4-(aminoiminomethyl)phenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



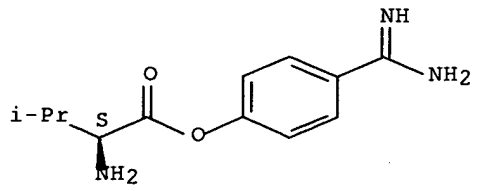
RN 76223-68-2 CAPLUS
CN D-Alanine, 4-(aminoiminomethyl)phenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

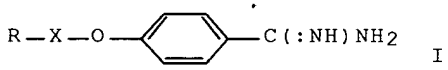


RN 76223-70-6 CAPLUS
CN L-Valine, 4-(aminoiminomethyl)phenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L11 ANSWER 18 OF 48 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1981:47711 CAPLUS Full-text
DN 94:47711
TI Inverse substrates. IX. Amidinophenyl esters derived from amino acids and peptides: synthesis and properties as trypsin substrates
AU Fujioka, Toshiyuki; Tanizawa, Kazutaka; Nakayama, Hitoshi; Kanaoka, Yuichi
CS Fac. Pharm. Sci., Hokkaido Univ., Sapporo, 060, Japan
SO Chemical & Pharmaceutical Bulletin (1980), 28(6), 1899-905
CODEN: CPBTAL; ISSN: 0009-2363
DT Journal
LA English
GI

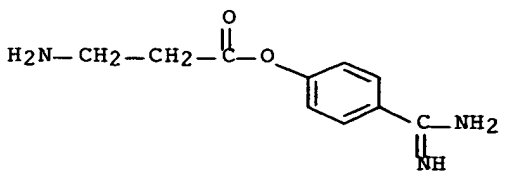


I

AB Title esters I (R = Bz, X = β-Ala, DL-Ala, DL-Phe; R = H, X = β-Ala, Ala, D-Ala, Val; R = Ac, X = Ala, D-Ala, Gly, Ala-Gly, D-Ala-Gly, Gly-Gly; R = dansyl, X = Phe, D-Phe), useful as trypsin substrates, were prepared by conventional solution methods. PhCH2O2C was used to block the amidino group, and it was cleaved by hydrogenolysis. Kinetic parameters were determined for the trypsin-catalyzed hydrolysis of I (R = Ac, X = Ala, D-Ala).
IT 76223-65-9P 76223-67-1P 76223-69-3P
76223-71-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 76223-65-9 CAPLUS
CN β-Alanine, 4-(aminoiminomethyl)phenyl ester, bis(4-methylbenzenesulfonate) (9CI) (CA INDEX NAME)

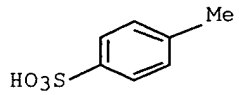
CM 1

CRN 76223-64-8
CMF C10 H13 N3 O2



CM 2

CRN 104-15-4
CMF C7 H8 O3 S

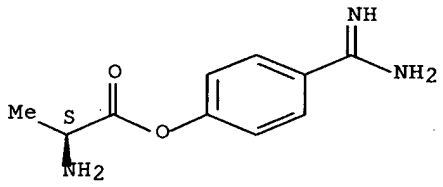


RN 76223-67-1 CAPLUS
CN L-Alanine, 4-(aminoiminomethyl)phenyl ester, bis(4-methylbenzenesulfonate) (9CI) (CA INDEX NAME)

CM 1

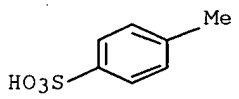
CRN 76223-66-0
CMF C10 H13 N3 O2

Absolute stereochemistry.



CM 2

CRN 104-15-4
CMF C7 H8 O3 S

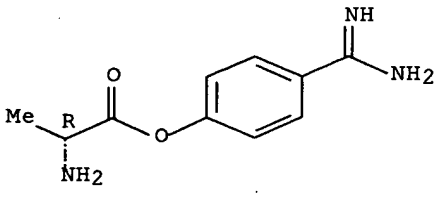


RN 76223-69-3 CAPLUS
CN D-Alanine, 4-(aminoiminomethyl)phenyl ester, bis(4-methylbenzenesulfonate) (9CI) (CA INDEX NAME)

CM 1

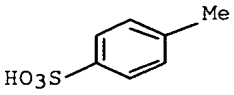
CRN 76223-68-2
CMF C10 H13 N3 O2

Absolute stereochemistry.



CM 2

CRN 104-15-4
CMF C7 H8 O3 S

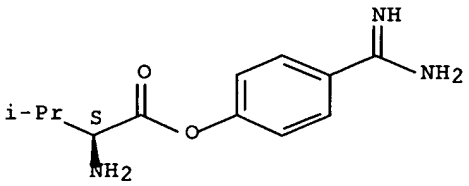


RN 76223-71-7 CAPLUS
CN L-Valine, 4-(aminoiminomethyl)phenyl ester, bis(4-methylbenzenesulfonate) (9CI) (CA INDEX NAME)

CM 1

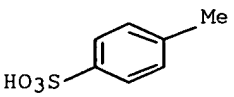
CRN 76223-70-6
CMF C12 H17 N3 O2

Absolute stereochemistry.



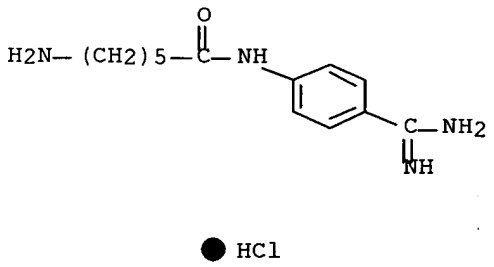
CM 2

CRN 104-15-4
CMF C7 H8 O3 S



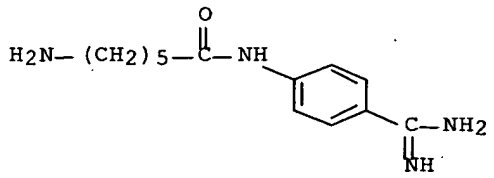
L11 ANSWER 19 OF 48 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1980:142390 CAPLUS Full-text
DN 92:142390

TI Application of the FCP-activation procedure to the synthesis of a biospecific adsorbent for trypsin
AU Gribnau, T. C. J.; Van Eekelen, C. A. G.; Tesser, G. I.; Nivard, R. J. F.
CS Dep. Org. Chem., Cathol. Univ., Nijmegen, 6525 ED, Neth.
SO Journal of Solid-Phase Biochemistry (1978), 3(4), 271-89
CODEN: JSBIDL; ISSN: 0146-0641
DT Journal
LA English
AB The synthesis of a biospecific adsorbent for trypsin was chosen as a model to investigate the applicability of 2,4,6-trifluoro-5-chloropyrimidine (FCP) activation in affinity chromatog., p-aminobenzamidine was chosen as a ligand, directly suitable for immobilization. The nonspecific binding properties of the 1st series of synthesized agarose derivs. were obviated either by FCP activation of the ligand instead of the matrix, or by modifying the initial FCP-activation procedure. The adsorbents prepared in this way, however, demonstrated no selectivity between trypsin and chymotrypsin. The introduction of ε-aminocaproic acid as a spacer was ineffectual. These problems were solved by the application of glycylglycine as a spacer. The final affinity matrixes had a degree of substitution of .apprx.4 μmol ligand/g gel (100 μmol ligand/g dry adsorbent). The sp. activity of a current trypsin preparation was increased by 58% in a single cycle. The biospecificity of these adsorbents was demonstrated.
IT 73167-61-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(coupling of, to Sepharose, for trypsin affinity chromatog.)
RN 73167-61-0 CAPLUS
CN Hexanamide, 6-amino-N-[4-(aminoiminomethyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



L11 ANSWER 20 OF 48 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1978:147947 CAPLUS Full-text
DN 88:147947
TI Purification of horse urinary kallikrein by affinity chromatography
AU Giusti, Elenir P.; Sampaio, C. A. M.; Prado, Eline S.
CS Dep. Biochem. Pharmacol., Esc. Paulista Med., Sao Paulo, Brazil
SO Agents and Actions (1978), 8(1-2), 164
CODEN: AGACBH; ISSN: 0538-4818
DT Journal
LA English
AB Horse urinary kallikrein was purified to homogeneity in 46% yield from 100 L horse urine by affinity chromatog. on p-(ε- aminocaproylamido)benzamidine-Sepharose. A mol. weight of 57,000 was estimated by gel filtration. The enzyme preparation was free of proteinases acting on methionyllysylbradykinin. Five active protein bands were found on electrofocusing in polyacrylamide gel containing 2% ampholine.

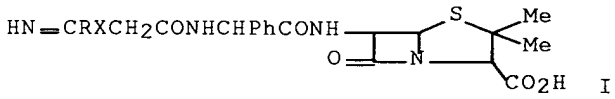
IT 61444-20-0D, Sepharose derivative
RL: BIOL (Biological study)
(kallikrein affinity chromatog. on)
RN 61444-20-0 CAPLUS
CN Hexanamide, 6-amino-N-[4-(aminoiminomethyl)phenyl]- (9CI) (CA INDEX NAME)



L11 ANSWER 21 OF 48 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1977:517851 CAPLUS Full-text
DN 87:117851
TI Broad spectrum antibiotics
IN Hamanaka, Ernest S.; Stam, John G.
PA Pfizer Inc., USA
SO U.S., 43 pp. Division of U.S. 3,951,952.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 4025504	A	19770524	US 1975-640899	19751215 <--
	US 3951952	A	19760420	US 1973-424891	19731214 <--
	IN 141818	A1	19770423	IN 1975-CA1041	19750523 <--
PRAI	US 1972-277064	A2	19720802		
	US 1973-424891	A3	19731214		
	IN 1973-138817	A1	19730723		

OS MARPAT 87:117851
GI

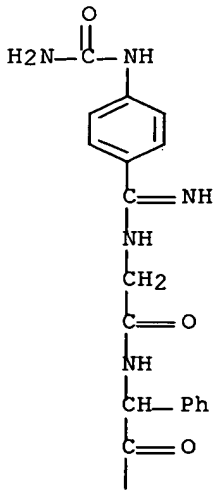


I

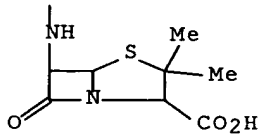
AB Penicillins including I [R = NH2, X = CH2 (II); R = Ph, 4-FC6H4, 4-ClC6H4, 4-BrC6H4, X = CH2] were prepared Thus, ampicillin NEt3 salt was treated with H2NC(:NH)CH2CH2COCl to give 47.4% II. II had a min. inhibitory concentration against Escherichia coli 51A266 of 1.56 μg/mL and in vivo gave 20% protection against the same organism at 50 mg/kg orally or 80% protection at 50 mg/kg s.c.
IT 57868-32-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 57868-32-3 CAPLUS
CN Glycinamide, N-[[4-[(aminocarbonyl)amino]phenyl]iminomethyl]glycyl-N-(2-carboxy-3,3-dimethyl-7-oxo-4-thia-1-azabicyclo[3.2.0]hept-6-yl)-D-2-phenyl-

, [2S-(2α,5α,6β)]- (9CI) (CA INDEX NAME)

PAGE 1-A



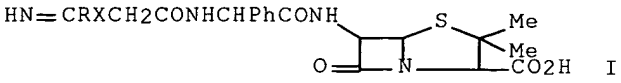
PAGE 2-A



L11 ANSWER 22 OF 48 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1977:484989 CAPLUS Full-text
DN 87:84989
TI Broad spectrum antibiotics
IN Hamanaka, Ernest S.; Stam, John G.
PA Pfizer Inc., USA
SO U.S., 41 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 4025506	A	19770524	US 1975-640572	19751215 <--
	US 3951952	A	19760420	US 1973-424891	19731214 <--
	IN 141818	A1	19770423	IN 1975-CA1041	19750523 <--
PRAI	US 1972-277064	A2	19720802		
	US 1973-424891	A3	19731214		
	IN 1973-138817	A1	19730723		

OS MARPAT 87:84989
GI



I

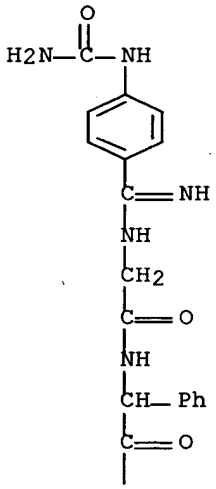
AB Penicillins such as I (R = NH2, X = CH2; R = Ph, 4-FC6H4, 4-ClC6H4, 4-BrC6H4, X = NH) were prepared Thus ampicillin was acylated with H2NC(:NH)CH2CH2COCl.HCl to give 47.4% I (R = NH2, X = CH2) which had a min. inhibitory concentration against Escherichia coli 51A266 of 1.56 μg/mL.

IT 57868-32-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

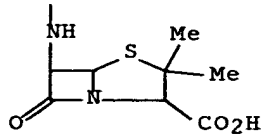
RN 57868-32-3 CAPLUS

CN Glycinamide, N-[[4-[(aminocarbonyl)amino]phenyl]iminomethyl]glycyl-N-(2-carboxy-3,3-dimethyl-7-oxo-4-thia-1-azabicyclo[3.2.0]hept-6-yl)-D-2-phenyl-, [2S-(2α,5α,6β)]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



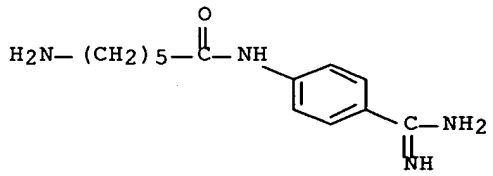
L11 ANSWER 23 OF 48 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1977:27266 CAPLUS Full-text

DN 86:27266

TI On the catalytic and binding sites of porcine enteropeptidase

AU Baratti, J.; Maroux, S.
CS Cent. Biochem. Biol. Mol., Marseille, Fr.
SO Biochimica et Biophysica Acta, Enzymology (1976), 452(2), 488-96
CODEN: BBEZAD; ISSN: 0924-1086
DT Journal
LA English
AB The active site of porcine enteropeptidase (EC 3.4.21.9) was investigated to characterize better both catalytic and binding sites. The participation of a serine and a histidine residue in the catalytic process was fully confirmed; the 2 residues were located on the light chain of the enzyme. The binding site was composed of ≥2 subsites, S1 and S2. The subsite S1 (similar to the trypsin-binding site) was responsible for the interactions with the small substrates of trypsin and the lysine side chain of trypsinogen, whereas subsite S2 (probably a cluster of lysines) was responsible for the interactions with the polyanionic sequence found in all trypsinogens. Binding of substrate by subsite S2 led to an increased efficiency of the catalytic site which can be correlated to the known high specificity of enteropeptidase.
IT 61444-20-0
RL: BIOL (Biological study)
(enteropeptidase inhibition by)
RN 61444-20-0 CAPLUS
CN Hexanamide, 6-amino-N-[4-(aminoiminomethyl)phenyl]- (9CI) (CA INDEX NAME)



L11 ANSWER 24 OF 48 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1975:458808 CAPLUS Full-text
DN 83:58808
TI 6-[α-(Amidino- and imidoylaminoalkanoylamino)aracylamino]penicillanic acids and their preparation
IN Hamanaka, Ernest S.; Stam, John G.
PA Pfizer Inc., USA
SO S. African, 102 pp.
CODEN: SFXXAB
DT Patent
LA English
FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	ZA 7400509	A	19741224	ZA 1974-509	19740124 <--
	US 3951952	A	19760420	US 1973-424891	19731214 <--
	GB 1462421	A	19770126	GB 1974-1673	19740114 <--
	SE 7400501	A	19750616	SE 1974-501	19740115 <--
	SE 421797	B	19820201		
	SE 421797	C	19820513		
	AU 7464636	A	19750717	AU 1974-64636	19740117 <--
	IN 139932	A1	19760821	IN 1974-CA131	19740118 <--
	DE 2403512	A1	19750619	DE 1974-2403512	19740125 <--
	DE 2403512	C2	19830113		
	FI 7400226	A	19750615	FI 1974-226	19740128 <--

	FI 62840	B	19821130		
	FI 62840	C	19830310		
	BE 810266	A4	19740729	BE 1974-1005676	19740129 <--
	NL 7401174	A	19750617	NL 1974-1174	19740129 <--
	NO 7400281	A	19750617	NO 1974-281	19740129 <--
	NO 145794	B	19820222		
	NO 145794	C	19820609		
	DK 7400455	A	19750811	DK 1974-455	19740129 <--
	DK 150856	B	19870706		
	DK 150856	C	19871116		
	HU 167158	B	19750828	HU 1974-PI405	19740129 <--
	ES 422732	A2	19770701	ES 1974-422732	19740129 <--
	CS 194205	B2	19791130	CS 1974-593	19740129 <--
	RO 67596	A1	19800215	RO 1974-77437	19740129 <--
	AT 7400733	A	19750315	AT 1974-733	19740130 <--
	AT 326821	B	19751229		
	DD 113008	A6	19750512	DD 1974-176275	19740130 <--
	FR 2254310	A2	19750711	FR 1974-3093	19740130 <--
	JP 50089393	A	19750717	JP 1974-11947	19740130 <--
	CH 596218	A5	19780315	CH 1974-1294	19740130 <--
	JP 57056483	A	19820405	JP 1981-114324	19810721 <--
	JP 60048519	B	19851028		
	JP 58074688	A	19830506	JP 1982-161538	19820916 <--
	JP 60043072	B	19850926		
PRAI	US 1973-424891	A	19731214		
	US 1972-277064	A2	19720802		

GI For diagram(s), see printed CA Issue.

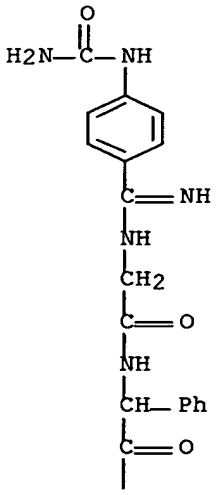
AB Approx. 200 penicillins I (R = 3,5-Br2C6H3, 3-thenyl, 4-pyridyl, etc.; R1, R2 = H, alkyl; R3 = Ph, p-HOC6H4, 2-, 3-thienyl; X = CH2, CH2CH2, CHMe, etc.) were prepared from RC(:NR1)OR4 (R4 = Me, Et) and 6-[2-aryl-2-(aminoalkanoylamino)acetamido]penicillanates. E.g., 3,4-O2N(HO)C6H3C(:NH)OEt and 6-[D-2-phenyl-2-(aminoacetamido)acetamido]pen icillanic acid gave I [R = 3,4-O2N(HO)C6H3, R1 = R2 = H, R3 = Ph, X = CH2]. The min. inhibitory concns. of I (R1 = R2 = H, R3 = Ph, X = CH2, R = p-R5C6H4, R5 = H, F, Cl, Br) were 0.39-25 μg/ml against Staphylococcus aureus, Pseudomonas aeruginosa, Klebsiella pneumoniae, Escherichia coli, etc. Antibiotic formulations of I were described.

IT 57868-32-3P
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(preparation and NMR of)

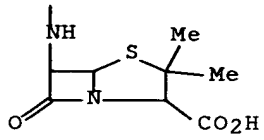
RN 57868-32-3 CAPLUS

CN Glycinamide, N-[[4-[(aminocarbonyl)amino]phenyl]iminomethyl]glycyl-N-(2-carboxy-3,3-dimethyl-7-oxo-4-thia-1-azabicyclo[3.2.0]hept-6-yl)-D-2-phenyl-, [2S-(2α,5α,6β)]- (9CI) (CA INDEX NAME)

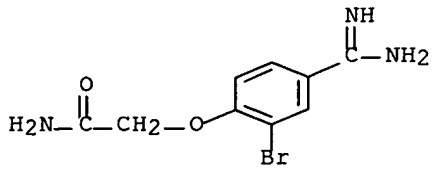
PAGE 1-A



PAGE 2-A

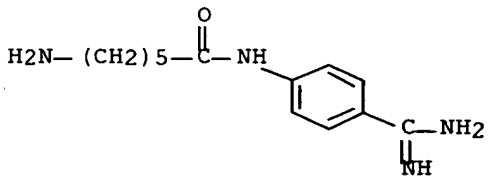


L11 ANSWER 25 OF 48 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1975:400248 CAPLUS Full-text
DN 83:248
TI Synthesis of isoesteres of p-amidinophenylpyruvic acid. Inhibitors of trypsin, thrombin, and pancreatic kallikrein
AU Loeffler, Larry J.; Mar, Eng-Chun; Geratz, J. D.; Fox, Lynda B.
CS Sch. Pharm., Univ. North Carolina, Chapel Hill, NC, USA
SO Journal of Medicinal Chemistry (1975), 18(3), 287-92
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
GI For diagram(s), see printed CA Issue.
AB Of a series of 31 amino and amidino acid title analogs prepd. and tested as inhibitors of trypsin [9002-07-7], thrombin [9002-04-4], and kallikrein [9001-01-8], several were active, and Et 4-amidino-2-iodophenoxyacetate- HCl (I) [55197-50-7] was about as potent as p-amidinophenylpyruvic acid [10290-63-8]. I was the most effective of the title compds. in blocking the clotting activity of human plasma. Structure-activity relations are discussed.
IT 55197-57-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and anticoagulant and proteolytic enzyme inhibition activity of)
RN 55197-57-4 CAPLUS
CN Acetamide, 2-[4-(aminoiminomethyl)-2-bromophenoxy]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L11 ANSWER 26 OF 48 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1975:27744 CAPLUS Full-text
DN 82:27744
TI Human plasma kallikrein. Purification and preliminary characterization
AU Sampaio, Claudio; Wong, Show-Chu; Shaw, Elliott
CS Biol. Dep., Brookhaven Natl. Lab., Upton, NY, USA
SO Archives of Biochemistry and Biophysics (1974), 165(1), 133-9
CODEN: ABBIA4; ISSN: 0003-9861
DT Journal
LA English
AB A method is described for the convenient purifn of the protease plasma kallikrein from human Cohn fraction IV-1. The enzyme was produced by endogenous activation after acid treatment to remove an inhibitor and was concentrated by the successive use of affinity adsorbents prepared by the immobilization of soybean trypsin inhibitor and aminobenzamidine. The esterase- and kinin-producing activities were enriched .apprx.1100-fold from fraction IV-1. Several properties of plasma kallikrein strengthen the impression that it is related to trypsin, namely, competitive inhibition by benzamidine and the formation of a stable p-guanidinobenzoyl acyl enzyme intermediate. Inactivation by affinity labeling with Nα-benzyloxycarbonyl-L-lysylchloromethane was successful in contrast to that with Nα-tosyl-L-lysylchloromethane.
IT 53857-82-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 53857-82-2 CAPLUS
CN Hexanamide, 6-amino-N-[4-(aminoiminomethyl)phenyl]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

L11 ANSWER 27 OF 48 CAPLUS COPYRIGHT 2007 ACS on STN

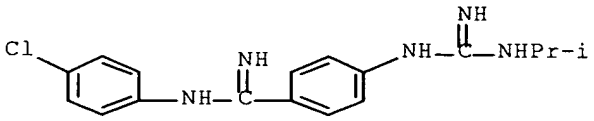
AN 1971:440457 CAPLUS Full-text
DN 75:40457
TI Antiviral 1-phenyl-3-alkylguanidines
IN Swallow, Douglas L.
PA Imperial Chemical Industries Ltd.
SO Ger. Offen., 13 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2052128	A	19710429	DE 1970-2052128	19701023 <--
	GB 1295088	A	19721101	GB 1969-52261	19691024 <--
	ZA 7006890	A	19710728	ZA 1970-6890	19701009 <--
	NL 7015457	A	19710427	NL 1970-15457	19701022 <--
	FR 2070160	A5	19710910	FR 1970-38433	19701023 <--
	FR 2070160	B1	19740621		
PRAI	GB 1969-52261	A	19691024		

GI For diagram(s), see printed CA Issue.
AB Compns. for the prophylaxis or treatment of human catarrhal diseases containing I were reported. A typical composition consisted of sucrose 88, Mg stearate 3, gum arabic 3, H2O 3, and I (R = p-Cl, n = 0, R1 = iso-Pr) (II) 5 g, which were mixed and pressed into hard morsels of 50 mg II content. Also used were I (R = p-Cl, (X)n = NH, R1 = iso-Pr or Bu).
IT 32972-58-0 33007-98-6
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(virucide)
RN 32972-58-0 CAPLUS
CN Glucuronic acid, compd. with 1-[p-[(p-chlorophenyl)amidino]phenyl]-3-isopropylguanidine, D- (8CI) (CA INDEX NAME)

CM 1

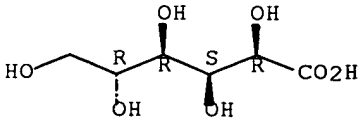
CRN 33007-98-6
CMF C17 H20 Cl N5



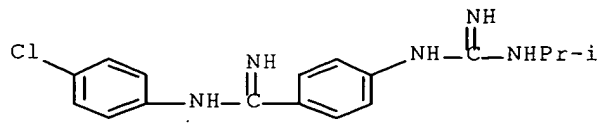
CM 2

CRN 526-95-4
CMF C6 H12 O7

Absolute stereochemistry.



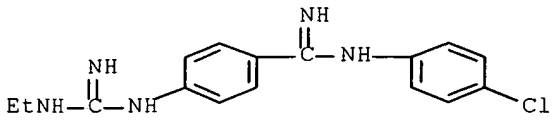
RN 33007-98-6 CAPLUS
CN Guanidine, 1-[p-[(p-chlorophenyl)amidino]phenyl]-3-isopropyl- (8CI) (CA INDEX NAME)



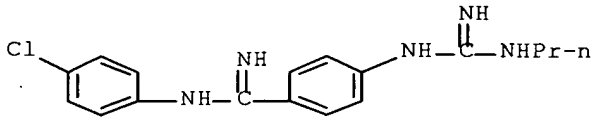
L11 ANSWER 28 OF 48 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1971:435490 CAPLUS Full-text
DN 75:35490
TI Antiviral guanidine and thiourea derivatives
IN Swallow, Douglas L.
PA Imperial Chemical Industries Ltd.
SO Ger. Offen., 57 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2052132	A	19710506	DE 1970-2052132	19701023 <--
	GB 1291994	A	19721004	GB 1969-52262	19691024 <--
	ZA 7006889	A	19710728	ZA 1970-6889	19701009 <--
	NL 7015458	A	19710427	NL 1970-15458	19701022 <--
	FR 2070161	A5	19710910	FR 1970-38434	19701023 <--
	FR 2070161	B1	19740621		
	AT 302349	B	19721010	AT 1970-9576	19701023 <--
	AT 303058	B	19721110	AT 1971-9830	19701023 <--
	AT 304565	B	19730110	AT 1971-9831	19701023 <--
	ES 384873	A1	19730316	ES 1970-384873	19701024 <--
PRAI	GB 1969-52262	A	19691024		

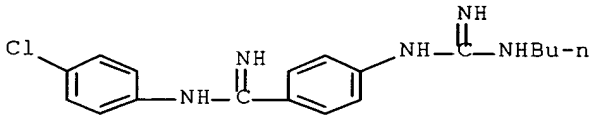
AB Title aromatic antiviral compds. are prepd. As an example, isopropyl isothiocyanate is added to a solution of 3-(p-aminophenyl)-1-(p-chlorophenyl)guanidine.2HCl in pyridine and stirred 72 hr at ambient temperature to yield 1-(p-chlorophenyl) - 3 - [p - (3 - isopropylthioureido)phenyl]gua nidine.HCl. Over 100 addnl. examples are described.
IT 32497-63-5P 32497-64-6P 32497-65-7P
32497-66-8P 32497-67-9P 32497-68-0P
32502-74-2P 32502-75-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 32497-63-5 CAPLUS
CN Benzamidine, N-(p-chlorophenyl)-p-(3-ethylguanidino)- (8CI) (CA INDEX NAME)



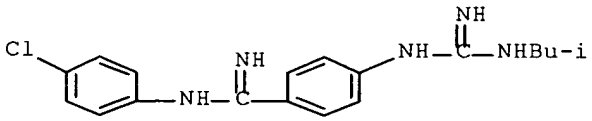
RN 32497-64-6 CAPLUS
CN Benzamidine, N-(p-chlorophenyl)-p-(3-propylguanidino)- (8CI) (CA INDEX NAME)



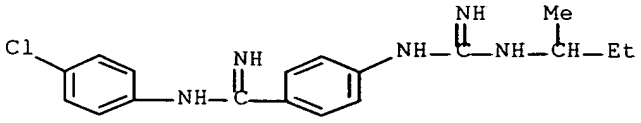
RN 32497-65-7 CAPLUS
CN Benzamidine, p-(3-butylguanidino)-N-(p-chlorophenyl)- (8CI) (CA INDEX NAME)



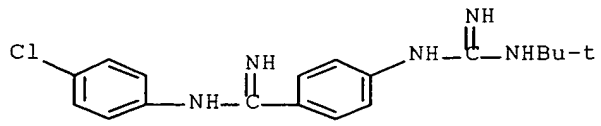
RN 32497-66-8 CAPLUS
CN Benzamidine, N-(p-chlorophenyl)-p-(3-isobutylguanidino)- (8CI) (CA INDEX NAME)



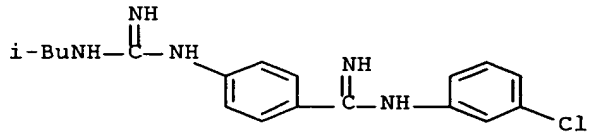
RN 32497-67-9 CAPLUS
CN Benzamidine, p-(3-sec-butylguanidino)-N-(p-chlorophenyl)- (8CI) (CA INDEX NAME)



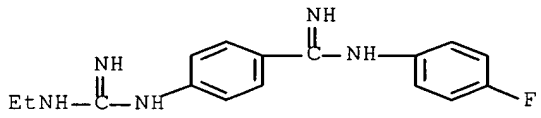
RN 32497-68-0 CAPLUS
CN Benzamidine, p-(3-tert-butylguanidino)-N-(p-chlorophenyl)- (8CI) (CA INDEX NAME)



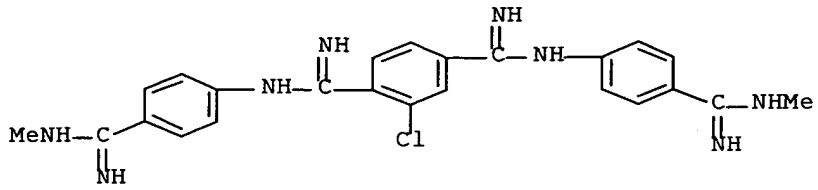
RN 32502-74-2 CAPLUS
CN Benzamidine, N-(m-chlorophenyl)-p-(3-isobutylguanidino)- (8CI) (CA INDEX NAME)



RN 32502-75-3 CAPLUS
CN Benzamidine, p-(3-ethylguanidino)-N-(p-fluorophenyl)- (8CI) (CA INDEX NAME)

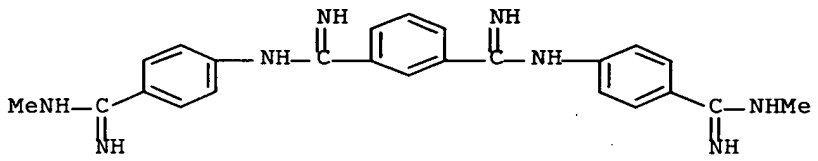


L11 ANSWER 29 OF 48 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1971:74639 CAPLUS Full-text
DN 74:74639
TI Effect of coplanar hetero-oligobases on the metabolisms of nucleic acids and nucleotides in Ehrlich ascites cells
AU Schneider, Friedhelm; Warnecke, Peter; Haerlin, Ruediger
CS Physiol.-Chem. Inst., Univ. Tuebingen, Tuebingen, Fed. Rep. Ger.
SO Arzneimittel-Forschung (1970), 20(12), 1942-5
CODEN: ARZNAD; ISSN: 0004-4172
DT Journal
LA German
GI For diagram(s), see printed CA Issue.
AB The cytostatic effect of 8 coplanar heterooligobases on Ehrlich ascites tumor cells was due to inhibition of RNA synthesis, inhibition of nucleoside transport into intact cells, and inhibition of thymidine incorporation by a cell-free DNA polymerase system. The bases did not affect DNA synthesis in the intact cells. I and II were the most effective compds.
IT 10605-64-8 13239-45-7
RL: BIOL (Biological study)
(nucleic acids and nucleotides metabolism by neoplasms in response to)
RN 10605-64-8 CAPLUS
CN 1,4-Benzenedicarboximidamide, 2-chloro-N,N''-bis[4-[imino(methylamino)methyl]phenyl]-, tetrahydrochloride (9CI) (CA INDEX NAME)



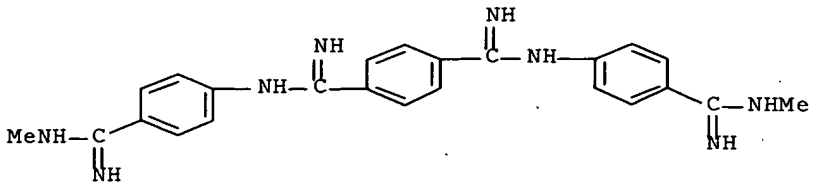
●4 HCl

RN 13239-45-7 CAPLUS
CN 1,3-Benzenedicarboximidamide, N,N''-bis[4-[imino(methylamino)methyl]phenyl]-, tetrahydrochloride (9CI) (CA INDEX NAME)

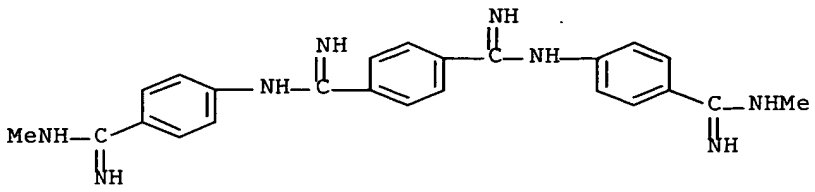


●4 HCl

L11 ANSWER 30 OF 48 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1969:95108 CAPLUS Full-text
DN 70:95108
TI Potential antitumor agents. X. Bisquaternary salts
AU Cain, Bruce F.; Atwell, G. J.; Seelye, Ralph N.
CS Cornwall Geriatric Hosp., Auckland, N. Z.
SO Journal of Medicinal Chemistry (1969), 12(2), 199-206
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
AB The biol. activity of a variety of cationic agents against exptl. leukemias and trypanosomal species may be dependent on (a) lipophilic-hydrophilic balance of the agents, (b) charge separation, (c) sufficient contact binding, this requirement varying with the biol. test system involved, (d) a close over-all approach to planarity, and (e) capacity to fit a curved site of approx. 40 A. in diameter That migration of these materials may be transport mediated is discussed. It is shown that a site equivalent to the minor groove in a helical polynucleotide would match the structural requirements.
IT 537-51-9
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(biol. activity of)
RN 537-51-9 CAPLUS
CN 1,4-Benzenedicarboximidamide, N,N''-bis[4-[imino(methylamino)methyl]phenyl]- (9CI) (CA INDEX NAME)



L11 ANSWER 31 OF 48 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1968:57568 CAPLUS Full-text
DN 68:57568
TI Antimicrobial action of terephthalanilide and related drugs
AU Pine, Martin J.
CS Roswell Park Mem. Inst., Buffalo, NY, USA
SO Biochemical Pharmacology (1968), 17(1), 75-86
CODEN: BCPCA6; ISSN: 0006-2952
DT Journal
LA English
GI For diagram(s), see printed CA Issue.
AB The drug, 2-chloro-4',4"-bis(2-imidazolin-2-yl)terephthalanilide-2HCl (NSC 38280) (I), and other polycationic growth inhibitors of Escherichia coli were examined with particular reference to their inhibition of protein synthesis. Of nine polycationic drugs studied, I and 3 congeners, as well as spermine and stilbamidine, were found to be inhibitors of protein synthesis on the basis of their ability to uncouple the regulatory control of protein synthesis upon RNA synthesis. At a high level, (10 μg./0.35 ml.), I inhibits the incorporation of isoleucine-U-14C into polypeptide in a cell-free system derived from E. coli. In this system, the soluble fraction and, to a lesser extent, the ribosomes are sensitive to the drug. I does not cause the release of nascent protein from ribosomes in vitro. In intact cells, I slightly lowers the charging of amino acids upon transfer RNA, in contrast to a slight increase encountered on treatment with chloramphenicol. Cellular uptake of I is heterogeneous, being dependent in part on an active transport sensitive to 2,4-dinitrophenol administration, and in part on an adsorption which increases upon lowering of temperature A fraction of the uptake is resistant to washing of intact cells and is also resistant to dialysis of crushed cell prepns. Upon cellular fractionation, the affinity of I appears to be poor on the ribosomes, but high on a component released from the ribosomes upon washing. Although I inhibits growth and incorporation into protein in the intact cell immediately, it becomes bactericidal only after 20 min. The inhibitory effects are reversed to differing extents by polyamines, particularly by spermine. No consistent correlation was found between the degree of reversal of protein synthesis and reversal of lethality. Inhibition of protein synthesis may be the first event in the action of I, but cell death ensues upon the development of a more general, pervasive physiol. action of the drug. The growth-inhibiting effects of several polycationic drugs on the trypanosomid flagellate, Crithidia fasciculata, are reported. 20 references.
IT 2053-23-8
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(bactericidal action of)
RN 2053-23-8 CAPLUS
CN 1,4-Benzenedicarboximidamide, N,N''-bis[4-[imino(methylamino)methyl]phenyl]-, tetrahydrochloride (9CI) (CA INDEX NAME)



●4 HCl

L11 ANSWER 32 OF 48 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1967:65301 CAPLUS Full-text
DN 66:65301
TI Phthalamidine compounds
IN Hirt, Rudolf
PA Dr. A. Wander, A.-G.
SO U.S., 4 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3282953		19661101	US 1962-239076	19621120 <--

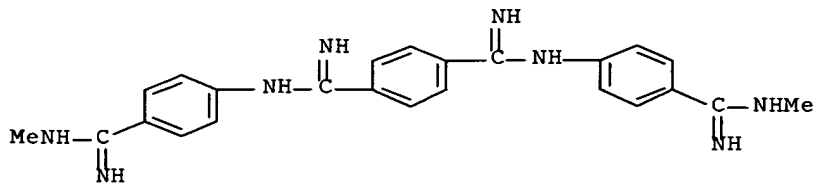
GI For diagram(s), see printed CA Issue.

AB Complex phthalamidine compds. are made from corresponding imidine chlorides as shown below. The products are chemotherapeutic agents specifically against trypanosomes. For example, 11.5 g. terephthalbis(N-methylimide chloride) and 23.6 g. p-(N1,N2- dimethylamidino)aniline-2HCl are heated in 150 ml. HCONMe2 and 15 ml. pyridine at 120° for 6 hrs. to give 15 g. N1,N3bis[p-N1,N2-dimethylamidino)phenyl] - N2,N4 - dimethylterephthalimidine - 4HCl, m. 325° (decomposition). Similarly, N,N3-bis(p-2-imidazolin - 2 - ylphenyl)terephthalamidine-4HCl, m. 360° (decomposition); N1,N3-bis[p - (N1 - methyl - N2 - ethylamidino)phenyl] - N2,N4 - diethylterephalamidine- 4HCl, m. 245° (decomposition); N1,N3-bis[p-(N1- methylamidino)phenyl]terephthamidine-4HCl, m. 240° (decomposition); N1,N3-bis[p-(N-ethylmide chloride) phenyl]terephthalimidechloro[p-(N1- ethylamidino)phenyl]terephthalamidine-4HCl, m. 248° (decomposition); N1,N3-bis[p-(N1-methylamidino)phenyl]isophthalamidine-4HCl, m. 260° (decomposition); 2-chloro-N1,N3 - bis[p - (N1 - methylamidino)phenyl]isophthala midine-4HCl, m. 268°; N1,N3-bis[p-(N1-ethylamidino)phenyl]isophtham idine-4HCl, m. 245°; 2-chloro-N1,N3-bis[p-(N1- methylamidino)phenyl]terephthalamidine-4HCl, m. 265° (decomposition).

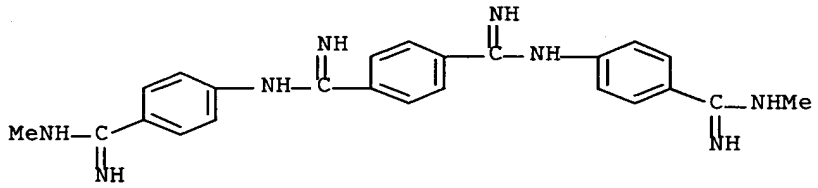
IT 537-51-9P 2053-23-8P 10605-55-7P
10605-64-8P 13239-45-7P 13239-46-8P
13725-56-9P 13725-57-0P 13725-58-1P
13725-59-2P 13725-60-5P 13725-70-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 537-51-9 CAPLUS

CN 1,4-Benzenedicarboximidamide, N,N''-bis[4-[imino(methylamino)methyl]phenyl]- (9CI) (CA INDEX NAME)

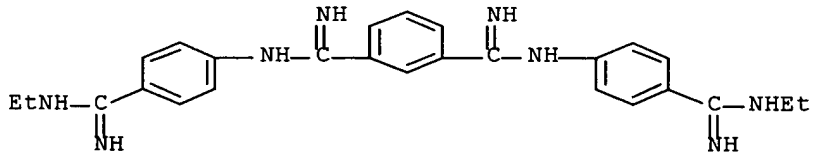


RN 2053-23-8 CAPLUS
CN 1,4-Benzenedicarboximidamide, N,N''-bis[4-[imino(methylamino)methyl]phenyl]-, tetrahydrochloride (9CI) (CA INDEX NAME)



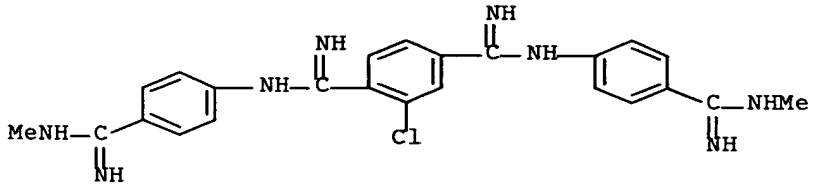
●4 HCl

RN 10605-55-7 CAPLUS
CN Isophthalamidine, N,N''-bis[p-(ethylamidino)phenyl]-, tetrahydrochloride (7CI, 8CI) (CA INDEX NAME)



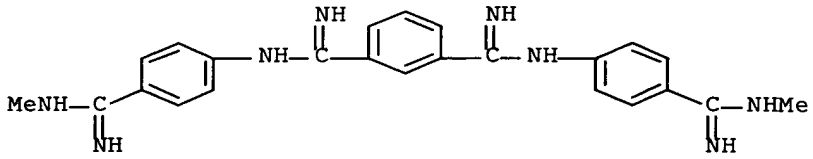
●4 HCl

RN 10605-64-8 CAPLUS
CN 1,4-Benzenedicarboximidamide, 2-chloro-N,N''-bis[4-[imino(methylamino)methyl]phenyl]-, tetrahydrochloride (9CI) (CA INDEX NAME)



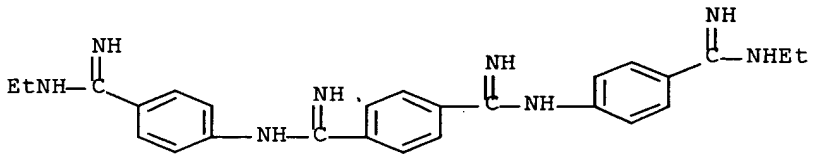
●4 HCl

RN 13239-45-7 CAPLUS
CN 1,3-Benzenedicarboximidamide, N,N''-bis[4-[imino(methylamino)methyl]phenyl]-, tetrahydrochloride (9CI) (CA INDEX NAME)



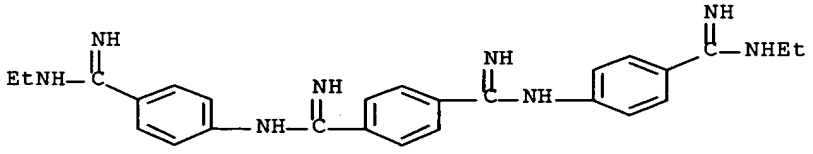
●4 HCl

RN 13239-46-8 CAPLUS
CN 1,4-Benzenedicarboximidamide, N,N''-bis[4-[(ethylamino)iminomethyl]phenyl]-, tetrahydrochloride (9CI) (CA INDEX NAME)

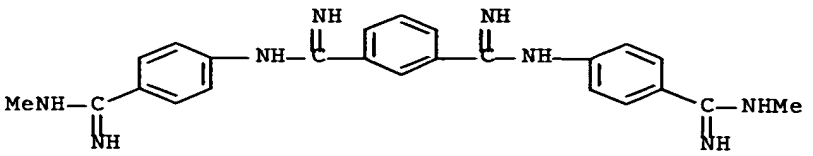


●4 HCl

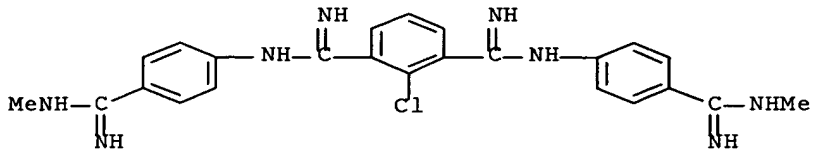
RN 13725-56-9 CAPLUS
CN Terephthalamidine, N,N''-bis[p-(ethylamidino)phenyl]- (8CI) (CA INDEX NAME)



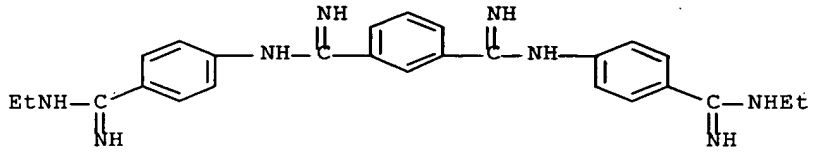
RN 13725-57-0 CAPLUS
CN Isophthalamidine, N,N''-bis[p-(methylamidino)phenyl]- (8CI) (CA INDEX NAME)



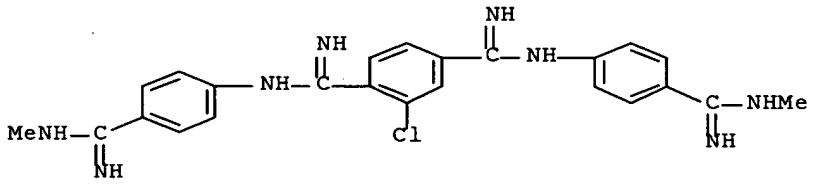
RN 13725-58-1 CAPLUS
CN Isophthalamidine, 2-chloro-N,N''-bis[p-(methylamidino)phenyl]- (8CI) (CA INDEX NAME)



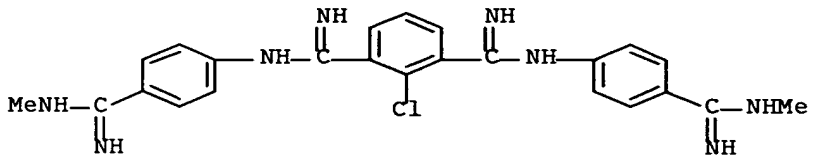
RN 13725-59-2 CAPLUS
CN Isophthalamidine, N,N''-bis[p-(ethylamidino)phenyl]- (8CI) (CA INDEX NAME)



RN 13725-60-5 CAPLUS
CN Terephthalamidine, 2-chloro-N,N''-bis[p-(methylamidino)phenyl]- (8CI) (CA INDEX NAME)

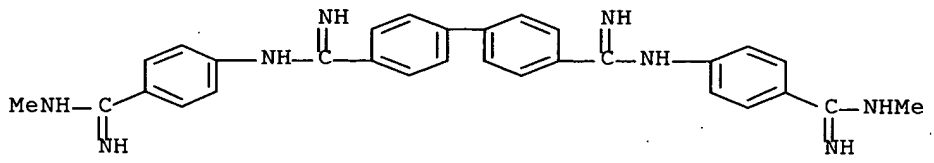


RN 13725-70-7 CAPLUS
CN Isophthalamidine, 2-chloro-N,N''-bis[p-(methylamidino)phenyl]-, tetrahydrochloride (7CI, 8CI) (CA INDEX NAME)



●4 HCl

L11 ANSWER 33 OF 48 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1967:36370 CAPLUS Full-text
DN 66:36370
TI Effect of coplanar hetero-oligo bases on the growth of experimental tumors on chick chorioallantoic membrane. I
AU Rauen, Hermann M.; Norpoth, Klaus; Boehm, E.; Bremer, K.
CS Wilhelms-Univ., Muenster, Fed. Rep. Ger.
SO Zeitschrift fuer die Gesamte Experimentelle Medizin (1967), 142(1), 95-108
CODEN: ZGEMAZ; ISSN: 0372-8722
DT Journal
LA German
AB The hetero-oligo bases, HR-2257 (3000-6000 γ/egg) and HR-2153 (1000-5000 γ/egg), inhibited growth of Yoshida sarcoma and Walker carcinosarcoma in a chorioallantoic membrane tumor system. HR-2423 (1000-5000 γegg) accelerated growth of Yoshida sarcoma; 500 γ/egg had no effect. HR-2074 accelerated growth of Jensen sarcoma at 200 γ/egg, had no effect at 500 γ/egg, and inhibited growth at 1000 γ/egg. 29 references.
IT 10122-07-3
RL: BIOL (Biological study)
(neoplasms growth response to)
RN 10122-07-3 CAPLUS
CN [1,1'-Biphenyl]-4,4'-dicarboximidamide, N,N''-bis[4-[imino(methylamino)methyl]phenyl]-, tetrahydrochloride (9CI) (CA INDEX NAME)



●4 HCl

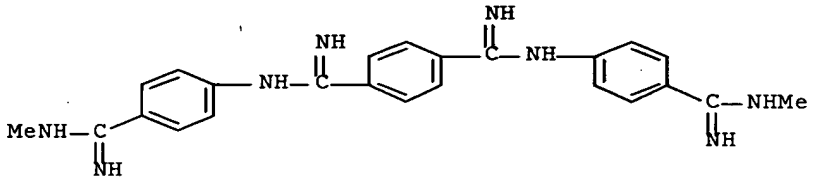
L11 ANSWER 34 OF 48 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1966:468119 CAPLUS Full-text
DN 65:68119
OREF 65:12723a-c
TI Polybasic compounds with a new mechanism of action against leukemia
AU Hirt, R.
CS Wander A.-G., Bern, Switz.
SO Intern. Congr. Chemotherapy, Proc., 3rd, Stuttgart, 1963 (1964), 2, 1055-8
DT Journal
LA German
GI For diagram(s), see printed CA Issue.
AB The new title compds. usually had configurations of the general type represented by I. Data are given on the inhibitory action of 26 of these new compds. (out of a total of 600 synthesized) against Mycobacterium tuberculosis tested in vitro and the L 1210 strain of mouse leukemia tested in mice. The terminal R groups of the I were definitely basic (such as amidine, imidazoline, or guanidine moieties). Many of these compds. had a marked

specific in vitro action against M. tuberculosis, whereas other bacteria were not affected by these compds. There was some correlation between the tuberculostatic action in vitro and the antileukemic action (prolongation of life) in mice. By conversion to derivs., the localization of these new compds. in cells could be demonstrated, fluorescing under uv light. The I were mainly localized in the nuclei of cells of warm-blooded animals (mice) and in equivalent portions of bacterial cells. Little of the I was demonstrable in the cytoplasm. Other expts. showed that I form complexes with nuclei acids, which may serve to explain their biol. action. However (in contrast to alkylating cytostatic agents) the I showed a rather low chemical reactivity. The I probably act by means of their high adsorptive power, so that at least the 1st stage of their biol. activity is of a physicochem. nature.

IT 537-51-9, Terephthalamidine, N,N''-bis[p-(methylamidino)phenyl]- (antileukemic and tuberculocidic activity of)

RN 537-51-9 CAPLUS

CN 1,4-Benzenedicarboximidamide, N,N''-bis[4-[imino(methylamino)methyl]phenyl]- (9CI) (CA INDEX NAME)



L11 ANSWER 35 OF 48 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1966:441691 CAPLUS Full-text

DN 65:41691

OREF 65:7822h,7823a-b

TI In vitro and in vivo studies of the antileukemic action of N,N''-bis[p-(N'-methylamidino)phenyl] terephthalamidine tetrahydrochloride (NSC 57155) on P815 leukemic cells

AU Winkler, Alojz; Kreis, W.; Gregg, V. C.; Purple, J. R.; Burchenal, J. H.

CS Sloan-Kettering Inst. for Cancer Res., New York, NY

SO Cancer Research (1966), 26(7), 1502-7
CODEN: CNREA8; ISSN: 0008-5472

DT Journal

LA English

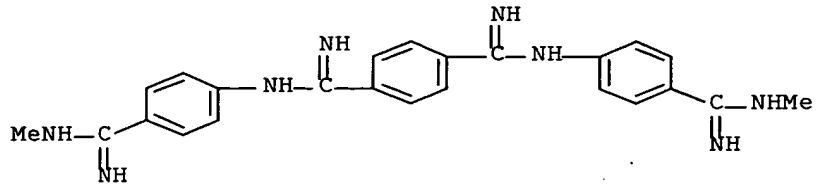
AB The effect of contact with various concns. of NSC 57155 for various incubation periods was observed on P1815Y cells in tissue culture and on sensitive P815 and resistant P815/NSC 57155 cells; the latter 2 cell types were injected into mice following incubation with the drug. NSC 57155 produced a 55% growth inhibition of P815Y cells following with 300, 100, or 1 γ/ml. for 15-30 min., 1-2 hrs., and 72 hrs., resp. Incubation of P815 or P815/NSC 57155 cells for 4 and 24 hrs. with 100 γ NSC 57155/ml. prolonged the survival time of mice inoculated with the cells from 9.7 to 17.3 days and from 10.5 to 18.6 days, resp.; shorter incubations were not effective. Most of the radioactivity from 14C-labeled NSC 57155 was incorporated into the cytoplasm of P815 and P815/NSC 57155 cells following a 2-hr. incubation with 100 γ NSC 57155/ml.; little radioactivity was found in the cell nucleus and >50% of the activity was extracted with nonpolar solvents. Incorporation of the labeled compound occurred at a slower rate in P815/NSC 57155 cells than in P815 cells. The cytostatic effect of NSC 57155 (100 γ/ml.) against P815 and P815/NSC 57155 cells was time-dependent; the latter cells were sensitive to these high

concns., but a longer incubation period was required than with the sensitive cells. 28 references.

IT 2053-23-8, Terephthalamidine, N,N''-bis[p-(methylamidino)phenyl]-, tetrahydrochloride
(as leukemia inhibitor)

RN 2053-23-8 CAPLUS

CN 1,4-Benzenedicarboximidamide, N,N''-bis[4-[imino(methylamino)methyl]phenyl]-, tetrahydrochloride (9CI) (CA INDEX NAME)



●4 HCl

L11 ANSWER 36 OF 48 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1966:422943 CAPLUS Full-text

DN 65:22943

OREF 65:4300d-e

TI Coplanar hetero-oligobases (phthalanilides) and their mechanism of cytostatic action

AU Rauen, H. M.; Haar, H.; Unterberg, W.

CS Wilhelms-Univ., Muenster, Germany

SO Arzneimittel-Forschung (1966), 16(4), 533-41
CODEN: ARZNAD; ISSN: 0004-4172

DT Journal

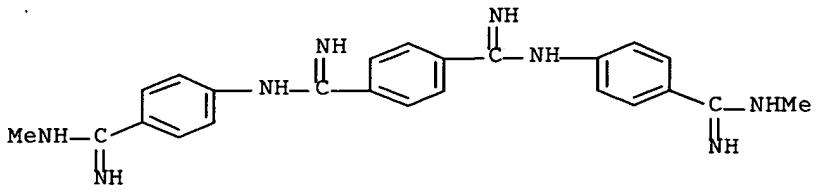
LA German

AB The bacteriostatic effects of 37 phthalanilides against Lactobacillus casei were caused by complex formation with DNA and RNA. The direct reaction between macromol. and low-mol. ligands was demonstrable by differential spectra in the uv range and by an increase in the m.p. of DNA. A parallelism existed between the bacteriostatic activity, the development of the differential spectra, and the increase in the m.p. of DNA.

IT 2053-23-8P, Terephthalamidine, N,N''-bis[p-(methylamidino)phenyl]-, tetrahydrochloride 10122-07-3P, 4,4'-Blphenyldicarboxamidine, N,N''-bis[p-(methylamidino)phenyl]-, tetrahydrochloride 10605-55-7P, Isophthalamidine, N,N''-bis[p-(ethylamidino)phenyl]-, tetrahydrochloride 10605-64-8P, Terephthalamidine, 2-chloro-N,N''-bis[p-(methylamidino)phenyl]-, tetrahydrochloride 13239-45-7P, Isophthalamidine, N,N''-bis[p-(methylamidino)phenyl]-, tetrahydrochloride 13239-46-8P, Terephthalamidine, N,N''-bis[p-(ethylamidino)phenyl]-, tetrahydrochloride 13239-47-9P, Terephthalamidine, N,N''-bis[p-(propylamidino)phenyl]-, tetrahydrochloride 13474-78-7P, Isophthalamidine, 4-chloro-N,N''-bis[p-(methylamidino)phenyl]-, tetrahydrochloride
RL: PREP (Preparation)
(bacteriostatic action of, by nucleic acid complex formation)

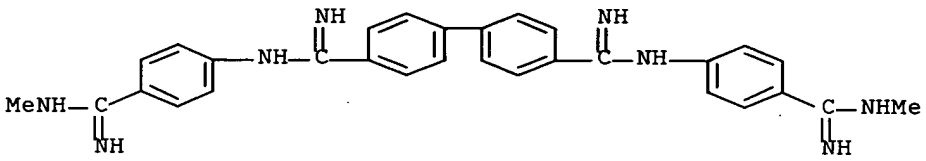
RN 2053-23-8 CAPLUS

CN 1,4-Benzenedicarboximidamide, N,N''-bis[4-[imino(methylamino)methyl]phenyl]-, tetrahydrochloride (9CI) (CA INDEX NAME)



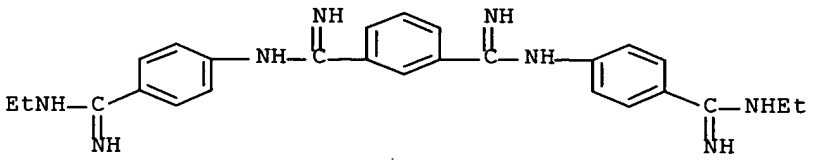
●4 HCl

RN 10122-07-3 CAPLUS
CN [1,1'-Biphenyl]-4,4'-dicarboximidamide, N,N''-bis[4-[imino(methylamino)methyl]phenyl]-, tetrahydrochloride (9CI) (CA INDEX NAME)



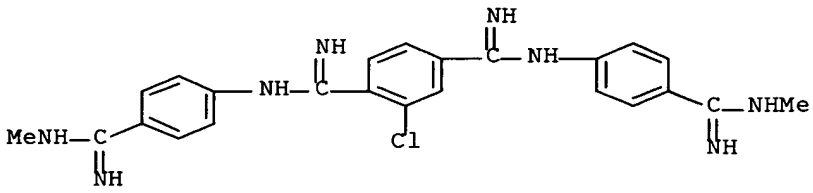
●4 HCl

RN 10605-55-7 CAPLUS
CN Isophthalamidine, N,N''-bis[p-(ethylamidino)phenyl]-, tetrahydrochloride (7CI, 8CI) (CA INDEX NAME)



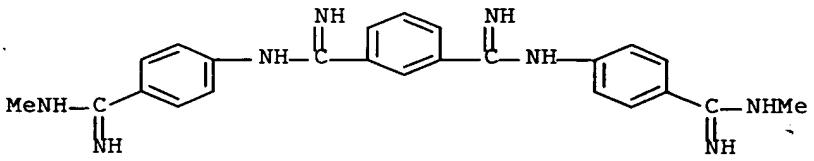
●4 HCl

RN 10605-64-8 CAPLUS
CN 1,4-Benzenedicarboximidamide, 2-chloro-N,N''-bis[4-[imino(methylamino)methyl]phenyl]-, tetrahydrochloride (9CI) (CA INDEX NAME)



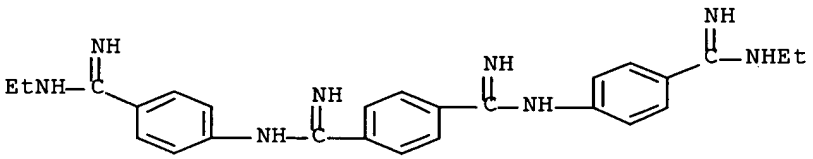
●4 HCl

RN 13239-45-7 CAPLUS
CN 1,3-Benzenedicarboximidamide, N,N''-bis[4-[imino(methylamino)methyl]phenyl]-, tetrahydrochloride (9CI) (CA INDEX NAME)



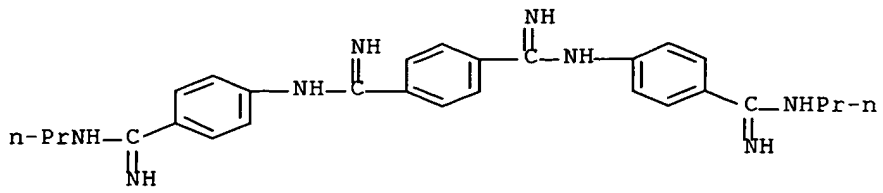
●4 HCl

RN 13239-46-8 CAPLUS
CN 1,4-Benzenedicarboximidamide, N,N''-bis[4-[(ethylamino)iminomethyl]phenyl]-, tetrahydrochloride (9CI) (CA INDEX NAME)



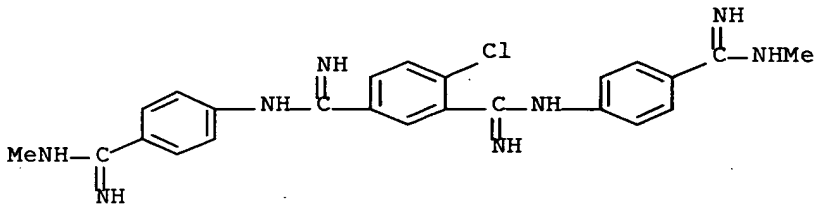
●4 HCl

RN 13239-47-9 CAPLUS
CN Terephthalamidine, N,N''-bis[p-(propylamidino)phenyl]-, tetrahydrochloride (7CI, 8CI) (CA INDEX NAME)



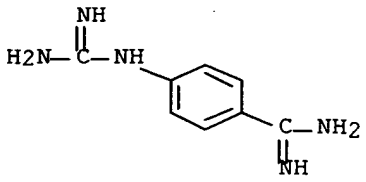
●4 HCl

RN 13474-78-7 CAPLUS
CN Isophthalamidine, 4-chloro-N,N''-bis[p-(methylamidino)phenyl]-, tetrahydrochloride (7CI, 8CI) (CA INDEX NAME)



●4 HCl

L11 ANSWER 37 OF 48 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1966:93110 CAPLUS Full-text
DN 64:93110
OREF 64:17473g-h
TI Synthesis of p-guanidinobenzamidines
AU Bauer, Victor J.; Safir, S. R.
CS Lederle Labs., Div. of Am. Cyanamid Co., Pearl River, NY
SO Journal of Medicinal Chemistry (1966), 9(2), 244-6
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
OS CASREACT 64:93110
GI For diagram(s), see printed CA Issue.
AB The synthesis of some salts of p-guanidinobenzamidines is described, a system which can be construed as a biguanide in which a p-phenylene group has been inserted between the guanyl and guanidino moieties. The specific examples synthesized are I, and II and III, isomeric with phenethylbiguanide. These compds. are synthesized by two routes starting from either p-aminobenzonitrile or p-aminobenzamidine-HCl. Blood glucose levels were not depressed significantly below controls when determined at 2 hrs. after dosing for chicks and 3 hrs. after dosing for rats.
IT 5637-02-5P, Guanidine, (p-amidinophenyl)-, dihydrochloride
5993-32-8P, Guanidine, [p-(ethylamidino)phenyl]-, diperchlorate
6190-98-3P, Guanidine, 1-(p-amidinophenyl)-3-ethyl-, dinitrate
RL: PREP (Preparation)
(preparation of)
RN 5637-02-5 CAPLUS
CN Guanidine, (p-amidinophenyl)-, dihydrochloride (7CI, 8CI) (CA INDEX NAME)

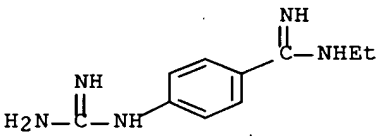


●2 HCl

RN 5993-32-8 CAPLUS
CN Benzenecarboximidamide, 4-[(aminoiminomethyl)amino]-N-ethyl-, diperchlorate (9CI) (CA INDEX NAME)

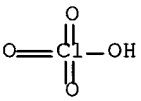
CM 1

CRN 46437-74-5
CMF C10 H15 N5



CM 2

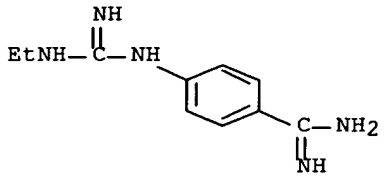
CRN 7601-90-3
CMF Cl H O4



RN 6190-98-3 CAPLUS
CN Guanidine, 1-(p-amidinophenyl)-3-ethyl-, dinitrate (7CI, 8CI) (CA INDEX NAME)

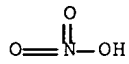
CM 1

CRN 46456-76-2
CMF C10 H15 N5

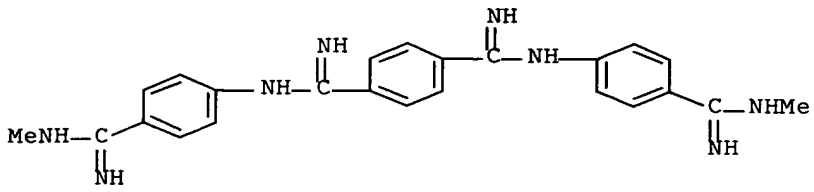


CM 2

CRN 7697-37-2
CMF H N O3

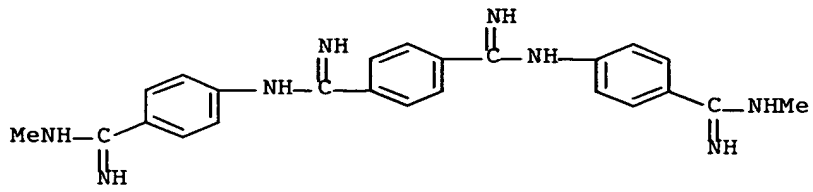


L11 ANSWER 38 OF 48 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1966:13947 CAPLUS Full-text
DN 64:13947
OREF 64:2590e-g
TI The use of mouse leukemias in the screening of drugs
AU Burchenal, J. H.; Gregg, V. C.; Purple, J. R.; Kreis, W.
CS Mem. Hosp. Cancer & Allied Disease, New York, NY
SO Intern. Congr. Chemotherapy, Proc., 3rd, Stuttgart (1964), 1963(2), 932-9
DT Journal
LA English
AB New data are tabulated and interpreted for correlations of the activity of various agents against the L 1210, P 815, B 82, and P 1081 mouse leukemias and pharmacotherapeutic activity in man. The agents tested included methotrexate, 6-mercaptopurine, thioguanine, cytoxan, vincristine, cortisone, fluorouracil, fluorodeoxyuridine, hydroxyurea, mitomycin, DON (6-diazo-5-ovo-L-norleucine), miracil D, actinobolin, isometamidium, and the phthalanilide derivative NSC 38280. All compds. active in human tumors and cancers were active against some strains of mouse leukemia. Old and new data are given on cross-resistances between various drugs. For compds. of the phthalanilide group (I), there was a lack of cross-resistance in several cases (7 such compds. tested). With some drugs (such as the I), prolongation of the life of leukemic mice was obtained at doses which were ultimately fatal. Old and new data indicated that certain compds. rendered mouse leukemias non-transplantable. Data are tabulated for 10 I compds. (control nos. and structural formulas indicated in some cases) on relations between tissue culture tests with mouse leukemia P 815 and the survival of mice treated with the same compds. Better correlations between in vitro and in vivo results were obtained for the I than for other compds. of different chemical structure. The results indicated the utility of mouse leukemia screening tests prior to pharmacotherapeutic trials of various compds. in man. 20 references.
IT 2053-23-8, Terephthalamidine, N,N''-bis[p-(methylamidino)phenyl]-, tetrahydrochloride
(as leukemia inhibitor, structure and)
RN 2053-23-8 CAPLUS
CN 1,4-Benzenedicarboximidamide, N,N''-bis[4-[imino(methylamino)methyl]phenyl]-, tetrahydrochloride (9CI) (CA INDEX NAME)



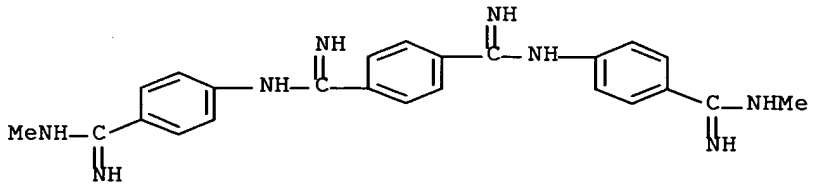
●4 HCl

L11 ANSWER 39 OF 48 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1965:502364 CAPLUS Full-text
DN 63:102364
OREF 63:18888h,18889a
TI Toxicology of antileukemic agents with special reference to phthalanilide derivatives
AU Kensler, C. J.; Palm, P. E.; Day, H. M.; Battista, S. P.; Rogers, W. I.; Yesair, D. W.; Wodinsky, I.
CS Arthur D. Little, Inc., Cambridge, MA
SO Cancer Research (1965), 25(9), 1622-37
CODEN: CNREA8; ISSN: 0008-5472
DT Journal
LA English
AB The toxicology of the antileukemic agents amethopterin, azaserine, 6-mercaptopurine, cyclophosphamide, mechlorethamine, cytoxan, 1-β-D-arabinofuranosylcytosine-HCl, 1-methyl-1-nitrosourea, 1-(2-chloroethyl)-1-nitrosourea, 1,3-bis(2-chloroethyl)-1-nitrosourea, and phthalanilide derivs., such as 4',4'-di-2-imidazolin-2-ylterephthalanilide- 2HCl, 4',4''-bis(2-imidazolin-2-ylamino)terephthalanilide-2HBr, 1,1'-m - phenylenebis [3 - [p - (2 - imidazolin - 2 - yl)phenyl] urea] - 2HCl, and N,N''-bis[p-(N'-methylamidino)phenyl]terephthalamidine-4HCl were studied for their toxicologic and therapeutic effects in normal mice and in mice bearing leukemia. Results indicate that the toxicologic problems may not be associated with structurally related compds. having antileukemic activity.
IT 2053-23-8, Terephthalamidine, N,N''-bis[p-(methylamidino)phenyl]-, tetrahydrochloride
(toxicity of)
RN 2053-23-8 CAPLUS
CN 1,4-Benzenedicarboximidamide, N,N''-bis[4-[imino(methylamino)methyl]phenyl]-, tetrahydrochloride (9CI) (CA INDEX NAME)



●4 HCl

L11 ANSWER 40 OF 48 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1965:419707 CAPLUS Full-text
DN 63:19707
OREF 63:3520g-h,3521a
TI Clinical investigation of the physiologic disposition of a phthalanilide (NSC-38280) and a phthalamidine derivative (NSC-57155) in 7 patients
AU Kreis, Willi; Ellison, R. R.; Lyman, M. S.; Burchenal, J. H.
CS Sloan-Kettering Inst. for Cancer Res., New York, NY
SO Cancer Research (1965), 25, 402-7
CODEN: CNREA8; ISSN: 0008-5472
DT Journal
LA English
AB Data are presented on blood levels, absorption, and excretion of 14C-labeled 4',4''-bis(2-imadazolin-2-yl)-2-chloroterephthalanilide-HCl (NSC-38280) after intravenous and oral administration, and on quant. and qual. excretion of 14C-labeled N,N''-bis[p-(N'- methylamidino)phenyl]terephthalamidine tetrahydrochloride (NSC-57155) after intravenous injection. Whereas only about 17% of the activity of labeled NSC-38280 was excreted in urine within 6 days, the corresponding amount of labeled NSC-57155 was approx. 49%. The excretion of radioactivity in feces with 6 days after administration of labeled NSC-57155 was only about 1%. Excretion continues for many weeks after that period, and the compound is partially stored in kidneys and liver. Qual. studies indicated that NSC-57155 is excreted in urine without change in the mol., but in the form of a salt, conjugation product, or most probably, a complex.
IT 2053-23-8, Terephthalamidine, N,N''-bis[p-(methylamidino)phenyl]-, tetrahydrochloride
(metabolism of)
RN 2053-23-8 CAPLUS
CN 1,4-Benzenedicarboximidamide, N,N''-bis[4-[imino(methylamino)methyl]phenyl]-, tetrahydrochloride (9CI) (CA INDEX NAME)



●4 HCl

L11 ANSWER 41 OF 48 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1964:461516 CAPLUS Full-text
DN 61:61516
OREF 61:10630b-d
TI Terephthalamidines
PA Dr. A. Wander A.-G.
SO 20 pp.
DT Patent
LA Unavailable
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

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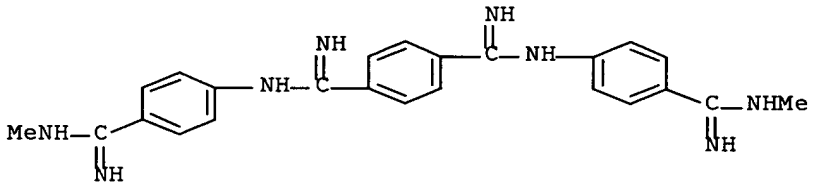
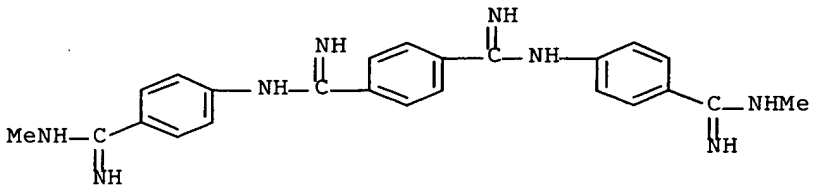
CH 405281 CH
CH 405282 CH
CH 405283 CH
GB 1016653 GB
PRAI CH 19611124
OS MARPAT 61:61516
AB Compds. of the general formula 1,4-[RN:C(X)]2C6H4, where R is H or an alkyl group and X is a halogen or alkoxy group, are treated with compds. of the general formula p-RNHC(:NR')C6H4NH2, where R and R' are the same or different and can be H, alkyl groups, or alkenyl groups, to give the title compds., which can be used against leukemia and as tuberculostats. Thus, a mixture of 11.5 g. 1,4-[MeN:CCl]2C6H4, 23.6 g. p-MeNHC(:NMe)C6H4NH2.2HCl, 150 ml. HCONMe2, and 15 ml. pyridine is heated 6 hrs. at 120° to give 15.0 g. N',N'''-bis[p-(N',N''dimethylamidino)phenyl] - N'',N'''' - dimethyltetraphthalamidine-4HCl, m. 325° (decomposition). Similarly prepared are (m.p. given): N',N'''-bis(p-imidazolin-2- ylphenyl)terephthalamidine-4HCl, 360° (decomposition); N',N'''-bis[p-(N'-methyl-N''-ethylamidino)phenyl]-N'',N''''-diethylterephthalamidine-4HCl, 245° (decomposition); N',N'''-bis[p-(N'-methylamidino)phenyl]terephthalamidine-4HCl, 240° (decomposition); N',N'''-bis[p-(N'ethylamidino)phenyl] terephthalamidine-4HCl, 248° (decomposition); N',N'''-bis[p-(N'-methylamidino)phenyl]isophthalamidine-4HCl, 260° (decomposition); 2-chloro-N',N'''-bis[p-(N'-methylamidino)phenyl]isophthalamidine-4HCl, 268°; N',N'''-bis [p-(N'-ethylamidino)phenyl]isophthalamidine-4HCl, 245°; 2-chloro - N',N'''-bis[p-(N' - methylamidino)phenyl] terephthalamidine-4HCl, 265° (decomposition).
IT 6550-09-0, Terephthalamidine, N,N''-bis[p-(methylamidino)phenyl]-, dihydrochloride
(as leukemia inhibitor)
RN 6550-09-0 CAPLUS
CN Terephthalamidine, N',N'''-bis[p-(methylamidino)phenyl]-, dihydrochloride (8CI) (CA INDEX NAME)



●2 HCl

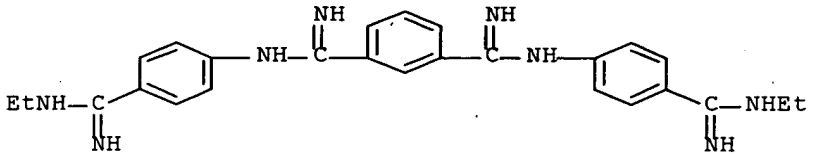
IT 2053-23-8P, Terephthalamidine, N,N''-bis[p-(methylamidino)phenyl]-, tetrahydrochloride 10605-55-7P, Isophthalamidine, N,N''-bis[p-(ethylamidino)phenyl]-, tetrahydrochloride 10605-64-8P, Terephthalamidine, 2-chloro-N,N''-bis[p-(methylamidino)phenyl]-, tetrahydrochloride 13239-45-7P, Isophthalamidine, N,N''-bis[p-(methylamidino)phenyl]-, tetrahydrochloride 13239-46-8P, Terephthalamidine, N,N''-bis[p-(ethylamidino)phenyl]-, tetrahydrochloride 13474-78-7P, Isophthalamidine, 4-chloro-N,N''-bis[p-(methylamidino)phenyl]-, tetrahydrochloride
RL: PREP (Preparation)
(preparation of)
RN 2053-23-8 CAPLUS
CN 1,4-Benzenedicarboximidamide, N,N''-bis[4-[imino(methylamino)methyl]phenyl

]-, tetrahydrochloride (9CI) (CA INDEX NAME)



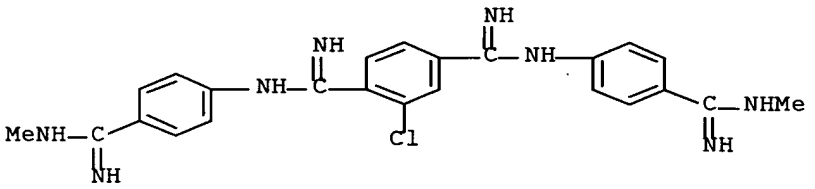
●4 HCl

RN 10605-55-7 CAPLUS
CN Isophthalamidine, N,N''-bis[p-(ethylamidino)phenyl]-, tetrahydrochloride (7CI, 8CI) (CA INDEX NAME)



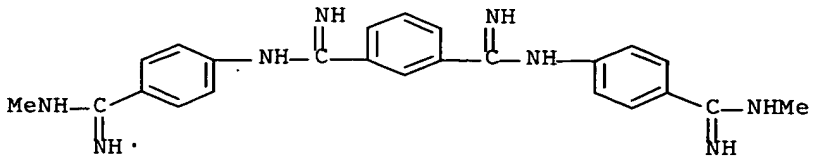
●4 HCl

RN 10605-64-8 CAPLUS
CN 1,4-Benzenedicarboximidamide, 2-chloro-N,N''-bis[4-[imino(methylamino)methyl]phenyl]-, tetrahydrochloride (9CI) (CA INDEX NAME)



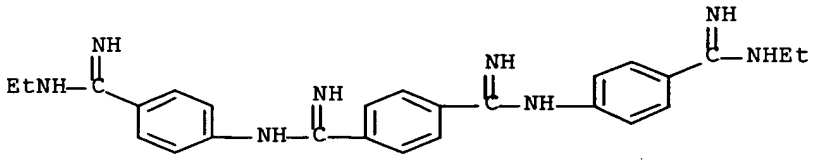
●4 HCl

RN 13239-45-7 CAPLUS
CN 1,3-Benzenedicarboximidamide, N,N''-bis[4-[imino(methylamino)methyl]phenyl]-, tetrahydrochloride (9CI) (CA INDEX NAME)



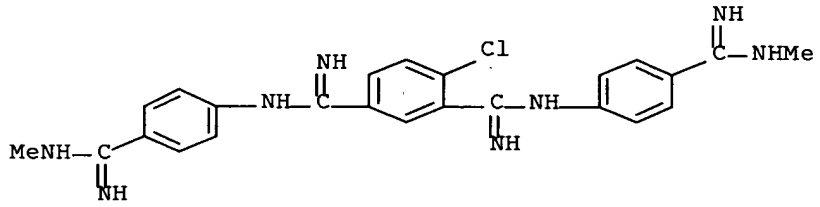
●4 HCl

RN 13239-46-8 CAPLUS
CN 1,4-Benzenedicarboximidamide, N,N''-bis[4-[(ethylamino)iminomethyl]phenyl]-, tetrahydrochloride (9CI) (CA INDEX NAME)



●4 HCl

RN 13474-78-7 CAPLUS
CN Isophthalamidine, 4-chloro-N,N''-bis[p-(methylamidino)phenyl]-, tetrahydrochloride (7CI, 8CI) (CA INDEX NAME)



●4 HCl

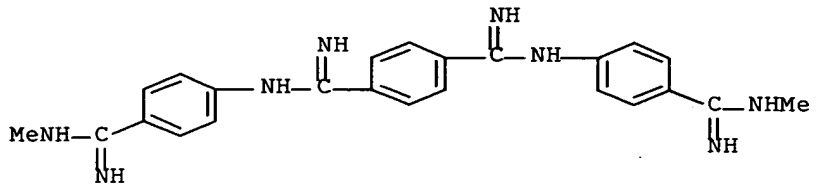
L11 ANSWER 42 OF 48 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1964:32693 CAPLUS Full-text
DN 60:32693
OREF 60:5870g-h,5871a
TI Quantitative and qualitative determination of terephthalanilides and related compounds in blood, urine, and spinal fluid
AU Kreis, Willi; Warkentin, Donald L.
CS Sloan-Kettering Inst. Cancer Res., New York, NY
SO Cancer Chemotherapy Rept. (1963), 32, 7-13
DT Journal
LA Unavailable

AB A quant. detn. of NSC-38280 (4',4''-di-2-imidazolin-2-yl-2-chloroterephthalanilide), NSC-53306 [4',4''-bis(2-imidazolin-2-ylamino)terephthalanilide-di-HCl)], and NSC-57155 [N.-N''-bis[p- (N'-methylamidino)phenyl]terephthalamidine-tetra-HCl] has been made in blood, urine, and spinal fluid. As little as 0.5 λ/ml. can be detected. The specificity of the method is limited to strongly basic compds. with primary aromatic amino groups. In addition to terephthalanilides, other basic compds. with primary aromatic amino groups may also be extracted and interfere with the color reaction. Several systems for qual. determination of terephthalanilides with thin-layer chromatography are indicated.

IT 2053-23-8, Terephthalamidine, N,N''-bis[p-(methylamidino)phenyl]-, tetrahydrochloride
(determination in blood, cerebrospinal fluid and urine)

RN 2053-23-8 CAPLUS

CN 1,4-Benzenedicarboximidamide, N,N''-bis[4-[imino(methylamino)methyl]phenyl]-, tetrahydrochloride (9CI) (CA INDEX NAME)



●4 HCl

L11 ANSWER 43 OF 48 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1964:12230 CAPLUS Full-text

DN 60:12230

OREF 60:2197g

TI Chemotherapeutic activity of phthalanilide derivatives. An approach to anticodic therapy?

AU Kensler, Charles J.

CS Arthur D. Little, Inc., Cambridge, MA

SO Cancer Research (1963), 23, 1353-63
CODEN: CNREA8; ISSN: 0008-5472

DT Journal

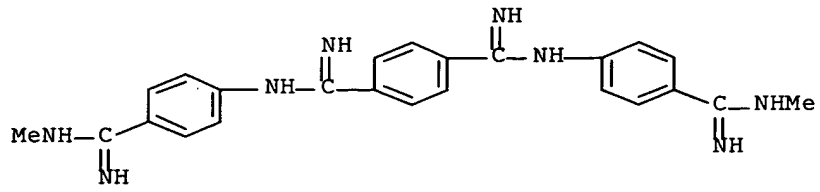
LA Unavailable

AB Unavailable

IT 2053-23-8, Terephthalamidine, N,N''-bis[p-(methylamidino)phenyl]-, tetrahydrochloride
(in cancer therapy, toxicity and)

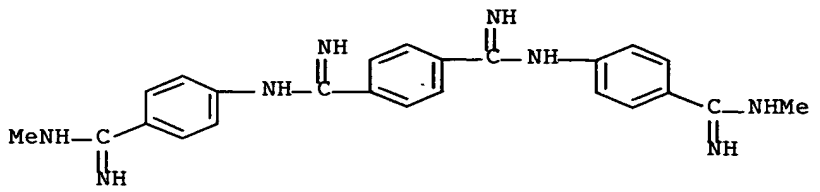
RN 2053-23-8 CAPLUS

CN 1,4-Benzenedicarboximidamide, N,N''-bis[4-[imino(methylamino)methyl]phenyl]-, tetrahydrochloride (9CI) (CA INDEX NAME)



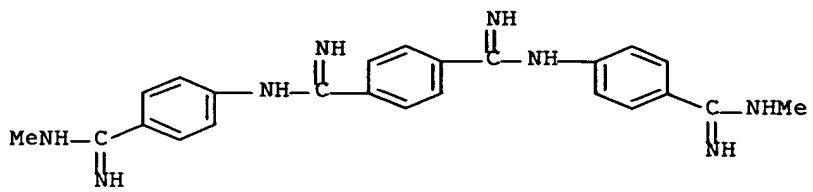
●4 HCl

L11 ANSWER 44 OF 48 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1963:485148 CAPLUS Full-text
DN 59:85148
OREF 59:15823h,15824a-b
TI Production of thrombi and emboli in the hamster cheek pouch by phthalanilides and related compds
AU York, Irene M.; Rogers, William I.; Kensler, C. J.
CS Arthur D. Little, Inc., Cambridge, MA
SO Journal of Pharmacology and Experimental Therapeutics (1963), 141(1), 36-49
CODEN: JPETAB; ISSN: 0022-3565
DT Journal
LA Unavailable
AB The compds. studied were dissolved in half- or full-strength buffer at pH 6.0-7.6 and applied topically to the everted cheek pouch of anesthetized adult golden hamsters or were administered intravenously to the cheek pouch. Some animals received 15 or 150 mg. heparin/kg. intravenously and whole-blood clotting times were studied after topical or intravenous administration of the test compound After topical application, emboli and thrombi were produced in venules and at venular junctions by concns. which varied with the compound used. Increased adhesion of leukocytes to venule walls, decreased rate of venous flow, vasoconstriction, and hemostasis were also observed. Seventeen other drugs were topically applied, and only amphoteriein B produced thromboembolism. Similar results occurred when the drugs were given intravenously. Heparin injections increased whole-blood clotting time from 3-4 min. to 6-18 hrs.; it did not prevent the effects of the test compds. which were applied topically or administered intravenously. 15 references.
IT 2053-23-8P, Terephthalamidine, N,N''-bis[p-(methylamidino)phenyl]-, tetrahydrochloride
RL: PREP (Preparation)
(in formation of embolism and thrombus)
RN 2053-23-8 CAPLUS
CN 1,4-Benzenedicarboximidamide, N,N''-bis[4-[imino(methylamino)methyl]phenyl]-, tetrahydrochloride (9CI) (CA INDEX NAME)



●4 HCl

L11 ANSWER 45 OF 48 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1963:484951 CAPLUS Full-text
DN 59:84951
OREF 59:15789d-g
TI Lack of cross-resistance to the amidino and ureido derivatives in mouse leukemias made resistant to 4',4''-bis(2-imidazolin-2-yl)-2-chloroterphthalanilide dihydrochloride (NSC 3280)
AU Burchenal, Joseph H.; Lyman, M. S.
CS Sloan-Kettering Inst. for Cancer Res., New York, NY
SO Proceedings of the Canadian Cancer Research Conference (1962), (5), 439-48
CODEN: PCCRA4; ISSN: 0068-8436
DT Journal
LA Unavailable
AB 4®,4''-Bis(2-imidazolin-2-yl)-2-chloroterephthalanilide-di-HCl (I), 4',4''-bis(2-imidazolin-2-yl)isophthalanilide-di-HCl (II), 4',4''-bis(2-imidazolin-2-yl)terephthalanilide-di-HCl (III), 1,1'-m-phenylenebis[3-[p-(2-imidazolin-2yl)phenyl] urea]-di-HCl (IV), 1,1'-p-phenylenebis[3-[m-(2imidazolin-2-yl)phenyl]urea]-di-HCl (V), and 4',4''-bis(p-monomethylamindinophenyl)terephthalamidine (VI) were tested against sensitive and resistant leukemias and had a higher degree of activity against a broader spectrum of mouse leukemias than any other class of compds. Initial cross-resistance studies showed that III and its nitro derivative and II were all completely inactive against L 1210, P 388, and P 815 leukemias. IV, V, and VI were active against the sublines of both P 388 and P 815 leukemias made resistant to I. IV and V differ from the original terephthalanilides and isophthalanilides in having ureido groups on either side of the central ring instead of amide linkages, in addition to the meta configuration of some of the ring systems. In VI, the amide linkages on either side of the central ring are replaced by amidino groups and the imidazoline groups at either end of the mol. have been replaced by methyl amidines. Since IV and V have intact imidazoline groups at either end and either the central or the aniline rings in the meta configuration, the only change which IV, V, and VI have in common is the loss of the amide linkage on either side of the central ring and this suggested the development or activation of an amidase in the resistant leukemias which cleaved the molecule. Preliminary studies with labeled I with leukemic cells from sensitive and resistant lines of P 815 have so far shown no difference in metabolic products on thin-layer chromatography.
IT 6550-09-0, Terephthalamidine, N,N''-bis[p-(methylamidino)phenyl]-, dihydrochloride
(leukemia inhibition by, cross-resistance in relation to)
RN 6550-09-0 CAPLUS
CN Terephthalamidine, N',N'''-bis[p-(methylamidino)phenyl]-, dihydrochloride (8CI) (CA INDEX NAME)



●2 HCl

L11 ANSWER 46 OF 48 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1961:27935 CAPLUS Full-text
DN 55:27935
OREF 55:5521e-i,5522a-i,5523a-i
TI Search for chemotherapeutic amidines. XVI. Amidinoanilino-1,3,5-triazines and related compounds
AU Ashley, J. N.; Berg, S. S.; MacDonald, R. D.
CS May & Baker, Dagenham, UK
SO Journal of the Chemical Society (1960) 4525-32
CODEN: JCSOA9; ISSN: 0368-1769
DT Journal
LA Unavailable
GI For diagram(s), see printed CA Issue.
AB cf. CA 52, 14559e. A series of amidinoanilino-1,3,5-triazines was prepd. Only 2,4-bis(p-amidinoanilino)-6-amino-1,3,5-triazine (I) had significant activity against Trypanosoma congolense. Attempts to improve this activity by various modifications to the structure gave less active products. 2-Amino-4,6-dichloro-1,3,5-triazine (II) was first prepared (0.5 molar scale) with Et2O as the solvent for the cyanuric chloride; NH3 was admitted (cooling bath at -20 to -25°) so that the internal temperature did not rise above -5°. II (66-79%) crystallized from C6H6 in white prisms, m. 234-5° (decomposition). The following method was preferred for preparation of larger amts. of II. Cyanuric chloride (553.5 g.) in 6 l. dry CHCl3 treated 48 min. with NH3 at a rate of 3 l./min. (below -12°) gave 638 g. II containing NH4Cl; purification gave 367 g. pure II. 4,6-Dichloro-2-methylamino-1,3,5-triazine (82%), m. 160-1°, and 84% 4,6-dichloro-2-ethylamino-1,3,5-triazine, m. 106-7°, were prepared by a known method. 4,6-Dichloro-2-diethylamino-1,3,5-triazine (96%) m. 79-80°; 4,6-dichloro-2-methoxy-1,3,5-triazine (61%) m. 90-1°. II (165 g.) in 220 ml. anisole added in one portion to 472 g. p-aminobenzonitrile in 2400 ml. anisole at 100-110°, the bath temperature raised to 180-5°, refluxed 1.5 hrs., the mixture cooled, filtered, and the solid stirred 1 hr. at 20-5° with 10 l. 2N NaOH gave 325 g. 2-amino-4,6-bis(p-cyanoanilino)-1,3,5-triazine (III), yellow prisms, m. 339-41° (PhNO2, C5H5N, or HCONMe2). The following 1,3,5-triazines were prepared by the same method as for III: 70% 4,6-bis(p-cyanoanilino)-2-methylamino-, yellow, m. 281-2° (PhNO2); 67% 4,6-bis(p-cyanoanilino)-2-ethylamino-, prisms, m. 249-51° (PhNO2); 60% 4,6-bis(p-cyanoanilino)-2-diethylamino-, prisms, m. 205-7° (anisole); 60% 2-amino-4,6-bis(p-nitroanilino)-, green prisms, m. above 300° (PhNO2); 64% 2-diethylamino-4,6-bis(p- nitroanilino)-, yellow needles, m. 316-17° (PhNO2); 87% 4,6-bis(p-cyanoanilino)-2-methoxy-, white needles, m. above 300° (AcOH); and 58% 2-amino-4,6-bis(m-cyanoanilino)-1,3,5-triazine as the monoacetate, m. 240-2° (AcOH). p-Aminobenzonitrile (70.8 g.) in 250 ml. CHCl3 added dropwise during 1 hr. to 55.35 g. cyanuric chloride in 500 ml. CHCl3 at 15-20°, and the solid washed with 2N HCl gave 65 g. 2,4-dichloro-6-(p-cyanoanilino)-1,3,5-triazine (IV). white rhombs, m. above 300° (Me2CO, EtCOMe, or dioxane). Condensation carried out in Me2CO or EtCOMe at 30-5°, 45-50°, or 55-60° gave IV; no 2-chloro-4,6-bis(p-cyanoanilino)-1,3,5-triazine was obtained. II (16.5 g.) added to 23.6 g. p-hydroxybenzonitrile in 8 g. NaOH and 125 ml. H2O at 8-10°, the temperature allowed to rise during 2 hrs. to 25°, the mixture heated rapidly to 90-5°, kept 4 hrs. at this temperature, and filtered gave 23.5 g. 2-amino-4,6-bis(p-cyanophenoxy)-1,3,5- triazine, white plates, m, 288-9° (EtOCH2CH2OH). The following were prepared similarly: 72% 4,6-bis(p-cyanophenoxy)-2-diethylamino-1,3,5- triazine, white needles, m. 144-5° (alc.), and 57.5% 2-amino-4,6-bis(p-dimethylaminoanilino)-1,3,5-triazine, m. 283-6° (PhNO2) (the di-HCl salt was deliquescent). The bis-(methosulfate), m. 274-6° (decomposition), was prepared from the base and Me2SO4 at 100° in PhNO2. 4,6-Bis(p-cyanoanilino)-2-methoxy-1,3,5-triazine (3.4 g.) and 1 g. PhCH2NH2 in 100

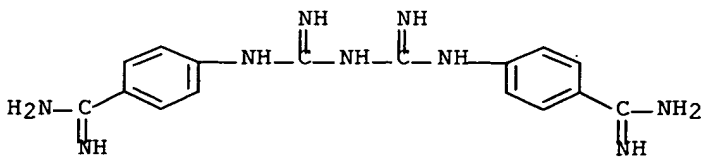
ml. PhNO2 heated 4-5 hrs. at 190° gave 1.3 g. 4,6-bis(p-cyanoanilino)-2-hydroxy-1,3,5-triazine as a crude product (insol. in alcs., hydrocarbons, AcOH, dioxane, anisole, PhCl, PhNO2, and cresylic acid). 2-Amino-4,6-bis(p-nitroanilino)-1,3,5-triazine (18.3 g.) suspended in 183 ml. refluxing AcOH treated in one portion with 183 g. SnCl2 in 183 ml. concentrated HCl, stirred 2 hrs. at 100°, cooled, the chloride filtered off and washed, and the red base filtered and treated with 2N HCl gave 9 g. 2-amino-4,6-bis(p-aminoanilino)-1,3,5- triazine-2HCl, white needles, m. above 300° (2N HCl). 4,6-Bis(p-aminoanilino)-2-diethylamino-1,3,5-triazine, obtained in 61% yield as pink needles, m. 196-7° (C6H6); di-HCl salt m. above 280°. p-Aminobenzonitrile (23.6 g.) in 150 ml. Me2CO containing 0.05 g. KI added to 13.3 g. 2,4-dichloro-6-(p-cyanoanilino)-1,3,5-triazine in 600 ml. refluxing Me2CO, the mixture refluxed 16 hrs., and the suspension filtered gave 14.1 g. 2,4,6-tris(p-cyanoanilino)-1,3,5-triazine, yellow, m. above 300° (PhNO2). 4,6-Diaminoquinaldine (8.7 g.) in 200 ml. AcOH stirred 3 hrs. at 40-50° with 13.3 g. 2,4-dichloro-6-(p- cyanoanilino)-1,3,5-triazine (V) in 200 ml. AcOH and the solid ground up with 2N NaOH gave 8.4 g. 4-(4-aminoquinaldin-6-ylamino)-2-chloro-6-(p- cyanoanilino)-1,3,5-triazine, yellow needles, m. above 300° (aqueous alc.). 2-Diethylaminoethylamine (11.6 g.) in 100 ml. Me2CO added dropwise to a suspension of 13.3 g. V in 200 ml. Me2CO, kept 3 hrs., evaporated, and the residual gum dissolved in 2N HCl gave (after basification) 10 g. 2-chloro-6-(p-cyanoanilino)-4-(2-diethylaminoethylamino)-1,3,5-triazine (VI), m. 143-5° (alc.). VI (16.1 g.) and 9.5 g. p-aminobenzonitrile in 120 ml. anisole refluxed 4 hrs. and the mixture filtered and ground with 2N NaOH gave 8.1 g. 4-(4-aminoquinaldin-6- ylamino)-2,6-bis(p-cyanoanilino)-1,3,5-triazine, brown prisms, m. above 300°. 2,6-Bis(p-cyanoanilino)-4-(2-diethylaminoethylamino)-1,3,5- triazine was prepared similarly (40% yield) from the corresponding 2-chloro compound as white prisms, m. 155-9° (alc.). V (26.6 g.) and 80 g. PhOH heated at 182-9°, NH3 bubbled through for 6 hrs., the mass ground with 500 ml. H2O, and the product crystallized gave 18.3 g. 2,4-diamino-6-(p-cyanoanilino)-1,3,5-triazine, m. 282-3° (PhNO2). Na dicyanamide (44.5 g.) in 250 ml. H2O refluxed 6 hrs. with 129.8 g. p-aminobenzonitrile in 110 ml. concentrated HCl and 880 ml. H2O and the precipitate collected, washed (2N NaOH), and crystallized gave 52.4 g. N1,N5-bis(p- cyanophenyl)biguanide (VII), m. 203-4° (slight decomposition). VII (23 g.) in 150 ml. 90% HCO2H refluxed 3 hrs., cooled to 30°, and the product isolated gave 10.5 g. 2,4-bis(p-cyanoanilino)-1,3,5-triazine, prismatic needles, m. above 300° (PhNO2). VII (20 g.), 60 ml. Me2CO, and 0.9 ml. piperidine refluxed 2.5 hrs. gave 18.5 g. 2,4-bis(p-cyanoanilino)-1,6-dihydro-6,6-dimethyl-1,3,5-triazine, m. 269-71° (decomposition) (MeOH). 2,4-Bis(p-cyanoanilino)-6-ethyl-1,6- dihydro-6-methyl-1,3,5-triazine, similarly prepared in 85% yield from EtMeCO as white needles, m. above 360°. 2-Diethylamino-4,6-bis(p- guanidinoanilino)-1,3,5-triazine, similarly prepared in 84% yield as white prisms, m. 174-5° (decomposition). III (500 g.) suspended in 1.5 l. dry alc. was ground 4 days in a ball-mill, the suspension saturated 12 hrs. at -15 to -20° with dry HCl, and the solid collected, washed, and dried; the yields of diimidoate (VIII) from 2 runs were 1400 g. and 1250 g. VIII stirred with dry alc. and added at 20-5° to liquid NH3 in alc., the mixture stirred 6 hrs. at 50-5° and cooled, the solid filtered off and added (at 90°) to 20 l. 0.5N HCl, the mixture refluxed, filtered, treated with C, refiltered, cooled, and treated with HCl gave 804 g. and 606 g. crude 4,6-bis(p-amidinoanilino)-2-amino-1,3,5-triazine-3HCl.2H2O (IX) from two runs. IX (50 g.) in hot MeOH cooled to 25°, treated with C, filtered, and treated with 300 ml. concentrated HCl gave 20-5 g. IX, m. above 360°. The amorphous diamidine base (80% yield), m. 195-8° (decomposition), was obtained by grinding the salt, VIII, with MeOH-2N NaOH; it did not crystallize; the diphosphate m. above 290°. The acid-insol. material obtained at the amination stage was essentially the corresponding 4,4'-dicarboxamide. The corresponding di-HCl salt, decomposing 255° (10.6 g.) ground with 2N NaOH and ice with 0.2 ml. Lissapol N gave 7 g. of the diimidoate. Treatment with aqueous alc. and NH4Cl yielded 12% 2-amino-4,6-

bis[p-(ethoxyiminomethyl)anilino]- 1,3,5-triazine (together with the dicarboxamide). When ammonium isethionate was used in this conversion, only the dicarboxamide was obtained. A mixture of III (3.5 g.) and 3.5 g. ammonium benzenesulfonate heated 2.5 hrs. at 300° in a stream of NH3 and cooled, the melt powdered and dissolved in 15 ml. hot H2O, and the mixture filtered and cooled gave 2.3 g. melamine benzenesulfonate, m. above 300°. Treatment of a hot aqueous solution with NaOH gave melamine. Concentration of the aqueous liquors gave 0.2 g. p-aminobenzamide, m. 180-3°. Extraction of the initial aqueous mother liquor gave 0.1 g. p-aminobenzonitrile, m. 86-8°. The following p-[H2N(HN:)C]C6H4XC:N.C{XC6H4[C(:NH)NH2]p}:N. CR:N were prepared from the resp. nitriles by the Pinner method (X, R, alc. and solvent for imidoate preparation, time in days for imidoate preparation, amidine salt, crystallization solvent, % yield, and m.p. of product given): NH, NEt2, alc., 10, 2HCl, MeOH, 42, above 300°; O, NH2, alc.-CHCl3, 5, 2HCl, MeOH-Me2CO, 33, 160° (decomposition); O, NEt2, alc.-CHCl3, 5, 2HCl, MeOH-Me2CO, 45, 255-7° (decomposition); NH, NHMe, alc., 14, 2HCl, aqueous NaCl, 53, 319-20° (decomposition); NH, NHEt, alc., 21, 2HCl, aqueous NaCl, 55, 275-6° (decomposition); NH, NH(CH2)2NEt2, alc.-CHCl3, 6, 3HCl, MeOH-Me2CO, 42, 245-7° (decomposition); NH, 4-aminoquinaldin-6-ylamino, alc.-PhNO2, 21, 3HCl, MeOH, 26.5, above 300°; NH, OMe, alc., 21, 2HCl, MeOH-Me2CO, 41, above 300°; NH, p-amidinoanilino, HO(CH2)2OEt, 30, 4MeSO3H, MeOH, 23.3, 212-14° (decomposition); NH, H, alc., 21, 2MeSO3H, MeOH, 60, 306-8° (decomposition). N1,N5-Bis(p-amidinophenyl)biguanide was prepared by the general method (using 2-ethoxyethanol as the alc.); the imidoate preparation was kept 1 month; the diamidine-3HCl (13.2%) separated as white crystals, m. 355-6° (decomposition). 6-(p-Amidinoanilino)-2,4-diamino-1,3,5-triazine was prepared in 61% yield as the HCl salt after 4 weeks, m. 306-8° (decomposition). The imidoate preparation was kept 3 days only and a 62% yield of 2,4-bis(p-amidinoanilino)-1,6-dihydro-6,6-dimethyl-1,3,5-triazine-3HCl separated as white prisms, m. above 300° (MeOH-Me2CO). 2,4-Bis(p-ami- dinoanilino)-6-ethyl-1,6-dihydro-6-methyl-1,3,5-triazine-3HCl was similarly prepared (70% yield), prisms, m. above 300° (Me2CO-MeOH). The following N-alkylamidines were obtained from the diimidoate-3HCl and the requisite amine in alc.: 56% 2-amino-4,6-bis[p-(N-methylamidino)]- (from MeOH-Et2O) and 2-amino-4,6-bis[p-(N,N-dimethylamidino)anilino]-1,3,5- triazine-2HCl (from MeOH-Et2O). 3-Dimethylaminopropylamine (20.4 g.) added to 16.5 g. II in 50 ml. H2O, the mixture heated slowly to the b.p., a solution of 8 g. NaOH in 40 ml. H2O added during 1 hr., and the mixture refluxed 2 hrs. and extracted with CHCl3 gave a liquid, b0.2 200°, which solidified to a glass (25% yield). This base (5.8 g.) in 50 ml. EtOH treated with 5.7 g. tartaric acid in 25 ml. alc. gave the bis(H tartrate) of 2-amino-4,6-bis(3-dimethylaminopropylamino)-1,3,5-triazine, m. 78-80°. The base (7.2 g.) in 50 ml. Me2CO treated with 3.5 ml. MeI gave the MeI salt. This product dissolved in H2O and heated 5 min. with 1 mole diammonium 4,4'-diaminostilbene-2,2'-disulfonate gave the bisquaternary 4,4'-diaminostilbene-2,2'-disulfonate, pinkish powder, m. 283-5° (decomposition). 4,6-Bis(m-amidinoanilino)-2-amino-1,3,5- triazine was prepared by the standard method (using alc. as the solvent). The preparation kept 3 weeks afforded the di-HCl salt, m. above 300° (MeOH).

IT 101424-83-3P, Biguanide, 1,5-bis(p-amidinophenyl)-, trihydrochloride
RL: PREP (Preparation)
(preparation of)

RN 101424-83-3 CAPLUS

CN Biguanide, 1,5-bis(p-amidinophenyl)-, tri-hydrochloride (6CI) (CA INDEX NAME)



●3 HCl

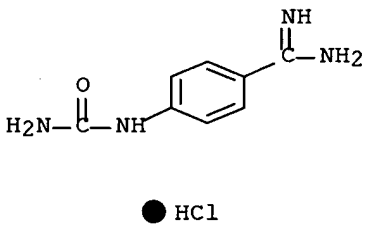
L11 ANSWER 47 OF 48 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1954:60262 CAPLUS Full-text
DN 48:60262
OREF 48:10632g-i,10633a-f
TI Derivatives of 4-aminophenylamidines
AU Peyron, Louis; Peyron, Jacqueline
SO Bulletin de la Societe Chimique de France (1953) 846-52
CODEN: BSCFAS; ISSN: 0037-8968
DT Journal
LA Unavailable
OS CASREACT 48:60262
AB A no. of 4-amino derivs. of PhC(:NH)NH2, desired for anthelmintic and toxicity studies, were prepared from the nitriles 4-RCONHC6H4CN (I) or derivs. of 4-NCC6H4NHCO2H (II). The Schotten-Baumann method was used to prepare (Ia) (R = C11H23) (75% yield) and (Ib) (R = Ph) from 4-H2NC6H4CN (III). Refluxing 11.8 g. III, 6 g. urea, and 100 cc. N HCl 3 hrs., filtering the hot mixture, cooling the filtrate, and collecting and drying the precipitate gave 80% (Ic) (R = H2N) m. 244°; similarly, PhNCO gave (Id)(R = PhNH). Adding 20 g. COCl2 to 11.8 g. III in 100 cc. of 50% aqueous dioxane (keeping the solution alkaline by addition of small amts. of aqueous Na2CO3), stirring 1 hr., collecting and drying the precipitate, extracting it with dilute HCl, washing with H2O, and recrystg. from dilute alc. gave 77% (Ie) (R = 4-NCC6H4NH), m. 305°. Refluxing 4.83 g. Ic, 1.13 g. of 95% N2H4, and 10 cc. of CH2(OH)2 6 hrs. with constant removal of the NH3 in a current of N, pouring the mixture into 200 cc. H2O, cooling, boiling the resulting precipitate 15 min. with 10 cc. H2O and 10 cc. Me2CO, filtering the solution, and cooling, give 62% 4-NCC6H4NHCONHN:CMe2, m. 212°. Acid hydrolysis gave the semicarbazide (If) (R = NHNH2), m. 189°. Similarly is obtained 65% (Ig) (R = PhNHNH), m. 210°. Heating a suspension of 13.5 g. PhNHCOMe and 21 g. P2O5 in 50 cc. anhydrous C6H6 2 hrs. at 40°, gradually adding 11 g. III in C6H6, warming 4 hrs. on the steam bath, evaporating the solvent in vacuo, treating the residue with 5% NaOH, and collecting the precipitate, washing with H2O, and recrystg. from MeOH gives 4-NCC6H4NHC(:NPh)Me (IV), m. 154°; the same procedure gives 82% 4-NCC6H4NHC(:NPh)C11H23 (V), m. 100°. Boiling a mixture of 11.8 g. III, 8 cc. concentrated HCl, 12 g. PhNHCN, and 30 cc. tert-BuOH 15 hrs., making it alkaline with NH3, collecting the precipitate and recrystg. from MeOH and then from iso-PrOH, gives 43% 4-NCC6H4NHC(:NH)NHPh (VI), m. 165°. Id was also prepared by way of II as follows: Adding 3.3 g. freshly-precipitated NaN3 to 8.3 g. 4-NCC6H4COCl in 25 cc. C6H6, refluxing 1 hr., adding 5.5 g. PhNH2, refluxing 15 min., adding H2O, collecting the precipitate and recrystg. it from alc. gives 45% Id, m. 198°. 4-NCC6H4NHCO2Et did not react with N2H4 to give If, nor with PhOH to give the Ph ester. The desired amidines, 4-RCONHC6H4C(:NH)NH2 (VII) were prepared by treating the I under scrupulously anhydrous conditions with gaseous HCl in EtOH or MeOH, letting the mixture stand 4 days at 0°, passing in dry NH3, again letting stand at room temperature several days, collecting the precipitate and recrystg. it from 5% HCl in the presence of C black. The following VII were prepared as the HCl

salts (R, % yield, m.p., moles of H2O of crystallization): C11H23, 28, 235°, 1; Ph, 45, 275° (decomposition), 0.5; NH2, 38, above 320°, 0.5; PhNH, 40, 310-20° (decomposition), 1; 4-NH2C(:NH)C6H4NH, 34, 325-7° (decomposition), 1; H2NNH, -, -, 0.5 (dipicrate, m. 235°); PhNHNH, -, -, 0 [dipicrate, m. 215° (decomposition)]. The 4-amidino analogs of IV, V, and VI could not be prepared by this method. The VII may also be rapidly and easily prepared by fusion of the I with an NH4 salt; however, it was not possible to obtain HCl salts by this method. Heating 2.6 g. (p-NCC6H4NH)2CO and 7.6 g. KNCS (sic) 3 hrs. to 180-90°, cooling the mixture, extracting the solid with 250 cc. boiling H2O, filtering the mixture, pouring the filtrate into 50 cc. of an alc. solution of picric acid, and recrystg. the resulting precipitate from dilute EtOH gives 60% [p-H2NC(:NH)C6H4NH]2CO (VIIa) as the dipicrate, m. 191°; this could not be converted to the di-HCl salt without cleaving the ureido function. Similarly, PhSO3NH4 gave VIIa as the bis(benzenesulfonate) (yield 50%). m. 174°. This could not be converted to the di-HCl salt on an Amberlite IR4B column because of cleavage of the ureido function. Boiling 3.1 g. VIIa.2HO3SPh with 3 g. BzOK and 20 cc. H2O several min., cooling the mixture, collecting the precipitate and crystallizing it from MeOH in the presence of C gave 92% VIIa.2HOBz, m. 241° (sublimation). The di-HCl salts of the VII were active in anthelmintic tests; the dipicrates were toxic, the bis(benzenesulfonates) were active but toxic, and the di-benzoates were neither active nor toxic.

IT 290830-47-6P, Urea, (p-amidinophenyl)-, hydrochloride
RL: PREP (Preparation)
(preparation of)

RN 290830-47-6 CAPLUS

CN Benzenecarboximidamide, 4-[(aminocarbonyl)amino]-, monohydrochloride (9CI) (CA INDEX NAME)



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AN 1946:9982 CAPLUS Full-text

DN 40:9982

OREF 40:1807h-i,1808a-i,1809a-d

TI Chemotherapeutic agents of the sulfone type. II. Sulfones related to benzamidine and benzylamine

AU Fuller, A. T.; Tonkin, I. M.; Walker, James

CS Natl. Inst. for Med. Research, London

SO Journal of the Chemical Society (1945) 633-40
CODEN: JCSOA9; ISSN: 0368-1769

DT Journal

LA Unavailable

OS CASREACT 40:9982

AB Marked antibacterial activity was obsd. in p-MeSO2C6H4C(NH2):NH and further examination showed this property to be shared by the lower p-alkylsulfonylbenzamidines and -benzylamines. When the functional groups occupied the m-positions, activity was greatly reduced and the structural

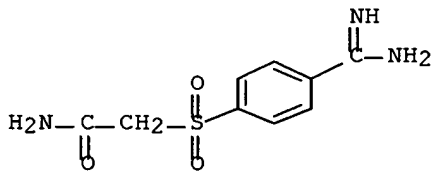
requirements for high activity are that the compds. should conform to the type p- RSO2C6H4CR'R''NH2, where R may be a small alkyl group (or NH2 group) and R' and R'' may be an NH group or 2 H atoms, or 1 H and 1 Me group, such that basic powers are not impaired. Complete data are given for the antibacterial activity in vitro and the structural relations are discussed. p-MeSO2C6H4NH2 (200 g.) was warmed with 245 cc. concentrated HCl and 530 cc. H2O until solution resulted, the mixture cooled in ice, treated with 81 g. NaNO2 in 200 cc. H2O, and the solution poured into 280 g. NaCN and 270 g. hydrated NiCl3 in 1800 cc. H2O heated in boiling H2O; there resulted 140-50 g. of p-methylsulfonylbenzonitrile (I), m. 141°. I (50 g.) in 50 cc. absolute EtOH and 300 cc. CHCl3, saturated at 0° with dry HCl, the mixture allowed to stand at 0° for 4-7 days, the solvent and HCl removed in vacuo at room temperature, and the residue treated at 37° with 10% EtOH-NH3 for 4-6 days, gives 78% of p-methylsulfonylbenzamidine-HCl, m. 294°; benzoate, m. 240-1°; the solubility of the HCl salt in H2O at room temperature is about 10% and the pH of a 1% solution is 6; the solubility of the benzoate in H2O is 1.3% at room temperature and 10.6% in boiling H2O. p-NCC6H4SO2Na (II) (preparation in 87% yield given) (13.6 g.) and 15 cc. EtI in 30 cc. 60% EtOH, refluxed for 16 h., give 13.3 g. of p- ethylsulfonylbenzonitrile, m. 94-5°; 11 g. yields 13.5 g. of p-ethylsulfonylbenzamidine-HCl, m. 246-7°. II (6.3) and 8 cc. CH2:CHCH2Br in 70% EtOH, refluxed 4 h., give 6.9 g. of p-allylsulfonylbenzonitrile, m. 92-3°; catalytic reduction of 11.95 g. in AcOEt with 2% Pd-SrCO3 for 0.5 h. gives 10.2 g. of p- propylsulfonylbenzonitrile, m. 84-5°; 9.94 of the nitrile yields 11.5 g. of p-propylsulfonylbenzamidine-HCl, m. 215-16°. II (9.7 g.) and 10 cc. BuBr in 40 cc. PrOH and 10 cc. H2O, refluxed 14 h., give 8.3 g. of p-butylsulfonylbenzonitrile, m. 75-6°; 8.25 g. yields 9 g. of p-butylsulfonylbenzamidine benzoate, pale yellow plates, m. 224-5° (decomposition), or fine needles, m. 227° (decomposition). II (6.3 g.) and 5.5 cc. PhCH2Cl in 32 cc. 90% EtOH, refluxed 9 h., give 7.3 g. of p-benzylsulfonylbenzonitrile, m. 194-5°; 12.2 g. yields 9.8 g. of p-benzylsulfonylbenzamidine-HCl, with 1 mol. H2O, m. 215-16°. II (12.6 g.) and 6.5 cc. ClCH2Ac in 70 cc. EtOH and 10 cc. H2O, refluxed 8.5 h., give 12 g. of p-cyanophenylsulfonylacetone, m. 112-13°; this yields p-acetonylsulfonylbenzamidine-HCl, m. 193-4°. II (10 g.) and 5 g. ClCH2CONH2 in 45 cc. EtOH, refluxed 10 h., give 8.2 g. of p-cyanophenylsulfonylacetamide, m. 204°; 7.5 g. gives 9.6 g. of p-carbamylmethylsulfonylbenzamidine-HCl, with 0.5 mol. H2O, m. 235-6° (decomposition). II (12.6 g.) and 6 g. ClCH2CN in 27 cc. 90% EtOH, refluxed 12 h., give 11.2 g. of p-cyanophenylsulfonylacetonitrile, m. 142-3°; this yields 18.9 g. of p-guanylmethylsulfonylbenzamidine- 2HCl, m. 283° (decomposition). p-PhSO2C6H4CONH2 (22.9 g.), 23 cc. POCl3, and 100 cc. CHCl3, refluxed 3 h., give p-phenylsulfonylbenzonitrile, m. 126°; 14 g. yields p-phenylsulfonylbenzamidine-HCl, with 0.5 mol. H2O, m. 201-2°. p-MeSO2C6H4CN (III) (94 g.), added during 0.5 h. to 200 cc. 75% H2SO4 at 150° and heated at 190° for 3 h., gives 99.1 g. of p-methylsulfonylbenzoic acid (IV), m. 267-8°; 30 g. of II, treated with SOCl2 and the acid chloride shaken with 50 cc. 30% aqueous MeNH2 for 1 h. and allowed to stand 36 h. at room temperature, gives 29.2 g. of N-methyl-p-methylsulfonylbenzamide (V), m. 192°. V (10 g.) and 10 g. PCl5 in 100 cc. PhMe, warmed 3 h. on the water bath and the residue treated with 10% EtOH-NH3 at 37° for several days, give 5 g. of N-methyl-p-methylsulfonylbenzamidine-HCl, m. 246°; a purer product results with a mixture of 22% weight/volume EtOH-MeNH2 and absolute EtOH for 8 days at 37°; if the reaction is carried out with 2.75 mol. proportions of Me2NH for 5 days, 12 g. of V gives 12.9 g. of N,N-dimethyl-p- methylsulfonylbenzamidine-HCl, m. 274-5°. V (12 g.) and Et2N(CH2)3NH2 give 12.9 g. of N-(3-diethylaminopropyl)-p-methylsulfonylbenzamidine-2HCl, m. 254°. MeSO2C6H4C(:NOH)NH2 yields a HCl salt, m. 227° (decomposition). p-MeSC6H4NO2 (28.6 g.) on reduction gives 28.4 g. of p-methylmercaptoaniline-HCl, m. 260-1°. p-MeSC6H4CN (13.9 g.) yields 17.3 g. of p-methylmercaptobenzamidine-HCl, m. 218-19°; benzoate, m. 251-2°. m-O2NC6H4SO2Me (100 g.) in 600 cc. EtOH, reduced with 2% PdSrCO3 at 100° for 1 h. and the crude amine submitted to the Sandmeyer reaction, give 49.4 g. of m-

methylsulfonylbenzonitrile, b4 210°, m. 103-4°; 12.75 g. give 10.3 g. of m-methylsulfonylbenzamidine-HCl, m. 216°. PhSO2Et (109 g.) on nitration and reduction gives 106.2 g. of m-ethylsulfonylaniline- HCl, m. 239-40°; 100 g. yields 50.2 g. of m- ethylsulfonylbenzonitrile, m. 51-2°; 15 g. of the nitrile give 10.1 g. of m-ethylsulfonylbenzamidine-HCl, m. 196°. I (100 g.) in 10% EtOH-NH3, reduced with 10 g. Raney Ni at 15° and 95 atmospheric for 1.25 h., gives 106 g. of p-methylsulfonylbenzylamine-HCl, m. 279-80°, and 4 g. of bis(p-methylsulfonylbenzyl)amine-HCl, m. 310° (decomposition). p-EtSO2C6H4CN (16 g.) on reduction as above gives 14.1 g. of p-ethylsulfonylbenzylamine-HCl, m. 222°. m-MeSO2C6H4CN (18.1 g.) gives 17.5 g. of m-methylsulfonylbenzylamine-HCl, m. 250-1°; the m-Et homolog m. 155-6°. III (36 g.) in 320 cc. CHCl3 with Stephen's reagent from 72 g. SnCl2 gives 24.9 g. of p-methylsulfonylbenzaldehyde (VI), m. 157°; 24.8 g. of VI and 17 g. of CH2(CO2H)2 in 30 cc. C5H5N containing 8 drops of piperidine give 25.8 g. of p-methylsulfonylcinnamic acid, m. 288°; catalytic reduction of 35.6 g. gives 33.1 g. of β-(p-methylsulfonylphenyl)propionic acid (VII), m. 171-2°. VII (6.9 g.), converted into the acid chloride and the Me2CO solution treated with NaN3, gives 2-(p-methylsulfonylphenyl)ethylamine- HCl, m. 204-5°. VI (40 g.), transformed into p-MeSO2C6H4COCl and treated in C6H6 with the Mg derivative from CH2(CO2Et)2, gives 88% of p-methylsulfonylacetophenone (VIII), m. 128-9°; oxime, m. 155-6°. VIII (29.7 g.) and HCONH2, heated 6 h. at 180°, give 60% of 1-(p-methylsulfonylphenyl)ethylamine-HCl, m. 274°.

IT 855879-57-1P, Acetamide, α-(p-guanylphenylsulfonyl)-, -HCl
857581-40-9P, Benzamidine, p-(guanylmethylsulfonyl)-, dihydrochloride
RL: PREP (Preparation)
(preparation of)

RN 855879-57-1 CAPLUS

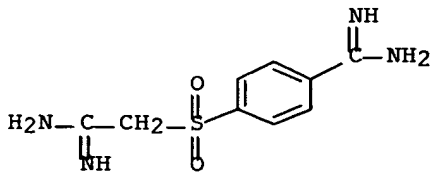
CN Acetamide, α-(p-guanylphenylsulfonyl)-, -HCl (4CI) (CA INDEX NAME)



● HCl

RN 857581-40-9 CAPLUS

CN Benzamidine, p-(guanylmethylsulfonyl)-, dihydrochloride (4CI) (CA INDEX NAME)



●2 HCl